

## (12) United States Patent

Poree et al.

US 9.078,446 B2 (10) Patent No.: (45) **Date of Patent:** \*Jul. 14, 2015

(54) USE OF N-(TETRAZOL-4-YL)- OR N-(TRIAZOL-3-YL)ARYLCARBOXAMIDES OR THEIR SALTS FOR CONTROLLING UNWANTED PLANTS IN AREAS OF TRANSGENIC CROP PLANTS BEING TOLERANT TO HPPD INHIBITOR HERBICIDES

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(\*) Notice: Subject to any disclaimer, the term of this

patent is extended or adjusted under 35 U.S.C. 154(b) by 0 days.

This patent is subject to a terminal disclaimer.

(21) Appl. No.: 14/006,049

(22) PCT Filed: Mar. 21, 2012

(86) PCT No.: PCT/EP2012/054981

§ 371 (c)(1),

(2), (4) Date: Oct. 8, 2013

(87) PCT Pub. No.: WO2012/130685

PCT Pub. Date: Oct. 4, 2012

(65)**Prior Publication Data** 

> US 2014/0024530 A1 Jan. 23, 2014

#### Related U.S. Application Data

Provisional application No. 61/467,619, filed on Mar. (60)25, 2011.

#### (30)Foreign Application Priority Data

Mar. 25, 2011 (EP) ...... 11159755

(2006.01)

A01P 13/00 A01N 43/653 A01N 43/713

(2006.01)(2006.01)(2006.01)C07D 249/14 A01N 57/24 (2006.01)A01N 43/80 (2006.01)

A01N 47/28 (2006.01)A01N 47/34 (2006.01)

(52) U.S. Cl.

(51) **Int. Cl.** 

CPC ...... A01N 57/24 (2013.01); A01N 43/653 (2013.01); A01N 43/713 (2013.01); A01N 43/80 (2013.01); A01N 47/28 (2013.01); A01N 47/34 (2013.01)

#### (58) Field of Classification Search

CPC . A01N 43/653; A01N 43/713; C07D 401/02; C07D 249/14; C07D 257/06 USPC ...... 514/340; 546/272.4, 268.4; 548/251, 548/264.8

See application file for complete search history.

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#### (57)ABSTRACT

Use of N-(tetrazol-4-yl)- or N-(triazol-3-yl)arylcarboxamides of formula (I) or salts thereof

for controlling unwanted plants in areas of transgenic crop plants being tolerant to HPPD inhibitor herbicides by containing one or more chimeric gene(s) comprising (I) a DNA sequence encoding hydroxyphenylpyruvate dioxygenase (HPPD) derived from a member of a group of organisms consisting of (a) Avena, (b) Pseudomonas, (c) Synechococcoideae, (d) Blepharismidae, (e) Rhodococcus, (f) Picrophilaceae, (g) Kordia, or (II) comprising one or more mutated DNA sequences of HPPD encoding genes of the before defined organisms.

#### 11 Claims, No Drawings

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# USE OF N-(TETRAZOL-4-YL)- OR N-(TRIAZOL-3-YL)ARYLCARBOXAMIDES OR THEIR SALTS FOR CONTROLLING UNWANTED PLANTS IN AREAS OF TRANSGENIC CROP PLANTS BEING TOLERANT TO HPPD INHIBITOR HERBICIDES

## CROSS REFERENCE TO RELATED APPLICATIONS

This application is a §371 National Stage Application of PCT/EP2012/054981, filed Mar. 21, 2012, which claims priority to European Application No. 11159755.5, filed Mar. 25, 2011, and U.S. Provisional Application No. 61/467,619, filed Mar. 25, 2011.

#### BACKGROUND OF THE INVENTION

#### 1. Field of the Invention

The invention relates to the use of N-(tetrazol-4-yl)- or N-(triazol-3-yl)arylcarboxamides or their for controlling unwanted plants in areas of transgenic crop plants being tolerant to HPPD inhibitor herbicides.

#### 2. Description of Related Art

EP 10174893 (being filed in the name of Bayer Crop-Science AG at the EPO on Sep. 1, 2010) and its corresponding international application PCT/EP2011/064820 disclose several new N-(tetrazol-4-yl)- or N-(triazol-3-yl)arylcarboxamides and their use as HPPD inhibitor herbicides for weed control.

However, the herbicidal activity of N-(tetrazol-4-yl)- or N-(triazol-3-yl)arylcarboxamides might cause damages on several crop plants which limit their use in such crop growing 35 areas as herbicides for weed control.

HPPD inhibitor herbicides can be used against grass and/or broad leaf weeds in crop plants that display metabolic tolerance, such as maize (*Zea mays*) in which they are rapidly degraded (Schulz et al., (1993). FEBS letters, 318, 162-166; 40 Mitchell et al., (2001) Pest Management Science, Vol 57, 120-128; Garcia et al., (2000) Biochem., 39, 7501-7507; Pallett et al., (2001) Pest Management Science, Vol 57, 133-142). In order to extend the scope of these HPPD inhibitor herbicides, several efforts have been developed in order to 45 confer to plants, particularly plants without or with an underperforming metabolic tolerance, a tolerance level acceptable under agronomic field conditions.

Meanwhile transgenine plants have been engineered by by-passing HPPD-mediated production of homogentisate 50 (U.S. Pat. No. 6,812,010), overexpressing the sensitive enzyme so as to produce quantities of the target enzyme in the plant which are sufficient in relation to the herbicide has been performed (WO96/38567).

Alternatively, transgenic plants have been generated 55 expressing HPPD proteins that have been mutated at various positions in order to obtain a target enzyme which, while retaining its properties of catalysing the transformation of HPP into homogentisate, is less sensitive to HPPD inhibitor herbicides than is the native HPPD before mutation (for 60 example see at EP496630, WO 99/24585).

More recently, the introduction of a *Pseudomonas* HPPD gene into the plastid genome of tobacco and soybean has shown to be more effective than nuclear transformation, conferring even tolerance to post-emergence application of at 65 least one HPPD inhibitor (Dufourmantel et al., 2007, Plant Biotechnol J. 5(1):118-33).

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In WO 2009/144079, a nucleic acid sequence encoding a mutated hydroxyphenylpyruvate dioxygenase (HPPD) at position 336 of the *Pseudomonas fluorescens* HPPD protein and its use for obtaining plants which are tolerant to HPPD inhibitor herbicides is disclosed.

In WO 04/024928, the inventors have sought to increase the prenylquinone biosynthesis (e.g., synthesis of plastoquinones, tocopherols) in the cells of plants by increasing the flux of the HPP precursor into the cells of these plants. This has been done by connecting the synthesis of said precursor to the "shikimate" pathway by overexpression of the prephenate-dehydrogenase (PDH). They have also noted that the transformation of plants with a gene encoding a PDH enzyme makes it possible to increase the tolerance of said plants to HPPD inhibitors.

In WO 2002/046387, an gene obtained from *Avena sativa* encoding an HPPD was described to generate plants overexpressing such gene and thereby causing tolerance to various HPPD-inhibitor herbicides.

In WO 2008/150473, the combination of two distinct tolerance mechanisms—a modified *Avena sativa* gene coding for a mutant HPPD enzyme and a CYP450 Maize monooxygenase (nsf1 gene)—was exemplified in order to obtain an improved tolerance to HPPD inhibitor herbicides, but no data have been disclosed demonstrating the synergistic effects based on the combination of both proteins.

In WO 2010/085705, several mutants of the *Avena sativa* HPPD were described as well as plants comprising genes encoding such mutated HPPD and thereby causing an increased tolerance to various HPPD-inhibitor herbicides compared to non-mutated HPPD.

Recently, several new genes encoding HPPD enzymes from various organisms have been identified and employed for obtaining crop plants that show an agronomically useful level of tolerance concerning the application of various HPPD inhibitor herbicides.

The work concerning the implementation of such tolerance against HPPD inhibitor herbicides have extensively been described in the PCT-applications being filed in the name of Bayer CropScience AG on Dec. 22, 2010, having the filing numbers (PCT/EP2010/070561 (published as WO 2011/ 076877; relates to nucleic acid sequences encoding a hydroxyphenylpyruvate dioxygenase (HPPD) obtained from bacteria belonging to the subfamily Synechococcoideae and certain mutants thereof); PCT/EP2010/070567 (published as WO 2011/076882; encoding a hydroxyphenylpyruvate dioxygenase obtained from protists belonging to the family Blepharismidae); PCT/EP2010/070578 (published as WO 2011/076892; encoding a hydroxyphenylpyruvate dioxygenase obtained from bacteria belonging to the genus Rhodococcus and certain mutants thereof); PCT/EP2010/070570 (published as WO 2011/076885; encoding a hydroxyphenylpyruvate dioxygenase obtained from Euryarchaeota belonging to the family Picrophilaceae and certain mutants thereof); PCT/EP2010/070575 (published as WO 2011/ 076889; encoding a hydroxyphenylpyruvate dioxygenase obtained from bacteria belonging to the genus Kordia and certain mutants thereof) and which are hereby incorporated by reference concerning the production of the respective transgenic plants conferring tolerance to HPPD inhibitor heribicides.

#### **SUMMARY**

It has now been found that N-(tetrazol-4-yl)- or N-(triazol-3-yl)arylcarboxamides can be employed on transgenic crop

plants being tolerant to HPPD inhibitor herbicides by containing one or more genes conferring tolerance to HPPD inhibitor herbicides.

Subject matter of the present invention is the use of N-(tetrazol-4-yl)- or N-(triazol-3-yl)arylcarboxamides of the for- 5 mula (I) or their salts

in which A is N or CY, B is N or CH,

X is nitro, halogen, cyano, formyl, thiocyanato, (C<sub>1</sub>-C<sub>6</sub>)alkyl, halo-(C1-C6)-alkyl, (C2-C6)-alkenyl, halo-(C2-C6)alkenyl,  $(C_2-C_6)$ -alkynyl, halo- $(C_3-C_6)$ -alkynyl,  $(C_3-C_6)$ cycloalkyl, halo- $(C_3-C_6)$ -cycloalkyl,  $(C_3-C_6)$ -cycloalkyl- $(C_1-C_6)$ -alkyl, halo- $(C_3-C_6)$ -cycloalkyl- $(C_1-C_6)$ -alkyl, 25  $COR^1$ ,  $COOR^1$ ,  $OCOOR^1$ ,  $NR^1COOR^1$ ,  $C(O)N(R^1)_2$ ,  $NR^1C(O)N(R^1)_2$ ,  $OC(O)N(R^1)_2$ ,  $C(O)NR^1OR^1$ ,  $OR^{\overline{1}}$ ,  $OCOR^1$ ,  $OSO_2R^2$ ,  $S(O)_nR^2$ ,  $SO_2OR^1$ ,  $SO_2N(R^1)_2$ ,  $NR^{1}SO_{2}R^{2}$ ,  $NR^{1}COR^{1}$ ,  $(C_{1}-C_{6})$ -alky $\bar{l}$ - $S(O)_{n}R^{2}$ ,  $\bar{(}C_{1}-C_{6})$ - $(C_1-C_6)$ -alkyl-OCOR<sup>1</sup>,  $(C_1 - C_6)$ -alkyl- 30  $OSO_2R^2$ ,  $(C_1-C_6)$ -alkyl- $CO_2R^1$ ,  $(C_1-C_6)$ -alkyl- $SO_2OR^1$ ,  $(C_1-C_6)$ -alkyl-CON $(R^1)_2$ ,  $(C_1-C_6)$ -alkyl-SO<sub>2</sub>N $(R^1)_2$  $\begin{array}{l} C_6 \text{)-alkyl-NR}^1 \text{COR}^1, (C_1^{'}\text{-}C_6)\text{-alkyl-NR}^1 \text{SO}_2 \text{R}^2, \text{NR}_1, \text{R}_2, \\ P(O)(\text{OR}^5)_2, \text{ CH}_2 P(O)(\text{OR}^5)_2, \text{ (C}_1\text{-}C_6)\text{-alkyl-heteroaryl,} \end{array}$ (C<sub>1</sub>-C<sub>6</sub>)-alkyl-heterocyclyl, the two last-mentioned radi- 35 cals being substituted in each case by s halogen,  $(C_1-C_6)$ alkyl, halo- $(C_1-C_6)$ -alkyl,  $S(O)_n$ — $(C_1-C_6)$ -alkyl,  $(C_1-C_6)$ alkoxy and/or halo-(C1-C6)-alkoxy radicals, and where heterocyclyl carries 0 to 2 oxo groups,

Y is hydrogen, nitro, halogen, cyano, thiocyanato, (C<sub>1</sub>-C<sub>6</sub>)- 40 alkyl, halo- $(C_1$ - $C_6)$ -alkyl,  $(C_2$ - $C_6)$ -alkenyl, halo- $(C_2$ - $C_6)$ alkenyl, (C<sub>2</sub>-C<sub>6</sub>)-alkynyl, halo-(C<sub>2</sub>-C<sub>6</sub>)-alkynyl, (C<sub>3</sub>-C<sub>6</sub>)cycloalkyl, (C<sub>3</sub>-C<sub>6</sub>)-cycloalkenyl, halo- $(C_3-C_6)$ cycloalkyl,  $(C_3-C_6)$ -cycloalkyl- $(C_1-C_6)$ -alkyl, halo- $(C_3-C_6)$ -cycloalkyl- $(C_1-C_6)$ -alkyl,  $COR^1$ ,  $COOR^1$ ,  $OCOOR^1$ , 45  $NR^{1}COOR^{1}$ ,  $C(O)N(R^{1})_{2}$ ,  $NR^{1}C(O)N(R^{1})_{2}$ ,  $OC(O)N(R^{1})_{2}$ ,  $CO(NOR^{1})R^{1}$ ,  $NR^{1}SO_{2}R^{2}$ ,  $NR^{1}COR^{1}$ ,  $OR^{1}$ ,  $OSO_2R^2$ ,  $S(O)_nR^2$ ,  $SO_2OR^1$ ,  $SO_2N(R^1)_2$  (C<sub>1</sub>-C<sub>6</sub>)-alkyl-S  $(O)_n R^2$ ,  $(C_r C_6)$ -alkyl-OR<sup>1</sup>,  $(C_1-C_6)$ -alkyl-OCOR<sup>1</sup>,  $(C_1-C_6)$ -alkyl-OCOR<sup>1</sup>,  $(C_1-C_6)$ -alkyl-OCOR<sup>1</sup>,  $(C_1-C_6)$ -alkyl-OCOR<sup>2</sup>,  $(C_1-C_6$  $C_6$ )-alkyl- $OSO_2R^2$ ,  $(C_1-C_6)$ -alkyl- $CO_2R^1$ ,  $(C_1-C_6)$ -alkyl- 50 CN,  $(C_1-C_6)$ -alkyl-SO<sub>2</sub>OR<sup>1</sup>,  $(C_1-C_6)$ -alkyl-CON(R<sup>1</sup>)<sub>2</sub>,  $(C_1-C_6)$ -alkyl-SO<sub>2</sub>N(R<sup>1</sup>)<sub>2</sub>,  $(C_1-C_6)$ -alkyl-NR<sup>1</sup>COR<sup>1</sup>,  $(C_1-C_6)$ -alkyl-NR<sup>1</sup>SO<sub>2</sub>R<sup>2</sup>, N(R<sup>1</sup>)<sub>2</sub>, P(O)(OR<sup>5</sup>)<sub>2</sub>, CH<sub>2</sub>P(O) (OR<sup>5</sup>)<sub>2</sub>,  $(C_1-C_6)$ -alkyl-phenyl,  $(C_1-C_6)$ -alkyl-heteroaryl,  $(C_1-C_6)$ -alkyl-heterocyclyl, phenyl, heteroaryl or heterosis  $R^4$  is  $(C_1-C_6)$ -alkyl,  $(C_2-C_6)$ -alkenyl or  $(C_2-C_6)$ -alkynyl, cyclyl, the last 6 radicals being substituted in each case by  $R^5$  is methyl or ethyl, s radicals from the group consisting of halogen, nitro, cyano,  $(C_1-C_6)$ -alkyl, halo- $(C_1-C_6)$ -alkyl,  $(C_3-C_6)$ -cycloalkyl,  $S(O)_n$ — $(C_1-C_6)$ -alkyl,  $(C_1-C_6)$ -alkoxy, halo- $(C_1-C_6)$ -alkoxy,  $(C_1-C_6)$ -alkoxy- $(C_1-C_4)$ -alkyl and cya- 60 nomethyl, and where heterocyclyl carries 0 to 2 oxo groups,

Z is halogen, cyano, thiocyanato, halo-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>2</sub>-C<sub>6</sub>)-alkenyl, halo-(C<sub>2</sub>-C<sub>6</sub>)-alkenyl, (C<sub>2</sub>-C<sub>6</sub>)-alkynyl, halo- $(C_2-C_6)$ -alkynyl,  $(C_3-C_6)$ -cycloalkyl, halo- $(C_3-C_6)$ -cy- 65 cloalkyl,  $(C_3-C_6)$ -cycloalkyl- $(C_1-C_6)$ -alkyl, halo- $(C_3-C_6)$ cycloalkyl-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, COR<sup>1</sup>, COOR<sup>1</sup>, OCOOR<sup>1</sup>,

 $NR^1COOR^1, \quad C(O)N(R^1)_2, \quad NR^1C(O)N(R^1)_2, \quad OC(O)$  $(R^1\overset{\circ}{)}_2, \quad (C_1\text{-}C_6)\text{-alkyl-NR}^1\text{COR}^1, \quad (C_1\text{-}C_6)\text{-alkyl-NR}^1\text{SO}_2\text{R}^2, N(R^1)_2, P(O)(OR^5)_2, heteroaryl, heterocyclyl}$ or phenyl, the last three radicals being substituted in each case by s radicals from the group consisting of halogen, nitro, cyano, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, halo-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>3</sub>-C<sub>6</sub>)cycloalkyl,  $S(O)_n - (C_1 - C_6)$ -alkyl,  $(C_1 - C_6)$ -alkoxy or halo-(C<sub>1</sub>-C<sub>6</sub>)-alkoxy, and where heterocyclyl carries 0 to 2 oxo groups, or

Z may else be hydrogen,  $(C_1-C_6)$ -alkyl or  $(C_1-C_6)$ -alkoxy if Y is the radical  $S(O)_n R^2$ ,

R is  $(C_1-C_6)$ -alkyl,  $(C_3-C_7)$ -cycloalkyl, halo- $(C_1-C_6)$ -alkyl,  $(C_2-C_6)$ -alkenyl, halo- $(C_2-C_6)$ -alkenyl,  $(C_2-C_6)$ -alkynyl,  $(C_2-C_6)$ -alk phenyl, the last three radicals being substituted in each case by s radicals from the group consisting of halogen, nitro, cyano,  $(C_1-C_6)$ -alkyl, halo- $(C_1-C_6)$ -alkyl,  $(C_3-C_6)$ -cycloalkyl,  $S(O)_n$ — $(C_1$ - $C_6$ )-alkyl,  $(C_1$ - $C_6$ )-alkoxy, halo- $(C_1$ - $C_6$ )-alkoxy and  $(C_1$ - $C_6$ )-alkoxy- $(C_1$ - $C_4$ )-alkyl,

 $R^1$  is hydrogen,  $(C_1 - C_6)$ -alkyl,  $(C_1 - C_6)$ -haloalkyl,  $(C_2 - C_6)$ -alkenyl,  $(C_2 - C_6)$ -haloalkenyl,  $(C_2 - C_6)$ -alkynyl,  $(C_2 - C_6)$ -alkynyl,  $(C_3 - C_6)$ -alkynyl haloalkynyl, (C<sub>3</sub>-C<sub>6</sub>)-cycloalkyl, (C<sub>3</sub>-C<sub>6</sub>)-cycloalkenyl,  $(C_3-C_6)$ -halocycloalkyl,  $(C_1-C_6)$ -alkyl-O $(C_1-C_6)$ -alkyl,  $(C_3-C_6)$ -cycloalkyl- $(C_1-C_6)$ -alkyl, phenyl, phenyl- $(C_1-C_6)$ -alkyl, heteroaryl,  $(C_1-C_6)$ -alkyl-heteroaryl, heterocyclyl, (C<sub>1</sub>-C<sub>6</sub>)-alkyl-heterocyclyl, (C<sub>1</sub>-C<sub>6</sub>)-alkyl-O-heteroaryl,  $(C_1-C_6)$ -alkyl-O-heterocyclyl,  $(C_1-C_6)$ -alkyl- $NR^3$ -heteroaryl, ( $C_1$ - $C_6$ )-alkyl- $NR^3$ -heterocyclyl, the 21 last-mentioned radicals being substituted by s radicals from the group consisting of cyano, halogen, nitro, thiocyanato, OR<sup>3</sup>, S(O)<sub>n</sub>R<sup>4</sup>, N(R<sup>3</sup>)<sub>2</sub>, NR<sup>3</sup>OR<sup>3</sup>, COR<sup>3</sup>, OCOR<sup>3</sup>, SCOR<sup>4</sup>, NR<sup>3</sup>COR<sup>3</sup>, NR<sup>3</sup>SO<sub>2</sub>R<sup>4</sup>, CO<sub>2</sub>R<sup>3</sup>, COSR<sup>4</sup>, CON  $(R^3)_2$  and  $(C_1-C_4)$ -alkoxy- $(\bar{C}_2-C_6)$ -alkoxycarbonyl, and where heterocyclyl carries 0 to 2 oxo groups,

 $R^2$  is  $(C_1-C_6)$ -alkyl,  $(C_1-C_6)$ -haloalkyl,  $(C_2-C_6)$ -alkenyl,  $\begin{array}{lll} C_6)\text{-haloalkenyl}, (C_2\text{-}C_6)\text{-alkynyl}, (C_2\text{-}C_6)\text{-haloalkynyl}, (C_3\text{-}C_6)\text{-cycloalkyl}, & (C_3\text{-}C_6)\text{-cycloalkenyl}, & (C_3\text{-}C_6)\text{-halocycloalkyl}, & (C_1\text{-}C_6)\text{-alkyl}\text{-}O\text{--}(C_1\text{-}C_6)\text{-alkyl}, & (C_3\text{-}C_6)\text{-cycloalkyl}\text{-}(C_1\text{-}C_6)\text{-alkyl}, & phenyl, & phenyl-(C_1\text{-}C_6)\text{-alkyl}, & phenyl-(C_1\text{-}C_$ heteroaryl,  $(C_1-C_6)$ -alkyl-heteroaryl, heterocyclyl,  $(C_1-C_6)$ alkyl-heterocyclyl,  $(C_1-C_6)$ -alkyl-O-heteroaryl,  $(C_1-C_6)$ -alkyl-O-heterocyclyl,  $(C_1-C_6)$ -alkyl-NR³-heteroaryl,  $(C_1-C_6)$ -alkyl-NR³-heteroaryl C<sub>6</sub>)-alkyl-NR<sup>3</sup>-heterocyclyl, the 21 last-mentioned radicals being substituted by s radicals from the group consisting of cyano, halogen, nitro, thiocyanato, OR<sup>3</sup>, S(O), R<sup>4</sup>, N(R<sup>3</sup>)<sub>2</sub>, NR<sup>3</sup>OR<sup>3</sup>, COR<sup>3</sup>, OCOR<sup>3</sup>, SCOR<sup>4</sup>, NR<sup>3</sup>COR<sup>3</sup>, NR<sup>3</sup>SO<sub>2</sub>R<sup>4</sup>,  $CO_2R^3$ ,  $COSR^4$ ,  $CON(R^3)_2$  and  $(C_1-C_4)$ -alkoxy- $(C_2-C_6)$ alkoxycarbonyl, and where heterocyclyl carries 0 to 2 oxo

 $R^3$  is hydrogen,  $(C_1-C_6)$ -alkyl,  $(C_2-C_6)$ -alkenyl,  $(C_2-C_6)$ alkynyl,  $(C_3-C_6)$ -cycloalkyl or  $(C_3-C_6)$ -cycloalkyl- $(C_1-C_6)$ -cycloalkyl- $(C_1-C_6)$ -cycloalkyl C<sub>6</sub>)-alkyl.

R<sup>6</sup> is acetoxy, acetamido, N-methylacetamido, benzoyloxy, benzamido, N-methylbenzamido, methoxycarbonyl, ethoxycarbonyl, benzoyl, methylcarbonyl, piperidinylcarbonyl, morpholinylcarbonyl, trifluoromethylcarbonyl, aminocarbonyl, methylaminocarbonyl, dimethylaminocarbonyl, (C<sub>1</sub>-C<sub>6</sub>)-alkoxy or (C<sub>3</sub>-C<sub>6</sub>)-cycloalkyl or is heteroaryl, heterocyclyl or phenyl substituted in each case by s radicals from the group consisting of methyl, ethyl, methoxy, trifluoromethyl, and halogen,

n is 0, 1 or 2, s is 0, 1, 2 or 3,

for controlling unwanted plants in areas of transgenic crop plants being tolerant to HPPD inhibitor herbicides by containing one or more chimeric gene(s) (I) comprising a DNA sequence encoding hydroxyphenylpyruvate dioxygenase (HPPD) derived from a member of a group of organisms 5 consisting of (a) Avena, preferably Avena sativa, more preferably comprising a DNA sequence identical to SEQ ID No. 1 encoding HPPD defined by SEQ ID No. 2, (b) Pseudomonas, preferably Pseudomonas fluorescens, more preferably comprising a DNA sequence identical to SEQ ID No. 3 encoding HPPD defined by SEQ ID No. 4, (c) Synechococcoideae, preferably Synechococcus sp., more preferably comprising a DNA sequence identical to SEQ ID No. 6, encoding HPPD defined by SEQ ID No. 7, (d) Blepharismidae, preferably Blepharisma japonicum, more preferably comprising a 15 DNA sequence identical to SEQ ID No. 8 encoding HPPD defined by SEQ ID No. 9, (e) Rhodococcus, preferably Rhodococcus sp. (strain RHA1), isolate ro03041 more preferably comprising a DNA sequence identical to SEQ ID No. 10 encoding HPPD defined by SEQ ID No. 11, or *Rhodococ-* 20 cus sp. (strain RHA1), isolate ro02040, more preferably comprising a DNA sequence identical to SEQ ID No. 12 encoding HPPD defined by SEQ ID No. 13, (f) Picrophilaceae, preferably Picrophilus torridus, more preferably comprising a DNA sequence identical to SEQ ID No. 14 encoding HPPD defined by SEQ ID No. 15, (g) Kordia, preferably Kordia algicida, more preferably comprising a DNA sequence identical to SEQ ID No. 16 encoding HPPD defined by SEQ ID No. 17, or (II) comprising one or more mutated DNA sequences of HPPD encoding genes of the before defined 30 organisms, preferably mutants as described in WO 2010/ 085705, U.S. Pat. No. 6,245,968, WO 2009/144079, PCT/ EP2010/070561, PCT/EP2010/070567, PCT/EP2010/ 070578, PCT/EP2010/070570, or PCT/EP2010/070575.

## DETAILED DESCRIPTION OF A PREFERRED EMBODIMENT

In formula (I) and all the formulae below, alkyl radicals having more than two carbon atoms can be straight-chain or 40 branched. Alkyl radicals are, for example, methyl, ethyl, n- or isopropyl, n-, iso-, t- or 2-butyl, pentyls, hexyls, such as n-hexyl, isohexyl and 1,3-dimethylbutyl. Halogen is fluorine, chlorine, bromine or iodine.

Heterocyclyl is a saturated, partially saturated or fully 45 unsaturated cyclic radical which contains from 3 to 6 ring atoms, of which 1 to 4 are from the group consisting of oxygen, nitrogen and sulfur, and which radical can additionally be fused by a benzo ring. For example, heterocyclyl is piperidinyl, pyrrolidinyl, tetrahydrofuranyl, dihydrofuranyl, 50 4,5-dihydro-1,2-oxazol-3-yl and oxetanyl.

Heteroaryl is an aromatic cyclic radical which contains 3 to 6 ring atoms, of which 1 to 4 are from the group consisting of oxygen, nitrogen and sulfur, and which radical can additionally be fused by a benzo ring. For example, heteroaryl is 55 benzimidazol-2-yl, furanyl, imidazolyl, isoxazolyl, isothiazolyl, oxazolyl, pyrazinyl, pyrimidinyl, pyridazinyl, pyridinyl, benzisoxazolyl, thiazolyl, pyrrolyl, pyrazolyl, thiophenyl, 1,2,3-oxadiazolyl, 1,2,4-triazolyl, 1,2,5-triazolyl, 1,3,4-triazolyl, 1,2,4-triazolyl, 1,2,4-thiadiazolyl, 1,3,4-thiadiazolyl, 1,2,3-thiadiazolyl, 1,2,3,4-tetrazolyl, 1,2,3,4-tetrazolyl, 1,2,3,5-thiadiazolyl, 1,2,3,5-oxatriazolyl, 1,2,3,4-thiatriazolyl, 1,2,3,5-thiatriazolyl, 1,2,3,4-thiatriazolyl, 1,2,3,5-thiatriazolyl, 1,2,3,4-thiatriazolyl, 1,2,3,4

Where a group is substituted by a plurality of radicals, this 65 means that this group is substituted by one or more identical or different representatives of the radicals mentioned.

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Depending on the nature and the attachment of the substituents, the compounds of the formula (I) may be present as stereoisomers. If, for example, one or more asymmetric carbon atoms are present, there may be enantiomers and diastereomers. There may also be stereoisomers if n is 1 (sulfoxides). Stereoisomers may be obtained from the mixtures resulting from the preparation using customary separation methods, for example by chromatographic separation techniques. It is also possible to prepare stereoisomers selectively by using stereoselective reactions employing optically active starting materials and/or auxiliaries. The invention also relates to all stereoisomers and mixtures thereof embraced by the general formula (I) but not specifically defined.

Preference is given to the inventive use of N-(tetrazol-4-yl)- or N-(triazol-3-yl)arylcarboxamide of general formula (1), in which

A is N or CY,

B is N or CH,

X is nitro, halogen, cyano, thiocyanato, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, halo- $(C_1-C_6)$ -alkyl,  $(C_2-C_6)$ -alkenyl, halo- $(C_2-C_6)$ -alkenyl,  $(C_2-C_6)$ -alkynyl, halo- $(C_3-C_6)$ -alkynyl,  $(C_3-C_6)$ -cycloalkyl, halo-(C<sub>3</sub>-C<sub>6</sub>)-cycloalkyl, (C<sub>1</sub>-C<sub>6</sub>)-alkyl-O—(C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>3</sub>-C<sub>6</sub>)-cycloalkyl-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, halo-(C<sub>3</sub>- $C_6$ )-cycloalkyl- $(C_1-C_6)$ -alkyl,  $COR^1$ ,  $OR^1$ ,  $OCOR^1$  $OSO_2R^2$ ,  $S(O)_nR^2$ ,  $SO_2OR^1$ ,  $SO_2N(R^1)_2$ ,  $NR^1SO_2R^2$ ,  $NR^1COR^1$ ,  $(C_1-C_6)$ -alkyl- $S(O)_nR^2$ ,  $(C_1-C_6)$ -alkyl- $OR^1$ ,  $(C_1-C_6)$ -alkyl-OCOR<sup>1</sup>,  $(C_1-C_6)$ -alkyl-OSO<sub>2</sub>R<sup>2</sup>,  $(C_1-C_6)$ alkyl- $CO_2R^1$ ,  $(C_1-C_6)$ -alkyl- $SO_2OR^1$ ,  $(C_1-C_6)$ -alkyl- $\begin{array}{lll} CON(R^1)_2, & (C_1\text{-}C_6)\text{-alkyl-SO}_2N(R^1)_2, & (C_1\text{-}C_6)\text{-alkyl-NR}^1COR^1 & \text{or } (C_1\text{-}C_6)\text{-alkyl-NR}^1SO_2R^2, & (C_1\text{-}C_6)\text{-alkyl$ heteroaryl, (C<sub>1</sub>-C<sub>6</sub>)-alkyl-heterocyclyl, the two lastmentioned radicals being substituted in each case by s halogen,  $(C_1-C_6)$ -alkyl, halo- $(C_1-C_6)$ -alkyl,  $S(O)_n$ — $(C_1-C_6)$ -alkyl,  $S(O)_n$ - $(C_1-C_6)$ -alkyl,  $S(O)_n$ - $(C_1-C_6)$ -alkyl,  $S(O)_n$ - $(C_1-C_6)$ -alkyl,  $S(O)_n$ - $(C_1-C_6)$ - $(C_1-C_$  $C_6$ )-alkyl,  $(C_1-C_6)$ -alkoxy and/or halo- $(C_1-C_6)$ -alkoxy radicals, and where heterocyclyl carries 0 to 2 oxo groups,

Y is hydrogen, nitro, halogen, cyano, thiocyanato, (C<sub>1</sub>-C<sub>6</sub>)alkyl, halo-( $C_1$ - $C_6$ )-alkyl, ( $C_2$ - $C_6$ )-alkenyl, halo-( $C_2$ - $C_6$ )alkenyl,  $(C_2-C_6)$ -alkynyl, halo- $(C_3-C_6)$ -alkynyl,  $(C_3-C_6)$ cvcloalkvl.  $(C_3-C_6)$ -cycloalkenyl, halo- $(C_3-C_6)$ cycloalkyl, (C<sub>3</sub>-C<sub>6</sub>)-cycloalkyl-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, halo-(C<sub>3</sub>  $C_6$ )-cycloalkyl- $(C_1-C_6)$ -alkyl,  $COR^1$ ,  $OR^1$ ,  $COOR^1$ ,  $OSO_2R^2$ ,  $S(O)_nR^2$ ,  $SO_2OR^1$ ,  $SO_2N(R^1)_2$ ,  $N(R^1)_2$ ,  $NR^{1}SO_{2}R^{2}$ ,  $NR^{1}COR^{1}$ ,  $(C_{1}-C_{6})$ -alkyl- $S(O)_{n}R^{2}$ ,  $(C_{1}-C_{6})$ alkyl-OR<sup>1</sup>,  $(C_1-C_6)$ -alkyl-OCOR<sup>1</sup>,  $(C_1-C_6)$ -alkyl- $OSO_2R^2$ ,  $(C_1-C_6)$ -alkyl- $CO_2R^1$ ,  $(C_1-C_6)$ -alkyl- $SO_2OR^1$ ,  $(C_1-C_6)$ -alkyl-CON $(R^1)_2$ ,  $(C_1-C_6)$ -alkyl-SO<sub>2</sub>N $(R^1)_2$  $C_6$ )-alkyl-NR<sup>1</sup>COR<sup>1</sup>, ( $C_1$ - $C_6$ )-alkyl-NR<sup>1</sup>SO<sub>2</sub>R<sup>2</sup>, ( $C_1$ - $C_6$ )alkyl-phenyl, (C<sub>1</sub>-C<sub>6</sub>)-alkyl-heteroaryl, (C<sub>1</sub>-C<sub>6</sub>)-alkyl-heterocyclyl, phenyl, heteroaryl or heterocyclyl, the last 6 radicals being substituted in each case by s radicals from the group consisting of halogen, nitro, cyano, (C<sub>1</sub>-C<sub>6</sub>)alkyl, halo- $(C_1-C_6)$ -alkyl,  $(C_3-C_6)$ -cycloalkyl,  $S(O)_{n-1}$  $(C_1-C_6)$ -alkyl,  $(C_1-C_6)$ -alkoxy, halo- $(C_1-C_6)$ -alkoxy,  $C_6$ )-alkoxy-( $C_1$ - $C_4$ )-alkyl and cyanomethyl, and where heterocyclyl carries 0 to 2 oxo groups,

Z is halogen, cyano, thiocyanato, halo- $(C_1-C_6)$ -alkyl,  $(C_2-C_6)$ -alkenyl, halo- $(C_2-C_6)$ -alkenyl,  $(C_2-C_6)$ -alkynyl, halo- $(C_3-C_6)$ -cycloalkyl, halo- $(C_3-C_6)$ -cycloalkyl, halo- $(C_3-C_6)$ -cycloalkyl,  $(C_3-C_6)$ -cycloalkyl- $(C_1-C_6)$ -alkyl, halo- $(C_3-C_6)$ -cycloalkyl- $(C_1-C_6)$ -alkyl,  $COR^1$ ,  $COOR^1$ ,  $CONR^1$ ),  $CONR^1$ ,  $CONR^2$ , CO

 $(C_1\text{-}C_6)\text{-alkyl-CON}(R^1)_2, (C_1\text{-}C_6)\text{-alkyl-SO}_2N(R^1)_2, (C_1\text{-}C_6)\text{-alkyl-SO}_2N(R^2)_2, (C_1\text{-}C_6)_2, (C$  $C_6$ )-alkyl-NR<sup>1</sup>COR<sup>1</sup>, ( $C_1$ - $C_6$ )-alkyl-NR<sup>1</sup>SO<sub>2</sub>R<sup>2</sup> or 1,2,4-

Z may else be hydrogen,  $(C_1-C_6)$ -alkyl or  $(C_1-C_6)$ -alkoxy if Y is the radical  $S(O)_n R^2$ 

R is  $(C_1-C_6)$ -alkyl,  $(C_3-C_7)$ -cycloalkyl, halo- $(C_1-C_6)$ -alkyl, (C<sub>3</sub>-C<sub>7</sub>)-cycloalkylmethyl, methoxycarbonylmethyl, ethoxycarbonylmethyl, acetylmethyl, methoxymethyl, or phenyl or benzyl each substituted by s radicals from the group consisting of methyl, methoxy, trifluoromethyl and 10

 $R^1$  is hydrogen,  $(C_1-C_6)$ -alkyl,  $(C_2-C_6)$ -alkenyl,  $(C_2-C_6)$ alkynyl, (C<sub>3</sub>-C<sub>6</sub>)-cycloalkyl, (C<sub>3</sub>-C<sub>6</sub>)-cycloalkyl-(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)-alkyl-O—(C<sub>1</sub>-C<sub>6</sub>)-alkyl, phenyl, phenyl- $\begin{array}{lll} (C_1\text{-}C_6)\text{-alkyl}, & \text{heteroaryl}, & (C_1\text{-}C_6)\text{-alkyl-heteroaryl}, & \text{15} \\ \text{heterocyclyl}, & (C_1\text{-}C_6)\text{-alkyl-heterocyclyl}, & (C_1\text{-}C_6)\text{-alkyl-heterocyclyl}, & (C_1\text{-}C_6)\text{-alkyl-heterocyclyl}, & \text{15} \\ \end{array}$ O-heteroaryl,  $(C_1-C_6)$ -alkyl-O-heterocyclyl,  $(C_1-C_6)$ alkyl-NR<sup>3</sup>-heteroaryl or (C<sub>1</sub>-C<sub>6</sub>)-alkyl-NR<sup>3</sup>-heterocyclyl, the 16 last-mentioned radicals being substituted by radicals from the group consisting of cyano, halogen, nitro, OR<sup>3</sup>, 20  $S(O)_{r}R^4$ ,  $N(R^3)_2$ ,  $NR^3OR^3$ ,  $COR^3$ ,  $OCOR^3$ ,  $NR^3COR^3$ ,  $NR^3SO_2R^4$ ,  $CO_2R^3$ ,  $CON(R^3)_2$  and  $(C_1-C_4)$ -alkoxy- $(C_2-C_4)$ -alkoxy- $(C_2-C$ C<sub>6</sub>)-alkoxycarbonyl, and where heterocyclyl carries 0 to 2 oxo groups,

 $R^2$  is  $(C_1-C_6)$ -alkyl,  $(C_2-C_6)$ -alkenyl,  $(C_2-C_6)$ -alkynyl,  $(C_3-25)$  $C_6$ )-cycloalkyl,  $(C_3-C_6)$ -cycloalkyl- $(C_1-C_6)$ -alkyl,  $(C_1-C_6)$ alkyl-O—(C<sub>1</sub>-C<sub>6</sub>)-alkyl, phenyl, phenyl-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, heteroaryl,  $(C_1-C_6)$ -alkyl-heteroaryl, heterocyclyl,  $(C_1-C_6)$ alkyl-heterocyclyl,  $(C_1-C_6)$ -alkyl-O-heteroaryl,  $(C_1-C_6)$ alkyl-O-heterocyclyl,  $(C_1-C_6)$ -alkyl-NR<sup>3</sup>-heteroaryl or  $(C_1-30)$ C<sub>6</sub>)-alkyl-NR<sup>3</sup>-heterocyclyl, these radicals being substituted by s radicals from the group consisting of cyano, halogen, nitro, OR<sup>3</sup>, S(O)<sub>m</sub>R<sup>4</sup>, N(R<sup>3</sup>)<sub>2</sub>, NR<sup>3</sup>OR<sup>3</sup>, NR<sup>3</sup>SO<sub>2</sub>R<sup>4</sup>, COR<sup>3</sup>, OCOR<sup>3</sup>, NR<sup>3</sup>COR<sup>3</sup>, CO<sub>2</sub>R<sup>3</sup>, CON(R<sup>3</sup>)<sub>2</sub> and (C<sub>1</sub>-C<sub>4</sub>)-alkoxy- $(C_2-C_6)$ -alkoxycarbonyl, and where heterocyclyl carries 0 to 35 2 oxo groups,

 $R^3$  is hydrogen,  $(C_1-C_6)$ -alkyl,  $(C_2-C_6)$ -alkenyl,  $(C_2-C_6)$ alkynyl, (C<sub>3</sub>-C<sub>6</sub>)-cycloalkyl or (C<sub>3</sub>-C<sub>6</sub>)-cycloalkyl-(C<sub>1</sub>-

 $R^4$  is  $(C_1-C_6)$ -alkyl,  $(C_2-C_6)$ -alkenyl or  $(C_2-C_6)$ -alkynyl, n is 0, 1 or 2,

s is 0, 1, 2 or 3,

for controlling unwanted plants in areas of transgenic crop plants being tolerant to HPPD inhibitor herbicides by containing one or more chimeric gene(s) (I) comprising a DNA 45 sequence encoding hydroxyphenylpyruvate dioxygenase (HPPD) derived from a member of a group of organisms consisting of (a) Avena, preferably Avena sativa, more preferably comprising a DNA sequence identical to SEQ ID No. 1 encoding HPPD defined by SEQ ID No. 2, (b) Pseudomo- 50 nas, preferably Pseudomonas fluorescens, more preferably comprising a DNA sequence identical to SEQ ID No. 3 encoding HPPD defined by SEQ ID No. 4, (c) Synechococcoideae, preferably Synechococcus sp., more preferably comprising a DNA sequence identical to SEQ ID No. 6, encoding 55 HPPD defined by SEQ ID No. 7, (d) Blepharismidae, preferably Blepharisma japonicum, more preferably comprising a DNA sequence identical to SEQ ID No. 8 encoding HPPD defined by SEQ ID No. 9, (e) Rhodococcus, preferably erably comprising a DNA sequence identical to SEQ ID No. 10 encoding HPPD defined by SEQ ID No. 11, or Rhodococcus sp. (strain RHA1), isolate ro02040, more preferably comprising a DNA sequence identical to SEQ ID No. 12 encoding HPPD defined by SEQ ID No. 13, (f) Picrophilaceae, prefer- 65  $R^4$  is  $(C_1-C_6)$ -alkyl, ably Picrophilus torridus, more preferably comprising a DNA sequence identical to SEQ ID No. 14 encoding HPPD

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defined by SEQ ID No. 15, (g) Kordia, preferably Kordia algicida, more preferably comprising a DNA sequence identical to SEQ ID No. 16 encoding HPPD defined by SEQ ID No. 17, or (II) comprising one or more mutated DNA sequences of HPPD encoding genes of the before defined organisms, preferably mutants as described in WO 2010/ 085705, U.S. Pat. No. 6,245,968, WO 2009/144079, PCT/ EP2010/070561, PCT/EP2010/070567, 070578, PCT/EP2010/070570, or PCT/EP2010/070575.

Particular preference is given to the inventive use of N-(tetrazol-4-yl)- or N-(triazol-3-yl)arylcarboxamide of general formula (I), in which

A is N or CY,

B is N or CH,

X is nitro, halogen, cyano, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, halo-(C<sub>1</sub>-C<sub>6</sub>)-alkyl,  $(C_3-C_6)$ -cycloalkyl,  $OR^1$ ,  $S(O)_nR^2$ ,  $(C_1-C_6)$ -alkyl-S(O) $_{n}R^{2}$ ,  $(C_{1}-C_{6})$ -alkyl-OR<sup>1</sup>,  $(C_{1}-C_{6})$ -alkyl-CON(R<sup>1</sup>)<sub>2</sub>,  $(C_{1}-C_{6})$  $C_6$ )-alkyl-SO<sub>2</sub>N(R<sup>1</sup>)<sub>2</sub>, ( $C_1$ - $C_6$ )-alkyl-NR<sup>1</sup>COR<sup>1</sup>, ( $C_1$ - $C_6$ )alkyl-NR $^{1}$ SO<sub>2</sub>R $^{2}$ , (C<sub>1</sub>-C<sub>6</sub>)-alkyl-heteroaryl or (C<sub>1</sub>-C<sub>6</sub>)alkyl-heterocyclyl, the two last-mentioned radicals being substituted in each case by s halogen, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, halo- $(C_1-C_6)$ -alkyl,  $S(O)_n$ — $(C_1-C_6)$ -alkyl,  $(C_1-C_6)$ -alkoxy and/or halo-(C<sub>1</sub>-C<sub>6</sub>)-alkoxy radicals, and where heterocyclyl carries 0 to 2 oxo groups,

Y is hydrogen, nitro, halogen, cyano, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>1</sub>-alkyl- $OR^1$ ,  $(C_1-C_6)$ -alkyl- $CON(R^1)_2$ ,  $(C_1-C_6)$ -alkyl- $SO_2N$  $(R^1)_2$ ,  $(C_1-C_6)$ -alkyl-NR<sup>1</sup>COR<sup>1</sup>,  $(C_1-C_6)$ -alkyl-NR<sup>1</sup>SO<sub>2</sub>R<sup>2</sup>,  $(C_1-C_6)$ -alkyl-phenyl,  $(C_1-C_6)$ -alkyl-heteroaryl,  $(C_1-C_6)$ alkyl-heterocyclyl, phenyl, heteroaryl or heterocyclyl, the last 6 radicals being substituted in each case by s radicals from the group consisting of halogen, nitro, cyano, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, halo- $(C_1-C_6)$ -alkyl,  $(C_3-C_6)$ -cycloalkyl,  $S(O)_n$ — $(C_1-C_6)$ alkyl, (C<sub>1</sub>-C<sub>6</sub>)-alkoxy, halo-(C<sub>1</sub>-C<sub>6</sub>)-alkoxy, (C<sub>1</sub>-C<sub>6</sub>)-alkoxy-(C<sub>1</sub>-C<sub>4</sub>)-alkyl, and cyanomethyl, and where heterocyclyl carries 0 to 2 oxo groups,

Z is halogen, cyano, halo-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>3</sub>-C<sub>6</sub>)-cycloalkyl,  $S(O)_n R^2$  or 1,2,4-triazol-1-yl, or Z may else be hydrogen, methyl, methoxy or ethoxy if Y is the radical  $S(O)_n R^2$ .

R is  $(C_1-C_6)$ -alkyl,  $(C_3-C_7)$ -cycloalkyl, halo- $(C_1-C_6)$ -alkyl,  $(C_3-C_7)$ -cycloalkylmethyl, methoxycarbonylmethyl, ethoxycarbonylmethyl, acetylmethyl or methoxymethyl, or is phenyl substituted by s radicals from the group consisting of methyl, methoxy, trifluoromethyl, and halogen;

 $R^1$  is hydrogen,  $(C_1-C_6)$ -alkyl,  $(C_2-C_6)$ -alkenyl,  $(C_2-C_6)$ alkynyl, (C<sub>3</sub>-C<sub>6</sub>)-cycloalkyl, (C<sub>3</sub>-C<sub>6</sub>)-cycloalkyl-(C<sub>1</sub>-C<sub>6</sub>)alkyl,  $(C_1-C_6)$ -alkyl-O— $(C_1-C_6)$ -alkyl, phenyl, phenyl- $(C_1-C_6)$ -alkyl, heteroaryl,  $(C_1-C_6)$ -alkyl-heteroaryl, heterocyclyl,  $(C_1-C_6)$ -alkyl-heterocyclyl,  $(C_1-C_6)$ -alkyl-O-heteroaryl,  $(C_1-C_6)$ -alkyl-O-heterocyclyl,  $(C_1-C_6)$ alkyl-NR<sup>3</sup>-heteroaryl or (C<sub>1</sub>-C<sub>6</sub>)-alkyl-NR<sup>3</sup>-heterocyclyl, the 16 last-mentioned radicals being substituted by radicals from the group consisting of cyano, halogen, nitro, OR<sup>3</sup>,  $S(O)_nR^4$ ,  $N(R^3)_2$ ,  $NR^3OR^3$ ,  $COR^S$ ,  $OCOR^3$ ,  $NR^3COR^3$ ,  $NR^3SO_2R^4$ ,  $CO_2R^3$ ,  $CON(R^3)_2$ , and  $(C_1-C_4)$ -alkoxy- $(C_2-C_4)$ -alkoxy- $(C_2-$ C<sub>6</sub>)-alkoxycarbonyl, and where heterocyclyl carries 0 to 2 oxo groups,

Rhodococcus sp. (strain RHA1), isolate ro03041 more pref- 60 R<sup>2</sup> is  $(C_1-C_6)$ -alkyl,  $(C_3-C_6)$ -cycloalkyl or  $(C_3-C_6)$ -cycloalkyl cloalkyl-(C1-C6)-alkyl, these three aforementioned radicals being substituted in each case by s radicals from the group consisting of halogen and OR<sup>3</sup>.

 $R^3$  is hydrogen or  $(C_1-C_6)$ -alkyl,

n is 0, 1 or 2,

s is 0, 1, 2 or 3,

for controlling unwanted plants in areas of transgenic crop plants being tolerant to HPPD inhibitor herbicides by containing one or more chimeric gene(s) (I) comprising a DNA sequence encoding hydroxyphenylpyruvate dioxygenase (HPPD) derived from a member of a group of organisms 5 consisting of (a) Avena, preferably Avena sativa, more preferably comprising a DNA sequence identical to SEQ ID No. 1 encoding HPPD defined by SEQ ID No. 2, (b) Pseudomonas, preferably Pseudomonas fluorescens, more preferably comprising a DNA sequence identical to SEQ ID No. 3 10 encoding HPPD defined by SEQ ID No. 4, (c) Synechococcoideae, preferably Synechococcus sp., more preferably comprising a DNA sequence identical to SEQ ID No. 6, encoding HPPD defined by SEQ ID No. 7, (d) Blepharismidae, preferably Blepharisma japonicum, more preferably comprising a 15 DNA sequence identical to SEQ ID No. 8 encoding HPPD defined by SEQ ID No. 9, (e) Rhodococcus, preferably Rhodococcus sp. (strain RHA1), isolate ro03041 more preferably comprising a DNA sequence identical to SEQ ID No. 10 encoding HPPD defined by SEO ID No. 11 or *Rhodococ*- 20 cus sp. (strain RHA1), isolate ro02040, more preferably comprising a DNA sequence identical to SEQ ID No. 12 encoding HPPD defined by SEQ ID No. 13, (f) Picrophilaceae, preferably Picrophilus torridus, more preferably comprising a DNA sequence identical to SEQ ID No. 14 encoding HPPD 25 defined by SEQ ID No. 15, (g) Kordia, preferably Kordia algicida, more preferably comprising a DNA sequence identical to SEQ ID No. 16 encoding HPPD defined by SEQ ID No. 17, or (II) comprising one or more mutated DNA sequences of HPPD encoding genes of the before defined 30 organisms, preferably mutants as described in WO 2010/ 085705, U.S. Pat. No. 6,245,968, WO 2009/144079, PCT/ EP2010/070561, PCT/EP2010/070567, PCT/EP2010/ 070578, PCT/EP2010/070570, or PCT/EP2010/070575.

In all of the formulae below, the substituents and symbols 35 have the same definition as described under formula (I), unless otherwise defined.

Compounds to be used according to the invention can be prepared as described in detail in European patent application "EP 10174893" (being filed in the name of Bayer Crop- 40 Science AG at the EPO on Sep. 1, 2010) and its corresponding international application PCT/EP2011/064820 which are hereby incorporated by reference.

The compounds listed in the tables hereinbelow are very specially preferred to be used for controlling unwanted plants 45 in areas of transgenic plants containing one or more chimeric gene(s) (I) comprising a DNA sequence encoding hydroxyphenylpyruvate dioxygenase (HPPD) derived from a member of a group of organisms consisting of (a) Avena, preferably Avena sativa, more preferably comprising a DNA 50 sequence identical to SEQ ID No. 1 encoding HPPD defined by SEQ ID No. 2, (b) Pseudomonas, preferably Pseudomonas fluorescens, more preferably comprising a DNA sequence identical to SEQ ID No. 3 encoding HPPD defined by SEQ ID No. 4, (c) Synechococcoideae, preferably Synechococcus sp., 55 more preferably comprising a DNA sequence identical to SEQ ID No. 6, encoding HPPD defined by SEQ ID No. 7 (d) Blepharismidae, preferably Blepharisma japonicum, more preferably comprising a DNA sequence identical to SEQ ID No. 8 encoding HPPD defined by SEQ ID No. 9, (e) Rhodo- 60 coccus, preferably Rhodococcus sp. (strain RHA1), isolate ro03041 more preferably comprising a DNA sequence identical to SEQ ID No. 10 encoding HPPD defined by SEQ ID No. 11 or Rhodococcus sp. (strain RHA1), isolate ro02040, more preferably comprising a DNA sequence identical to 65 SEQ ID No. 12 encoding HPPD defined by SEQ ID No. 13, (f) Picrophilaceae, preferably Picrophilus torridus, more

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preferably comprising a DNA sequence identical to SEQ ID No. 14 encoding HPPD defined by SEQ ID No. 15, (g) *Kordia*, preferably *Kordia algicida*, more preferably comprising a DNA sequence identical to SEQ ID No. 16 encoding HPPD defined by SEQ ID No. 17, or (II) comprising one or more mutated DNA sequences of HPPD encoding genes of the before defined organisms, preferably mutants as described in WO 2010/085705, U.S. Pat. No. 6,245,968, WO 2009/144079, PCT/EP2010/070561, PCT/EP2010/070575, PCT/EP2010/070575.

The abbreviations used are: Et=ethyl Me=methyl n-Pr=n-propyl i-Pr=isopropyl c-Pr=cyclopropyl Ph=phenyl Ac=acetyl Bz=benzoyl

TABLE 1

Compounds of the general formula (I) according to the invention in which A is CY, B is CH and R is methyl

No.	X	Y	Z
1-1	F	Н	Cl
1-2	F	H	$\operatorname{Br}$
1-3	F	H	$SO_2Me$
1-4	F	H	SO₂Et
1-5	F	H	CF <sub>3</sub>
1-6	F	H	$NO_2$
1-7	Cl	H	F
1-8	Cl	H	Cl
1-9	Cl	H	Br
1-10	Cl	H	SMe
1-11	Cl	H	SOMe
1-12	Cl	H	SO <sub>2</sub> Me
1-13	Cl	H	SO <sub>2</sub> CH <sub>2</sub> Cl
1-14	Cl	H	SEt
1-15	Cl	H	SO <sub>2</sub> Et
1-16	Cl	H	CF <sub>3</sub>
1-17	Cl	H	$NO_2$
1-18	Cl	H	pyrazol-1-yl
1-19	Cl	H	1H-1,2,4-
	_		triazol-1-yl
1-20	$\operatorname{Br}$	H	Cl
1-21	$\operatorname{Br}$	H	$\operatorname{Br}$
1-22	$\operatorname{Br}$	H	$SO_2Me$
1-23	Br	H	SO <sub>2</sub> Et
1-24	Br	H	CF <sub>3</sub>
1-25	$SO_2Me$	H	Cl
1-26	$SO_2Me$	H	Br
1-27	$SO_2Me$	H	SMe
1-28	$SO_2Me$	H	SOMe
1-29	$SO_2Me$	H	$SO_2Me$
1-30	$SO_2Me$	H	SO <sub>2</sub> Et
1-31	SO <sub>2</sub> Me	H	CF <sub>3</sub>
1-32	SO <sub>2</sub> Et	H	Cl
1-33	SO <sub>2</sub> Et	H	Br
1-34	SO <sub>2</sub> Et	H	SMe
1-35	SO <sub>2</sub> Et	H	SOMe
1-36	SO <sub>2</sub> Et	H	SO <sub>2</sub> Me
1-37	SO <sub>2</sub> Et	H	$CF_3$
1-38	$NO_2$	H	F
1-39	$NO_2$	H	Cl
1-40	NO <sub>2</sub>	H	Br
1-41	NO <sub>2</sub>	H	I
1-42	NO <sub>2</sub>	H	CN
1-43	$NO_2$	H	SO <sub>2</sub> Me
1-44	$NO_2$	H	SO <sub>2</sub> Et
1-45	$NO_2$	H	CF <sub>3</sub>
1-46	Me	Н	Cl

# 12 TABLE 1-continued

			TABLE I Continued					
Comp		al formula (I) according to the in CY, B is CH and R is methyl	wention in		Comp		ral formula (I) according to the inv	ention in
	N N	Me O X		5		N N	Me O X	
	2,	H Z		10			H Z	
No.	X	Y	Z		No.	X	Y	Z
1-47	Me	H	Br		1-110	Me	$O(CH_2)_4OMe$	Cl
1-48 1-49	Me Me	H H	SMe SO₂Me	15	1-111 1-112	Me Me	OCH <sub>2</sub> CONMe <sub>2</sub> O(CH <sub>2</sub> ) <sub>2</sub> —CO—NMe <sub>2</sub>	Cl Cl
1-49	Me	Н	SO <sub>2</sub> Me SO <sub>2</sub> CH <sub>2</sub> Cl		1-112	Me	$O(CH_2)_2$ — $O(CH_2)_2$ — $O(CH_2)_2$ — $O(CH_2)_3$ — $O(CH_2)$ —	Cl
1-51	Me	H	SEt		1-114	Me	O(CH <sub>2</sub> ) <sub>2</sub> —NH(CO)NHCO <sub>2</sub> Et	Cl
1-52	Me	H	SO <sub>2</sub> Et		1-115	Me	O(CH <sub>2</sub> ) <sub>2</sub> —NHCO <sub>2</sub> Me	Cl
1-53	Me	H	CF <sub>3</sub>		1-116	Me	OCH <sub>2</sub> —NHSO <sub>2</sub> cPr	Cl
1-54	CH <sub>2</sub> SO <sub>2</sub> Me	H	CF <sub>3</sub>	20	1-117	Me	O(CH <sub>2</sub> )-5-2,4-dimethyl-2,4-	Cl
1-55 1-56	Et Et	H H	Cl Br		1-118	Me	dihydro- $3H$ - $1,2,4$ -triazol- $3$ -on $O(CH_2)$ - $3,5$ -dime-thyl- $1,2$ -	Cl
1-57	Et	H	SMe		1-116	IVIC	oxazol-4-yl	CI
1-58	Et	H	$SO_2Me$		1-119	Me	SMe	Cl
1-59	Et	H	SO₂CH₂Cl		1-120	Me	SOMe	Cl
1-60	Et	H	SEt	2.5	1-121	Me	SO <sub>2</sub> Me	Cl
1-61 1-62	Et Et	H H	$SO_2Et$ $CF_3$	25	1-122 1-123	Me Me	SEt SOEt	Cl Cl
1-63	CF <sub>3</sub>	H	Cl Cl		1-123	Me	SO <sub>2</sub> Et	Cl
1-64	CF <sub>3</sub>	H	Br		1-125	Me	S(CH <sub>2</sub> ) <sub>2</sub> OMe	Cl
1-65	CF <sub>3</sub>	H	$SO_2Me$		1-126	Me	$SO(CH_2)_2OMe$	Cl
1-66	$CF_3$	H	SO <sub>2</sub> Et		1-127	Me	SO <sub>2</sub> (CH <sub>2</sub> ) <sub>2</sub> OMe	Cl
1-67 1-68	CF <sub>3</sub>	$_{ m NH_2}$	$_{ m F}^{{ m CF_3}}$	30	1-128 1-129	Me Me	$\mathrm{NH_2}$ $\mathrm{NHMe}$	Br Br
1-69	$\frac{NO_2}{NO_2}$	NHMe	F		1-129	Me	NMe <sub>2</sub>	Br
1-70	$NO_2^2$	$NMe_2$	F		1-131	Me	OCH <sub>2</sub> (CO)NMe <sub>2</sub>	$_{\mathrm{Br}}$
1-71	$NO_2$	Me	C1		1-132	Me	O(CH <sub>2</sub> )-5-pyrrolidin-2-on	Br
1-72 1-73	$\frac{NO_2}{NO_2}$	NH <sub>2</sub>	CI CI		1-133	Me	SMe SOMe	Br Br
1-73	$NO_2$ $NO_2$	NHMe NMe <sub>2</sub>	Cl	35	1-134 1-135	Me Me	SO <sub>2</sub> Me	Br
1-75	NO <sub>2</sub>	NH <sub>2</sub>	Br		1-136	Me	SEt	Br
1-76	$NO_2$	NHMe	$_{\mathrm{Br}}$		1-137	Me	SOEt	$_{\mathrm{Br}}$
1-77	NO <sub>2</sub>	NMe <sub>2</sub>	Br		1-138	Me	SO <sub>2</sub> Et SMe	Br I
1-78 1-79	$\frac{NO_2}{NO_2}$	$^{ m NH_2}_{ m NMe_2}$	$CF_3$ $CF_3$		1-139 1-140	Me Me	SOMe	I
1-80	NO <sub>2</sub>	NH <sub>2</sub>	SO <sub>2</sub> Me	40	1-141	Me	SO₂Me	Ī
1-81	$NO_2$	$\overline{\mathrm{NH}_{2}}$	SO₂Et		1-142	Me	SEt	I
1-82	NO <sub>2</sub>	NHMe	SO <sub>2</sub> Me		1-143	Me	SOEt	I
1-83 1-84	$\frac{NO_2}{NO_2}$	NMe <sub>2</sub> NMe <sub>2</sub>	SO₂Me SO₂Et		1-144 1-145	Me Me	SO <sub>2</sub> Et Cl	$_{\mathrm{CF_{3}}}^{\mathrm{I}}$
1-85	NO <sub>2</sub>	NH <sub>2</sub>	1H-1,2,4-		1-146	Me	SMe	CF <sub>3</sub>
		_	triazol-1-yl	45	1-147	Me	SOMe	$CF_3$
1-86	$NO_2$	NHMe	1H-1,2,4-		1-148	Me	SO <sub>2</sub> Me	CF <sub>3</sub>
1-87	$NO_2$	NMe <sub>2</sub>	triazol-1-yl 1H-1,2,4-		1-149 1-150	Me Me	SEt SOEt	CF <sub>3</sub> CF <sub>3</sub>
10,	1102	111122	triazol-1-yl		1-151	Me	SO <sub>2</sub> Et	CF <sub>3</sub>
1-88	Me	SMe	Н		1-152	Me	$S(CH_2)_2OMe$	CF <sub>3</sub>
1-89	Me	SOMe	H	50	1-153	Me	SO(CH <sub>2</sub> ) <sub>2</sub> OMe	CF <sub>3</sub>
1-90 1-91	Me Me	SO₂Me SEt	H H		1-154 1-155	Me Me	SO <sub>2</sub> (CH <sub>2</sub> ) <sub>2</sub> OMe Me	CF₃ SO₂Me
1-92	Me	SOEt	H		1-156	Me	4,5-dihydro-1,2-oxazol-3 yl	SO <sub>2</sub> Me
1-93	Me	SO <sub>2</sub> Et	H		1-157	Me	4,5-dihydro-1,2-oxazol-3 yl	SO <sub>2</sub> Et
1-94	Me	S(CH <sub>2</sub> ) <sub>2</sub> OMe	H		1-158	Me	5-cyanomethyl-4,5-dihydro-	$SO_2Me$
1-95 1-96	Me Me	$SO(CH_2)_2OMe$ $SO_2(CH_2)_2OMe$	H H	55	1-159	Me	1,2-oxazol-3-yl 5-cyanomethyl-4,5-dihydro-	SO <sub>2</sub> Et
1-97	Me	F	F		1-137	IVIC	1,2-oxazol-3-yl	50 <sub>2</sub> Lt
1-98	Me	F	Cl		1-160	Me	$\mathrm{NH}_2$	$SO_2Me$
1-99	Me	SEt	F		1-161	Me	NHMe	SO <sub>2</sub> Me
1-100	Me Mo	SOEt SO Et	F		1-162	Me Ma	NMe <sub>2</sub>	SO <sub>2</sub> Me
1-101 1-102	Me Me	SO <sub>2</sub> Et Me	F Cl	60	1-163 1-164	Me Me	NH(CH <sub>2</sub> ) <sub>2</sub> OMe pyrazol-1-yl	SO <sub>2</sub> Me SO <sub>2</sub> Me
1-102	Me	F	Cl		1-165	Me	OH	$SO_2Me$ $SO_2Me$
1-104	Me	Cl	CI		1-166	Me	OMe	SO <sub>2</sub> Me
1-105	Me	$\mathrm{NH}_2$	Cl		1-167	Me	OMe	SO <sub>2</sub> Et
1-106	Me	NHMe	CI		1-168	Me	OEt	SO <sub>2</sub> Me
1-107 1-108	Me Me	NMe <sub>2</sub>	Cl	65	1-169	Me Me	OEt	SO <sub>2</sub> Et
1-108	Me Me	O(CH <sub>2</sub> ) <sub>2</sub> OMe O(CH <sub>2</sub> ) <sub>3</sub> OMe	Cl Cl	00	1-170 1-171	Me Me	OiPr OiPr	SO₂Me SO₂Et
- 107	1110	5(0112)301110	0.1			1110	OIL I	~ 0 221

# 14 TABLE 1-continued

	IAL	ole 1-commueu		-		17	ABLE 1-continued	
Com		l formula (I) according to the in CY, B is CH and R is methyl	vention in	_	Comp		eral formula (I) according to the inv s CY, B is CH and R is methyl	ention in
	N	_Me		5		3.7	_Me	
	// _ N	, o x				//~ N	o x	
	<b>(</b> _	V V				<b>~</b> _	v	
	N	'N				N	$\backslash$ N	
		Ĭ l					Ĩ III	
		Ĥ ,		10			Ĥ ,	
		• L					<b>~</b> Z	
No.	X	Y	Z	_	No.	X	Y	Z
1-172	Me	$O(CH_2)_2OMe$	$SO_2Me$		1-237	F	SMe	$CF_3$
1-173 1-174	Me Me	O(CH <sub>2</sub> ) <sub>2</sub> OMe O(CH <sub>2</sub> ) <sub>3</sub> OMe	SO <sub>2</sub> Et	15	1-238 1-239	F Cl	SOMe Ma	CF <sub>3</sub> Cl
1-174	Me Me	$O(CH_2)_3OMe$ $O(CH_2)_3OMe$	SO₂Me SO₂Et		1-239	Cl	Me OCH <sub>2</sub> CHCH <sub>2</sub>	Cl
1-176	Me	$O(CH_2)_4OMe$	SO <sub>2</sub> Me		1-241	CI	OCH <sub>2</sub> CHF <sub>2</sub>	Cl
1-177	Me	$O(CH_2)_4OMe$	SO <sub>2</sub> Et		1-242	Cl	$O(CH_2)_2OMe$	Cl
1-178	Me M-	O(CH <sub>2</sub> ) <sub>2</sub> NHSO2Me	SO <sub>2</sub> Me		1-243	Cl	OCH <sub>2</sub> CONMe <sub>2</sub>	Cl
1-179 1-180	Me Me	O(CH <sub>2</sub> ) <sub>2</sub> NHSO2Me OCH <sub>2</sub> (CO)NMe <sub>2</sub>	SO₂Et SO₂Me	20	1-244 1-245	Cl Cl	O(CH <sub>2</sub> )-5-pyrrolidin-2-on SMe	Cl Cl
1-181	Me	OCH <sub>2</sub> (CO)NMe <sub>2</sub>	SO <sub>2</sub> Et		1-246	Cl	SOMe	Cl
1-182	Me	[1,4]dioxan-2-yl-methoxy	$SO_2Me$		1-247	Cl	SO₂Me	Cl
1-183	Me	[1,4]dioxan-2-yl-methoxy	SO <sub>2</sub> Et		1-248	Cl Cl	F Cl	SMe SO M-
1-184	Me	O(CH <sub>2</sub> ) <sub>2</sub> -O(3,5-di- methoxypyrimidin-2-yl	$SO_2Me$		1-249 1-250	CI	COOMe	SO <sub>2</sub> Me SO <sub>2</sub> Me
1-185	Me	Cl	SO <sub>2</sub> Me	25	1-251	Cl	CONMe <sub>2</sub>	SO <sub>2</sub> Me
1-186	Me	SMe	$SO_2^-Me$		1-252	Cl	CONMe(OMe)	$SO_2^-Me$
1-187 1-188	Me M-	SOMe SO M-	SO <sub>2</sub> Me		1-253	Cl	CH <sub>2</sub> OMe	SO <sub>2</sub> Me
1-188	Me Me	SO₂Me SO₂Me	SO <sub>2</sub> Me SO <sub>2</sub> Et		1-254 1-255	Cl Cl	CH₂OMe CH₂OEt	SO₂Et SO₂Me
1-190	Me	SEt	SO <sub>2</sub> Me		1-256	Cl	CH <sub>2</sub> OEt	SO <sub>2</sub> Et
1-191	Me	SOEt	$SO_2^-$ Me	30	1-257	Cl	CH <sub>2</sub> OCH <sub>2</sub> CHF <sub>2</sub>	$SO_2Me$
1-192	Me	SO <sub>2</sub> Et	SO <sub>2</sub> Me		1-258	Cl	CH <sub>2</sub> OCH <sub>2</sub> CF <sub>3</sub>	SO <sub>2</sub> Me
1-193 1-194	Me Me	S(CH <sub>2</sub> ) <sub>2</sub> OMe SO(CH <sub>2</sub> ) <sub>2</sub> OMe	SO <sub>2</sub> Me SO <sub>2</sub> Me		1-259 1-260	Cl Cl	CH <sub>2</sub> OCH <sub>2</sub> CF <sub>3</sub> CH <sub>2</sub> OCH <sub>2</sub> CF <sub>2</sub> CHF <sub>2</sub>	SO <sub>2</sub> Et SO <sub>2</sub> Me
1-195	Me	$SO_2(CH_2)_2OMe$	SO2Me		1-261	Cl	CH <sub>2</sub> OcPentyl	SO <sub>2</sub> Me
1-196	$CH_2SMe$	OMe	$SO_2Me$		1-262	Cl	$CH_2PO(OMe)_2$	$SO_2Me$
1-197	CH <sub>2</sub> OMe	OMe	SO <sub>2</sub> Me	35	1-263 1-264	Cl Cl	4,5-dihydro-1,2-oxazol-3 yl	SMe SO Ma
1-198 1-199	$CH_2O(CH_2)_2OMe$ $CH_2O(CH_2)_2OMe$	NH(CH <sub>2</sub> ) <sub>2</sub> OEt NH(CH <sub>2</sub> ) <sub>3</sub> OEt	SO <sub>2</sub> Me SO <sub>2</sub> Me		1-264	Cl	4,5-dihydro-1,2-oxazol-3 yl 4,5-dihydro-1,2-oxazol-3 yl	SO₂Me SO₂Et
1-200	CH <sub>2</sub> O(CH <sub>2</sub> ) <sub>3</sub> OMe	OMe	SO <sub>2</sub> Me		1-266	Cl	5-cyanomethyl-4,5-dihydro-	SO <sub>2</sub> Me
1-201	$CH_2O(CH_2)_2OMe$	$NH(CH_2)_2OMe$	$SO_2Me$			_,	1,2-oxazol-3 yl	
1-202 1-203	CH <sub>2</sub> O(CH <sub>2</sub> ) <sub>2</sub> OMe Et	NH(CH <sub>2</sub> ) <sub>3</sub> OMe SMe	SO <sub>2</sub> Me Cl		1-267	Cl	5-cyanomethyl-4,5-dihydro- 1,2-oxazol-3 yl	SO <sub>2</sub> Et
1-204	Et	$SO_2Me$	C1	40	1-268	Cl	5-(Methoxyme-thyl)-4,5-	$SO_2Et$
1-205 1-206	Et Et	SMe SO <sub>2</sub> Me	CF <sub>3</sub> CF <sub>3</sub>		1-269	Cl	dihydro-1,2-oxazol-3 yl 5-(Methoxyme-thyl)-5-	SO <sub>2</sub> Et
1-207	Et	F	SO <sub>2</sub> Me		1-209	Cı	Methyl-4,5-dihydro-	3O <sub>2</sub> Lit
1-208	Et	$NH(CH_2)_2OMe$	$SO_2^2Me$				1,2-oxazol-3 yl	
1-209	iPr	SO <sub>2</sub> Me	CF <sub>3</sub>	45	1-270	Cl	CH <sub>2</sub> O-tetrahydrofuran-3-yl	SO <sub>2</sub> Me
1-210 1-211	cPr CF <sub>3</sub>	SO <sub>2</sub> Me O(CH <sub>2</sub> ) <sub>2</sub> OMe	CF <sub>3</sub> F	40	1-271 1-272	Cl Cl	CH <sub>2</sub> O-tetra-hydrofuran-3-yl CH <sub>2</sub> OCH <sub>2</sub> -tetrahydrofuran-	SO <sub>2</sub> Et SO <sub>2</sub> Me
1-212	CF <sub>3</sub>	$O(CH_2)_3OMe$	F				2-yl	5 0 21.10
1-213	$CF_3$	OCH <sub>2</sub> CONMe <sub>2</sub>	F		1-273	Cl	CH <sub>2</sub> OCH <sub>2</sub> -tetra-hydrofuran-	$SO_2Et$
1-214 1-215	CF <sub>3</sub> CF <sub>3</sub>	[1,4]dioxan-2-yl-methoxy O(CH <sub>2</sub> ) <sub>2</sub> OMe	F Cl		1-274	Cl	2-yl CH <sub>2</sub> OCH <sub>2</sub> -tetra-hydrofuran-	SO₂Me
1-215	CF <sub>3</sub>	O(CH <sub>2</sub> ) <sub>3</sub> OMe	Cl	50	1-2/4	Cı	3-yl	3O <sub>2</sub> Nie
1-217	$CF_3$	OCH <sub>2</sub> CONMe <sub>2</sub>	Cl		1-275	Cl	CH <sub>2</sub> OCH <sub>2</sub> -tetra-hydrofuran-	SO <sub>2</sub> Et
1-218	CF <sub>3</sub>	[1,4]dioxan-2-yl-methoxy	Cl		1 276	61	3-yl	00.14
1-219 1-220	CF <sub>3</sub> CF <sub>3</sub>	O(CH <sub>2</sub> ) <sub>2</sub> OMe O(CH <sub>2</sub> ) <sub>3</sub> OMe	Br Br		1-276 1-277	Cl Cl	OMe OMe	SO₂Me SO₂Et
1-221	CF <sub>3</sub>	OCH <sub>2</sub> CONMe <sub>2</sub>	Br		1-278	Cl	OEt	SO <sub>2</sub> Me
1-222	$CF_3$	[1,4]dioxan-2-yl-methoxy	$\operatorname{Br}$	55	1-279	Cl	OEt	SO <sub>2</sub> Et
1-223	CF <sub>3</sub>	O(CH <sub>2</sub> ) <sub>2</sub> OMe	I		1-280	Cl	OiPr O:Pr	SO <sub>2</sub> Me
1-224 1-225	$CF_3$ $CF_3$	O(CH <sub>2</sub> ) <sub>3</sub> OMe OCH <sub>2</sub> CONMe <sub>2</sub>	I I		1-281 1-282	Cl Cl	OiPr O(CH <sub>2</sub> ) <sub>2</sub> OMe	SO₂Et SO₂Me
1-226	CF <sub>3</sub>	[1,4]dioxan-2-yl-methoxy	I		1-283	Cl	$O(CH_2)_4OMe$	$SO_2Me$
1-227	$CF_3$	F	SO <sub>2</sub> Me		1-284	Cl	$O(CH_2)_4OMe$	SO <sub>2</sub> Et
1-228	CF <sub>3</sub>	F O(CH.) OMo	SO <sub>2</sub> Et	60	1-285	Cl	O(CH <sub>2</sub> ) <sub>3</sub> OMe	SO <sub>2</sub> Me
1-229 1-230	$CF_3$ $CF_3$	$O(CH_2)_2OMe$ $O(CH_2)_2OMe$	SO₂Me SO₂Et		1-286 1-287	Cl Cl	$O(CH_2)_3OMe$ $O(CH_2)_2OMe$	SO <sub>2</sub> Et SO <sub>2</sub> Me
1-231	CF <sub>3</sub>	$O(CH_2)_3OMe$	SO <sub>2</sub> Me		1-288	Cl	$O(CH_2)_2OMe$	SO <sub>2</sub> Et
1-232	CF <sub>3</sub>	O(CH <sub>2</sub> ) <sub>3</sub> OMe	SO <sub>2</sub> Et		1-289	CI	[1,4]dioxan-2-yl-methoxy	SO <sub>2</sub> Me
1-233 1-234	$CF_3$ $CF_3$	OCH <sub>2</sub> CONMe <sub>2</sub> OCH <sub>2</sub> CONMe <sub>2</sub>	SO <sub>2</sub> Me		1-290 1-291	Cl Cl	[1,4]dioxan-2-yl-methoxy OCH <sub>2</sub> (CO)NMe <sub>2</sub>	SO <sub>2</sub> Et SO <sub>2</sub> Me
1-234	CF <sub>3</sub>	[1,4]dioxan-2-yl-methoxy	SO₂Et SO₂Me	65	1-291	Cl	OCH <sub>2</sub> (CO)NMe <sub>2</sub> OCH <sub>2</sub> (CO)NMe <sub>2</sub>	SO <sub>2</sub> Me SO <sub>2</sub> Et
1-236	CF <sub>3</sub>	[1,4]dioxan-2-yl-methoxy	SO <sub>2</sub> Et		1-293	Cl	SMe	SO <sub>2</sub> Me
	=	•	-					•

# 16 TABLE 1-continued

Comp		eral formula (I) according to the in s CY, B is CH and R is methyl	vention in	<b>-</b> -	Comp		l formula (I) according to the CY, B is CH and R is methyl	invention in
	N N	Me O X Y		5		N N	Me O X Y	
No.	X	Y	Z		No.	X	Y	Z
1-294	Cl	SOMe	SO <sub>2</sub> Me	_	1-360	SMe	SEt	F F
1-295 1-296	Br Br	OMe O(CH <sub>2</sub> ) <sub>2</sub> OMe	Br Br	15	1-361	SMe	SMe	Г
1-297	Br	$O(CH_2)_2OMe$	SO <sub>2</sub> Me					
1-298 1-299	Br Br	$O(CH_2)_2OMe$ $O(CH_2)_3OMe$	SO₂Et SO₂Me				TADLE 2	
1-300	$_{\mathrm{Br}}$	$O(CH_2)_3OMe$	SO <sub>2</sub> Et				TABLE 2	
1-301 1-302	Br Br	O(CH <sub>2</sub> ) <sub>4</sub> OMe O(CH <sub>2</sub> ) <sub>4</sub> OMe	SO₂Me SO₂Et	20	Comp		formula (I) according to the	invention in
1-302	Br	[1,4]dioxan-2-yl-methoxy	SO <sub>2</sub> Me			which A is	CY, B is CH and R is ethyl	
1-304	Br	[1,4]dioxan-2-yl-methoxy	SO <sub>2</sub> Et			N.	.Et	
1-305 1-306	I	O(CH <sub>2</sub> ) <sub>2</sub> OMe O(CH <sub>2</sub> ) <sub>2</sub> OMe	SO₂Me SO₂Et			//_N	O X	
1-307	I	$O(CH_2)_2OMe$ $O(CH_2)_3OMe$	SO <sub>2</sub> Me				↓ ↓ v	
1-308	I	O(CH <sub>2</sub> ) <sub>3</sub> OMe	SO <sub>2</sub> Et	25		N	N	
1-309 1-310	I I	O(CH <sub>2</sub> ) <sub>4</sub> OMe	SO <sub>2</sub> Me				<u> </u>	
1-310	I	O(CH <sub>2</sub> ) <sub>4</sub> OMe [1,4]dioxan-2-yl-methoxy	SO₂Et SO₂Me				Ĥ Z	
1-312	I	[1,4]dioxan-2-yl-methoxy	SO <sub>2</sub> Et				L	
1-313 1-314	OMe OMe	SMe SOMe	$CF_3$	•	No	X	Y	Z
1-314	OMe OMe	SO <sub>2</sub> Me	CF <sub>3</sub> CF <sub>3</sub>	30	2-1	F	Н	Cl
1-316	OMe	SOEt	$CF_3$		2-2	F	H	Br
1-317	OMe	SO <sub>2</sub> Et	CF <sub>3</sub>		2-3	F	H	SO <sub>2</sub> Me
1-318 1-319	OMe OMe	S(CH <sub>2</sub> ) <sub>2</sub> OMe SO(CH <sub>2</sub> ) <sub>2</sub> OMe	CF <sub>3</sub> CF <sub>3</sub>		2-4 2-5	F F	H H	SO <sub>2</sub> Et CF <sub>3</sub>
1-320	OMe	$SO_2(CH_2)_2OMe$	CF <sub>3</sub>	35	2-6	F	H	$NO_2$
1-321	OMe	SMe	Cl	33	2-7	Cl	H	F
1-322 1-323	OMe OMe	SOMe SO <sub>2</sub> Me	Cl Cl		2-8 2-9	Cl Cl	H H	Cl Br
1-324	OMe	SEt	Cl		2-10	Cl	H	SMe
1-325	OMe	SOEt	Cl		2-11	Cl	H	SOMe
1-326 1-327	OMe OMe	SO2Et $S(CH_2)_2OMe$	Cl Cl	40	2-12 2-13	Cl Cl	H H	SO <sub>2</sub> Me SO <sub>2</sub> CH <sub>2</sub> Cl
1-328	OMe	SO(CH <sub>2</sub> ) <sub>2</sub> OMe	Cl		2-13	Cl	H	SEt
1-329	OMe	$SO_2(CH_2)_2OMe$	Cl		2-15	Cl	Н	$SO_2Et$
1-330 1-331	OCH₂c-Pr OCH₂c-Pr	SMe SOMe	CF <sub>3</sub> CF <sub>3</sub>		2-16 2-17	Cl Cl	H H	$ CF_3 $ $ NO_2 $
1-332	OCH <sub>2</sub> c-Pr	SO <sub>2</sub> Me	CF <sub>3</sub>		2-17	CI	H	pyrazol-1-yl
1-333	OCH <sub>2</sub> c-Pr	SEt	CF <sub>3</sub>	45	2-19	Cl	H	1H-1,2,4-
1-334 1-335	OCH <sub>2</sub> c-Pr OCH <sub>2</sub> c-Pr	SOEt SO <sub>2</sub> Et	CF <sub>3</sub> CF <sub>3</sub>		2.20	D.	TT	triazol-1-yl
1-336	OCH <sub>2</sub> c-Pr	S(CH <sub>2</sub> ) <sub>2</sub> OMe	CF <sub>3</sub>		2-20 2-21	Br Br	H H	Cl Br
1-337	OCH <sub>2</sub> c-Pr	SO(CH <sub>2</sub> ) <sub>2</sub> OMe	$CF_3$		2-22	$_{\mathrm{Br}}$	H	$SO_2Me$
1-338 1-339	OCH <sub>2</sub> c-Pr OCH <sub>2</sub> c-Pr	SO <sub>2</sub> (CH <sub>2</sub> ) <sub>2</sub> OMe SMe	CF <sub>3</sub> Cl	50	2-23 2-24	Br Br	H H	$SO_2Et$ $CF_3$
1-340	OCH <sub>2</sub> c-Pr	SOMe	Cl	30	2-25	SO <sub>2</sub> Me	H	Cl
1-341	OCH <sub>2</sub> c-Pr	SO <sub>2</sub> Me	Cl		2-26	$SO_2^-Me$	H	$_{ m Br}$
1-342 1-343	OCH <sub>2</sub> c-Pr OCH <sub>2</sub> c-Pr	SEt SOEt	Cl Cl		2-27 2-28	SO₂Me SO₂Me	H H	SMe SOMe
1-344	OCH <sub>2</sub> c-Pr	SO <sub>2</sub> Et	Cl		2-29	SO <sub>2</sub> Me	H	SO <sub>2</sub> Me
1-345	OCH <sub>2</sub> c-Pr	S(CH <sub>2</sub> ) <sub>2</sub> OMe	CI	55	2-30	$SO_2Me$	H	$SO_2Et$
1-346 1-347	OCH <sub>2</sub> c-Pr OCH <sub>2</sub> c-Pr	SO(CH <sub>2</sub> ) <sub>2</sub> OMe SO <sub>2</sub> (CH <sub>2</sub> ) <sub>2</sub> OMe	Cl Cl		2-31 2-32	SO₂Me SO₂Et	H H	CF <sub>3</sub> Cl
1-348	OCH <sub>2</sub> c-Pr	SMe	SO <sub>2</sub> Me		2-32	SO <sub>2</sub> Et	H	Br
1-349	OCH <sub>2</sub> c-Pr	SOMe	SO <sub>2</sub> Me		2-34	SO <sub>2</sub> Et	H	SMe
1-350 1-351	OCH₂c-Pr OCH₂c-Pr	SO <sub>2</sub> Me SEt	SO <sub>2</sub> Me SO <sub>2</sub> Me		2-35 2-36	SO <sub>2</sub> Et	H H	SOMe SO-Me
1-352	OCH <sub>2</sub> c-Pr	SOEt	SO <sub>2</sub> Me	60	2-30	SO₂Et SO₂Et	H H	$SO_2Me$ $CF_3$
1-353	OCH <sub>2</sub> c-Pr	SO <sub>2</sub> Et	$SO_2Me$		2-38	$\overline{\text{NO}_2}$	H	F
1-354 1-355	OCH <sub>2</sub> c-Pr OCH <sub>2</sub> c-Pr	S(CH <sub>2</sub> ) <sub>2</sub> OMe SO(CH <sub>2</sub> ) <sub>2</sub> OMe	SO <sub>2</sub> Me SO <sub>2</sub> Me		2-39	NO <sub>2</sub>	Н	Cl Pr
1-356	OCH <sub>2</sub> c-Pr	$SO_2(CH_2)_2OMe$ $SO_2(CH_2)_2OMe$	SO <sub>2</sub> Me		2-40 2-41	$\frac{\text{NO}_2}{\text{NO}_2}$	H H	Br I
1-357	$SO_2Me$	F	$\overline{\mathrm{CF}}_3$		2-42	$NO_2$	H	CN
1-358	SO <sub>2</sub> Me	NH <sub>2</sub>	CF <sub>3</sub>	65	2-43	NO <sub>2</sub>	H	SO <sub>2</sub> Me
1-359	$SO_2Me$	NHEt	Cl		2-44	$NO_2$	Н	SO₂Et

# 18 TABLE 2-continued

Comp		ral formula (I) according to the ir s CY, B is CH and R is ethyl	vention in		Compounds of the general formula (I) according to the invention in which A is CY, B is CH and R is ethyl				
	N N	Et O X Y Y H		5		N N	Et O X Y		
No	X	Y	Z		No	X	Y	Z	
2-45	$NO_2$	Н	CF <sub>3</sub>		2-108	Me	O(CH <sub>2</sub> ) <sub>2</sub> OMe	Cl	
2-46 2-47	Me Me	H H	Cl Br	15	2-109 2-110	Me Me	$O(CH_2)_3OMe$ $O(CH_2)_4OMe$	Cl Cl	
2-48	Me	H	SMe		2-111	Me	OCH <sub>2</sub> CONMe <sub>2</sub>	Cl	
2-49	Me	H	$SO_2Me$		2-112	Me	$O(CH_2)_2$ — $CO$ — $NMe_2$	Cl	
2-50	Me	H	SO <sub>2</sub> CH <sub>2</sub> Cl		2-113	Me	O(CH <sub>2</sub> ) <sub>2</sub> —NH(CO)NMe <sub>2</sub>	Cl	
2-51 2-52	Me Me	H H	SEt SO₂Et		2-114 2-115	Me Me	O(CH <sub>2</sub> ) <sub>2</sub> —NH(CO)NHCO <sub>2</sub> Et O(CH <sub>2</sub> ) <sub>2</sub> —NHCO <sub>2</sub> Me	Cl Cl	
2-53	Me	H	CF <sub>3</sub>	20	2-116	Me	O—CH <sub>2</sub> —NHSO <sub>2</sub> cPr	Cl	
2-54	$CH_2SO_2Me$	Н	$CF_3$		2-117	Me	O(CH <sub>2</sub> )-5-2,4-dime-thyl-2,4-	C1	
2-55	Et	H	Cl		2.440		dihydro-3H-1,2,4-triazol-3-on	61	
2-56 2-57	Et Et	H H	Br SMe		2-118	Me	O(CH <sub>2</sub> )-3,5-dime-thyl-1,2- oxazol-4-yl	Cl	
2-58	Et	H	SO <sub>2</sub> Me		2-119	Me	SMe	Cl	
2-59	Et	Н	$SO_2\bar{C}H_2Cl$	25	2-120	Me	SOMe	Cl	
2-60	Et	H	SEt		2-121	Me	SO <sub>2</sub> Me	Cl	
2-61 2-62	Et Et	H H	$SO_2Et$ $CF_3$		2-122 2-123	Me Me	SEt SOEt	Cl Cl	
2-63	CF <sub>3</sub>	H	Cl Cl		2-123	Me	SO <sub>2</sub> Et	Cl	
2-64	CF <sub>3</sub>	Н	$_{\mathrm{Br}}$		2-125	Me	$S(CH_2)_2OMe$	Cl	
2-65	CF <sub>3</sub>	H	SO <sub>2</sub> Me	30	2-126	Me	SO(CH <sub>2</sub> ) <sub>2</sub> OMe	Cl	
2-66 2-67	CF <sub>3</sub> CF <sub>3</sub>	H H	SO <sub>2</sub> Et CF <sub>3</sub>		2-127 2-128	Me Me	$SO_2(CH_2)_2OMe$ $NH_2$	Cl Br	
2-68	NO <sub>2</sub>	NH <sub>2</sub>	F		2-128	Me	NHMe	Br	
2-69	$NO_2$	NHMe	F		2-130	Me	$NMe_2$	Br	
2-70	$NO_2$	NMe <sub>2</sub>	F		2-131	Me	O(CH <sub>2</sub> )CONEt <sub>2</sub>	Br	
2-71 2-72	$\frac{\text{NO}_2}{\text{NO}_2}$	Me NH <sub>2</sub>	Cl Cl	35	2-132 2-133	Me Me	O(CH <sub>2</sub> )-5-pyrrolidin-2-on SMe	Br Br	
2-72	NO <sub>2</sub>	NHMe	Cl		2-133	Me	SOMe	Br	
2-74	$NO_2$	$\mathrm{NMe}_2$	Cl		2-135	Me	$SO_2Me$	$\operatorname{Br}$	
2-75	NO <sub>2</sub>	NH <sub>2</sub>	Br		2-136	Me	SEt	Br	
2-76 2-77	$\frac{NO_2}{NO_2}$	NHMe NMe <sub>2</sub>	Br Br		2-137 2-138	Me Me	SOEt SO <sub>2</sub> Et	Br Br	
2-78	NO <sub>2</sub>	NH <sub>2</sub>	CF <sub>3</sub>	40	2-139	Me	SMe	I	
2-79	$\overline{NO_2}$	$NMe_2$	$CF_3$		2-140	Me	SOMe	I	
2-80	NO <sub>2</sub>	$_{ m NH_2}$	SO <sub>2</sub> Me		2-141	Me	SO <sub>2</sub> Me SEt	I	
2-81 2-82	$\frac{NO_2}{NO_2}$	NH <sub>2</sub> NHMe	SO₂Et SO₂Me		2-142 2-143	Me Me	SOEt	I I	
2-83	$NO_2$	NMe <sub>2</sub>	SO <sub>2</sub> Me		2-144	Me	SO <sub>2</sub> Et	Ī	
2-84	$NO_2$	$\mathrm{NMe}_2$	$SO_2Et$	45	2-145	Me	Cl	$CF_3$	
2-85	$NO_2$	$\mathrm{NH}_2$	1H-1,2,4-		2-146	Me Mo	SMe SOMe	$CF_3$	
2-86	$NO_2$	NHMe	triazol-1-yl 1H-1,2,4-		2-147 2-148	Me Me	SOMe SO <sub>2</sub> Me	CF <sub>3</sub> CF <sub>3</sub>	
	2		triazol-1-yl		2-149	Me	s <sup>´</sup> Et	$CF_3$	
2-87	$NO_2$	$NMe_2$	1H-1,2,4-		2-150	Me	SOEt	CF <sub>3</sub>	
2-88	Me	SMe	triazol-1-yl H	50	2-151 2-152	Me Me	SO <sub>2</sub> Et S(CH <sub>2</sub> ) <sub>2</sub> OMe	CF <sub>3</sub> CF <sub>3</sub>	
2-89	Me	SOMe	Н		2-153	Me	SO(CH <sub>2</sub> ) <sub>2</sub> OMe	CF <sub>3</sub>	
2-90	Me	$SO_2Me$	H		2-154	Me	$SO_2(CH_2)_2OMe$	$CF_3$	
2-91	Me	SEt SOEt	H		2-155	Me	Me	SO <sub>2</sub> Me	
2-92 2-93	Me Me	SO <sub>2</sub> Et	H H		2-156 2-157	Me Me	4,5-dihydro-1,2-oxazol-3 yl 4,5-dihydro-1,2-oxazol-3 yl	SO₂Me SO₂Et	
2-94	Me	$S(CH_2)_2OMe$	H	55	2-158	Me	5-cyanomethyl-4,5-dihydro-	SO <sub>2</sub> Me	
2-95	Me	$SO(CH_2)_2OMe$	H				1,2-oxazol-3-yl		
2-96 2-97	Me Me	SO <sub>2</sub> (CH <sub>2</sub> ) <sub>2</sub> OMe F	H F		2-159	Me	5-cyanomethyl-4,5-dihydro- 1,2-oxazol-3-yl	SO <sub>2</sub> Et	
2-97	Me	F	Cl		2-160	Me	NH <sub>2</sub>	SO <sub>2</sub> Me	
2-99	Me	SEt	F		2-161	Me	NHMe	$SO_2^2Me$	
2-100	Me	SOEt	F	60	2-162	Me	NMe <sub>2</sub>	SO <sub>2</sub> Me	
2-101 2-102	Me Me	SO <sub>2</sub> Et Me	F Cl		2-163 2-164	Me Me	NH(CH <sub>2</sub> ) <sub>2</sub> OMe Pyrazol-1-yl	SO₂Me SO₂Me	
2-102	Me	F	Cl		2-165	Me	OH	$SO_2Me$	
2-104	Me	Cl	Cl		2-166	Me	OMe	SO <sub>2</sub> Me	
2-105	Me	$\mathrm{NH}_2$	Cl		2-167	Me	OMe	$\overline{\mathrm{SO}_2}\mathrm{Et}$	
2-106	Me Me	NHMe NMa	Cl	65	2-168	Me Ma	OEt	SO <sub>2</sub> Me	
2-107	Me	$NMe_2$	Cl		2-169	Me	OEt	SO₂Et	

## 20 TABLE 2-continued

	IAI		-	TABLE 2-continued				
Com		l formula (I) according to the ir CY, B is CH and R is ethyl	wention in	_	Comp		ral formula (I) according to the invis CY, B is CH and R is ethyl	ention in
		_ Et		5			.Et	
	N_N/	O X				$\sqrt{N-N}$	o x	
	<b>(</b>					<b>/</b>		
	N	\\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \				\ <sub>N</sub>	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	
	11	N Y				11	N Y	
		H L		10				
		" Z		10			$\sim$ Z	
No	X	Y	Z	_	No	X	Y	Z
2-170	Me	OiPr	SO <sub>2</sub> Me		2-235	CF <sub>3</sub>	[1,4]dioxan-2-yl-methoxy	SO <sub>2</sub> Me
2-171	Me	OiPr	SO <sub>2</sub> Et	15	2-236	CF <sub>3</sub>	[1,4]dioxan-2-yl-methoxy	$SO_2Et$
2-172	Me	O(CH <sub>2</sub> ) <sub>2</sub> OMe	SO <sub>2</sub> Me	13	2-237	F	SMe	CF <sub>3</sub>
2-173	Me	O(CH <sub>2</sub> ) <sub>2</sub> OMe	SO <sub>2</sub> Et		2-238	F	SOMe	$CF_3$
2-174 2-175	Me Me	O(CH <sub>2</sub> ) <sub>3</sub> OMe O(CH <sub>2</sub> ) <sub>3</sub> OMe	SO₂Me SO₂Et		2-239 2-240	Cl Cl	Me OCH₂CHCH₂	CI CI
2-176	Me	$O(CH_2)_4OMe$	SO <sub>2</sub> Me		2-241	Cl	OCH <sub>2</sub> CHF <sub>2</sub>	Cl
2-177	Me	$O(CH_2)_4OMe$	SO <sub>2</sub> Et		2-242	Cl	O(CH <sub>2</sub> ) <sub>2</sub> OMe	Cl
2-178	Me	O(CH <sub>2</sub> ) <sub>2</sub> NHSO2Me	SO <sub>2</sub> Me	20	2-243	Cl	OCH <sub>2</sub> (CO)NMe <sub>2</sub>	Cl
2-179	Me	O(CH <sub>2</sub> ) <sub>2</sub> NHSO2Me	$SO_2Et$		2-244	Cl	O(CH <sub>2</sub> )-5-pyrrolidin-2-on	Cl
2-180	Me	OCH <sub>2</sub> (CO)NMe <sub>2</sub>	$SO_2Me$		2-245	Cl	SMe	Cl
2-181	Me	OCH <sub>2</sub> (CO)NMe <sub>2</sub>	SO <sub>2</sub> Et		2-246	Cl	SOMe	Cl
2-182 2-183	Me Me	[1,4]dioxan-2-yl-methoxy [1,4]dioxan-2-yl-methoxy	SO <sub>2</sub> Me		2-247 2-248	Cl Cl	SO <sub>2</sub> Me F	Cl SMe
2-183	Me	O(CH <sub>2</sub> ) <sub>2</sub> —O(3,5-di-	SO₂Et SO₂Me	25	2-248	Cl	Cl	SO <sub>2</sub> Me
2-10-	IVIC	methoxypyrimidin-2-yl	30 <sub>2</sub> wic	23	2-249	Cl	COOMe	SO <sub>2</sub> Me
2-185	Me	Cl	SO <sub>2</sub> Me		2-251	Cl	CONMe <sub>2</sub>	SO <sub>2</sub> Me
2-186	Me	SMe	$SO_2^2$ Me		2-252	Cl	CONMe(OMe)	$SO_2^{-}Me$
2-187	Me	SOMe	$SO_2^-$ Me		2-253	Cl	CH <sub>2</sub> OMe	$SO_2Me$
2-188	Me	$SO_2Me$	$SO_2Me$		2-254	Cl	CH <sub>2</sub> OMe	SO₂Et
2-189	Me	SO <sub>2</sub> Me	SO <sub>2</sub> Et	30	2-255	Cl	CH₂OEt	SO <sub>2</sub> Me
2-190 2-191	Me Me	SEt SOEt	SO <sub>2</sub> Me		2-256 2-257	Cl Cl	CH <sub>2</sub> OEt	SO <sub>2</sub> Et
2-191	Me	SO <sub>2</sub> Et	SO₂Me SO₂Me		2-258	Cl	CH <sub>2</sub> OCH <sub>2</sub> CHF <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> CF <sub>3</sub>	SO <sub>2</sub> Me SO <sub>2</sub> Me
2-193	Me	S(CH <sub>2</sub> ) <sub>2</sub> OMe	SO <sub>2</sub> Me		2-259	Cl	CH <sub>2</sub> OCH <sub>2</sub> CF <sub>3</sub>	SO <sub>2</sub> Et
2-194	Me	SO(CH <sub>2</sub> ) <sub>2</sub> OMe	$SO_2Me$		2-260	Cl	CH <sub>2</sub> OCH <sub>2</sub> CF <sub>2</sub> CHF <sub>2</sub>	SO <sub>2</sub> Me
2-195	Me	$SO_2(CH_2)_2OMe$	SO2Me	35	2-261	Cl	CH₂OcPentyl	$SO_2Me$
2-196	CH <sub>2</sub> SMe	OMe	$SO_2Me$	33	2-262	Cl	$CH_2PO(OMe)_2$	$SO_2Me$
2-197	CH <sub>2</sub> OMe	OMe	SO <sub>2</sub> Me		2-263	Cl	4,5-dihydro-1,2-oxazol-3 yl	SMe
2-198	CH <sub>2</sub> O(CH <sub>2</sub> ) <sub>2</sub> OMe	NH(CH <sub>2</sub> ) <sub>2</sub> OEt	SO <sub>2</sub> Me		2-264	Cl Cl	4,5-dihydro-1,2-oxazol-3 yl	SO <sub>2</sub> Me
2-199 2-200	CH <sub>2</sub> O(CH <sub>2</sub> ) <sub>2</sub> OMe CH <sub>2</sub> O(CH <sub>2</sub> ) <sub>3</sub> OMe	NH(CH <sub>2</sub> ) <sub>3</sub> OEt OMe	SO <sub>2</sub> Me SO <sub>2</sub> Me		2-265 2-266	Cl	4,5-dihydro-1,2-oxazol-3 yl 5-cyanomethyl-4,5-dihydro-	SO <sub>2</sub> Et SO <sub>2</sub> Me
2-200	CH <sub>2</sub> O(CH <sub>2</sub> ) <sub>3</sub> OMe	NH(CH <sub>2</sub> ) <sub>2</sub> OMe	SO <sub>2</sub> Me		2-200	CI	1,2-oxazol-3 yl	50 <sub>2</sub> Nic
2-202	$CH_2O(CH_2)_2OMe$	NH(CH <sub>2</sub> ) <sub>3</sub> OMe	$SO_2^2$ Me	40	2-267	Cl	5-cyanomethyl-4,5-dihydro-	$SO_2Et$
2-203	Et	SMe	Cl		2.260	01	1,2-oxazol-3 yl	00 F:
2-204	Et Et	SO <sub>2</sub> Me	CI		2-268	Cl	5-(Methoxymethyl)-4,5-	SO₂Et
2-205 2-206	Et	SMe SO <sub>2</sub> Me	CF <sub>3</sub> CF <sub>3</sub>		2-269	Cl	dihydro-1,2-oxazol-3 yl 5-(Methoxymethyl)-5-Methyl-	SO <sub>2</sub> Et
2-207	Et	F	SO <sub>2</sub> Me		2 200	CI	4,5-dihy-dro-1,2-oxazol-3 yl	SOZE
2-208	Et	NH(CH <sub>2</sub> ) <sub>2</sub> OMe	SO <sub>2</sub> Me	45	2-270	Cl	CH <sub>2</sub> O-tetrahydrofuran-3-yl	SO <sub>2</sub> Me
2-209	iPr	SO <sub>2</sub> Me	$\overline{\mathrm{CF}}_{3}$		2-271	Cl	CH <sub>2</sub> O-tetrahydrofuran-3-yl	SO <sub>2</sub> Et
2-210	cPr	$SO_2Me$	$CF_3$		2-272	Cl	CH <sub>2</sub> OCH <sub>2</sub> -tetrahydrofuran-2-	$SO_2Me$
2-211	CF <sub>3</sub>	O(CH <sub>2</sub> ) <sub>2</sub> OMe	F		2.272	CI.	yl oou a la la cara	50 F:
2-212 2-213	$CF_3$ $CF_3$	O(CH <sub>2</sub> ) <sub>3</sub> OMe OCH <sub>2</sub> CONMe <sub>2</sub>	F F		2-273	Cl	CH <sub>2</sub> OCH <sub>2</sub> -tetrahydrofuran-2-	SO <sub>2</sub> Et
2-213	CF <sub>3</sub>	[1,4]dioxan-2-yl-methoxy	F	50	2-274	Cl	yl CH <sub>2</sub> OCH <sub>2</sub> -tetrahydrofuran-3-	SO <sub>2</sub> Me
2-215	CF <sub>3</sub>	O(CH <sub>2</sub> ) <sub>2</sub> OMe	Čl	50	2 27 1	Ci	yl	БОДНЕ
2-216	CF <sub>3</sub>	$O(CH_2)_3^2OMe$	Cl		2-275	Cl	CH <sub>2</sub> OCH <sub>2</sub> -tetrahydrofuran-3-	$SO_2Et$
2-217	$CF_3$	OCH <sub>2</sub> CONMe <sub>2</sub>	C1				yl	
2-218	$CF_3$	[1,4]dioxan-2-yl-methoxy	Cl		2-276	Cl	OMe	$SO_2Me$
2-219	CF <sub>3</sub>	O(CH <sub>2</sub> ) <sub>2</sub> OMe	Br		2-277	Cl	OMe OF	SO <sub>2</sub> Et
2-220 2-221	CF <sub>3</sub> CF <sub>3</sub>	O(CH <sub>2</sub> ) <sub>3</sub> OMe OCH <sub>2</sub> CONMe <sub>2</sub>	Br Br	55	2-278 2-279	Cl Cl	OEt OEt	SO₂Me SO₂Et
2-221	CF <sub>3</sub>	[1,4]dioxan-2-yl-methoxy	Br		2-279	Cl	OiPr	SO <sub>2</sub> Me
2-223	CF <sub>3</sub>	O(CH <sub>2</sub> ) <sub>2</sub> OMe	I		2-281	Cl	OiPr	SO <sub>2</sub> Et
2-224	CF <sub>3</sub>	$O(CH_2)_3OMe$	Ī		2-282	Cl	$O(CH_2)_2OMe$	SO <sub>2</sub> Me
2-225	CF <sub>3</sub>	OCH <sub>2</sub> CONMe <sub>2</sub>	I		2-283	Cl	O(CH <sub>2</sub> ) <sub>4</sub> OMe	$SO_2Me$
2-226	$CF_3$	[1,4]dioxan-2-yl-methoxy	I	60	2-284	Cl	$O(CH_2)_4OMe$	SO <sub>2</sub> Et
2-227	CF <sub>3</sub>	F	SO <sub>2</sub> Me	60	2-285	Cl	O(CH <sub>2</sub> ) <sub>3</sub> OMe	SO <sub>2</sub> Me
2-228	CF <sub>3</sub>	F O(CH ) OMo	SO <sub>2</sub> Et		2-286	Cl	O(CH <sub>2</sub> ) <sub>3</sub> OMe	SO <sub>2</sub> Et
2-229 2-230	CF <sub>3</sub> CF <sub>3</sub>	O(CH <sub>2</sub> ) <sub>2</sub> OMe O(CH <sub>2</sub> ) <sub>2</sub> OMe	SO₂Me SO₂Et		2-287 2-288	Cl Cl	$O(CH_2)_2OMe$ $O(CH_2)_2OMe$	SO₂Me SO₂Et
2-230	CF <sub>3</sub>	$O(CH_2)_2OMe$ $O(CH_2)_3OMe$	SO <sub>2</sub> Et SO <sub>2</sub> Me		2-289	Cl	[1,4]dioxan-2-yl-methoxy	SO <sub>2</sub> Me
2-231	CF <sub>3</sub>	$O(CH_2)_3OMe$	SO <sub>2</sub> Ntc SO <sub>2</sub> Et		2-290	Cl	[1,4]dioxan-2-yl-methoxy	SO <sub>2</sub> Ntc SO <sub>2</sub> Et
2-233	CF <sub>3</sub>	OCH <sub>2</sub> CONMe <sub>2</sub>	SO <sub>2</sub> Me	65	2-291	Cl	OCH <sub>2</sub> (CO)NMe <sub>2</sub>	SO <sub>2</sub> Me
2-234	CF <sub>3</sub>	OCH <sub>2</sub> CONMe <sub>2</sub>	SO <sub>2</sub> Et		2-292	Cl	OCH <sub>2</sub> (CO)NMe <sub>2</sub>	SO <sub>2</sub> Et
	-		_					-

## 22 TABLE 2-continued

	TABLE 2-continued					TABLE 2-continued				
Comp		eral formula (I) according to the in is CY, B is CH and R is ethyl	vention in		Com		formula (I) according to the CY, B is CH and R is ethyl	invention in		
	N	Et		5		N/	Et			
							0 X 			
	N	Y Y				N	N A			
		Î		10			Î			
		$^{\mathrm{H}}$		10			$rac{1}{2}$			
No	X	Y	Z	_	No	X	Y	Z		
2-293	Cl	SMe	SO <sub>2</sub> Me	_	2-359	SO <sub>2</sub> Me	NHEt	Cl		
2-294 2-295	Cl Br	SOMe OMe	SO <sub>2</sub> Me Br	15	2-360 2-361	SMe SMe	SEt SMe	F F		
2-296	Br	O(CH <sub>2</sub> ) <sub>2</sub> OMe	Br							
2-297 2-298	$_{ m Br}^{ m Br}$	O(CH <sub>2</sub> ) <sub>2</sub> OMe O(CH <sub>2</sub> ) <sub>2</sub> OMe	SO₂Me SO₂Et							
2-299	$_{ m Br}$	$O(CH_2)_3OMe$	SO <sub>2</sub> Me				TABLE 3			
2-300 2-301	$_{ m Br}^{ m Br}$	O(CH <sub>2</sub> ) <sub>3</sub> OMe	SO <sub>2</sub> Et	20						
2-301	Br	O(CH <sub>2</sub> ) <sub>4</sub> OMe O(CH <sub>2</sub> ) <sub>4</sub> OMe	SO₂Me SO₂Et		Com		formula (I) according to the Y, B is CH and R is phenyl	invention in		
2-303	Br	[1,4]dioxan-2-yl-methoxy	$SO_2Me$			WIIICH A IS C	1, B is CH and K is phenyi			
2-304 2-305	Br I	[1,4]dioxan-2-yl-methoxy O(CH <sub>2</sub> ) <sub>2</sub> OMe	SO₂Et SO₂Me			N	Ph			
2-306	I	$O(CH_2)_2OMe$	SO <sub>2</sub> Et			/ N	O X			
2-307	I	O(CH <sub>2</sub> ) <sub>3</sub> OMe	SO <sub>2</sub> Me	25		\_	X X			
2-308 2-309	I	O(CH <sub>2</sub> ) <sub>3</sub> OMe O(CH <sub>2</sub> ) <sub>4</sub> OMe	SO₂Et SO₂Me			11	N Y			
2-310	I	$O(CH_2)_4OMe$	SO <sub>2</sub> Et				H			
2-311 2-312	I	[1,4]dioxan-2-yl-methoxy [1,4]dioxan-2-yl-methoxy	SO₂Me SO₂Et				$\sim$ Z			
2-313	OMe	SMe	CF <sub>3</sub>	30	No.	X	Y	Z		
2-314	OMe	SOMe	CF <sub>3</sub>	50						
2-315 2-316	OMe OMe	SO <sub>2</sub> Me SOEt	CF <sub>3</sub> CF <sub>3</sub>		3-1 3-2	F F	H H	Cl Br		
2-317	OMe	$SO_2Et$	$CF_3$		3-3	F	H	SO <sub>2</sub> Me		
2-318 2-319	OMe OMe	S(CH <sub>2</sub> ) <sub>2</sub> OMe SO(CH <sub>2</sub> ) <sub>2</sub> OMe	CF <sub>3</sub> CF <sub>3</sub>		3-4	F	H	SO <sub>2</sub> Et		
2-319	OMe	$SO_2(CH_2)_2OMe$	CF <sub>3</sub>	35	3-5 3-6	F F	H H	$ CF_3 $ $ NO_2 $		
2-321	OMe	SMe	CI		3-7	Cl	H	F		
2-322 2-323	OMe OMe	SOMe SO₂Me	CI CI		3-8 3-9	Cl Cl	H H	Cl Br		
2-324	OMe	SEt	Cl		3-10	Cl	H	SMe		
2-325 2-326	OMe OMe	SOEt SO2Et	CI CI	40	3-11	Cl	H	SOMe		
2-327	OMe	S(CH <sub>2</sub> ) <sub>2</sub> OMe	Cl		3-12 3-13	Cl Cl	H H	SO₂Me SO₂CH₂Cl		
2-328	OMe OM-	SO(CH <sub>2</sub> ) <sub>2</sub> OMe	Cl		3-14	Cl	H	SEt		
2-329 2-330	OMe OCH₂c-Pr	$SO_2(CH_2)_2OMe$ SMe	Cl CF <sub>3</sub>		3-15 3-16	Cl Cl	H H	SO <sub>2</sub> Et CF <sub>3</sub>		
2-331	OCH <sub>2</sub> c-Pr	SOMe	CF <sub>3</sub>		3-17	Cl	H	$NO_2$		
2-332 2-333	OCH <sub>2</sub> c-Pr OCH <sub>2</sub> c-Pr	SO <sub>2</sub> Me SEt	CF <sub>3</sub> CF <sub>3</sub>	45	3-18	CI	H	pyrazol-1-yl		
2-334	OCH <sub>2</sub> c-Pr	SOEt	CF <sub>3</sub>		3-19	Cl	Н	1H-1,2,4- triazol-1-yl		
2-335 2-336	OCH <sub>2</sub> c-Pr OCH <sub>2</sub> c-Pr	SO <sub>2</sub> Et S(CH <sub>2</sub> ) <sub>2</sub> OMe	$CF_3$ $CF_3$		3-20	Br	H	Cl		
2-337	OCH <sub>2</sub> c-Pr	SO(CH <sub>2</sub> ) <sub>2</sub> OMe	CF <sub>3</sub>		3-21 3-22	$_{ m Br}$	H H	Br SO₂Me		
2-338	OCH <sub>2</sub> c-Pr	$SO_2(CH_2)_2OMe$	CF <sub>3</sub>	50	3-23	Br	H	SO <sub>2</sub> Et		
2-339 2-340	OCH <sub>2</sub> c-Pr OCH <sub>2</sub> c-Pr	SMe SOMe	Cl Cl		3-24 3-25	Br SO₂Me	H H	CF <sub>3</sub> Cl		
2-341	OCH <sub>2</sub> c-Pr	$SO_2Me$	C1		3-25	SO <sub>2</sub> Me	H	Br		
2-342 2-343	OCH <sub>2</sub> c-Pr OCH <sub>2</sub> c-Pr	SEt SOEt	Cl Cl		3-27	SO <sub>2</sub> Me	H	SMe		
2-344	OCH <sub>2</sub> c-Pr	SO <sub>2</sub> Et	Cl	55	3-28 3-29	SO₂Me SO₂Me	H H	SOMe SO₂Me		
2-345	OCH <sub>2</sub> c-Pr	$S(CH_2)_2OMe$	Cl	33	3-30	$SO_2^-Me$	H	SO <sub>2</sub> Et		
2-346 2-347	OCH <sub>2</sub> c-Pr OCH <sub>2</sub> c-Pr	$SO(CH_2)_2OMe$ $SO_2(CH_2)_2OMe$	Cl Cl		3-31 3-32	SO <sub>2</sub> Me	H H	CF <sub>3</sub> Cl		
2-348	OCH <sub>2</sub> c-Pr	SMe	$SO_2Me$		3-32 3-33	SO <sub>2</sub> Et SO <sub>2</sub> Et	H	Br		
2-349 2-350	OCH <sub>2</sub> c-Pr OCH <sub>2</sub> c-Pr	SOMe SO <sub>2</sub> Me	SO <sub>2</sub> Me SO <sub>2</sub> Me		3-34	SO <sub>2</sub> Et	H	SMe		
2-351	OCH <sub>2</sub> c-Pr	SEt	SO <sub>2</sub> Me	60	3-35 3-36	SO₂Et SO₂Et	H H	SOMe SO₂Me		
2-352	OCH <sub>2</sub> c-Pr	SOEt	$SO_2Me$		3-37	SO <sub>2</sub> Et	H	$\overline{\mathrm{CF}}_{3}$		
2-353 2-354	OCH <sub>2</sub> c-Pr OCH <sub>2</sub> c-Pr	$SO_2Et$ $S(CH_2)_2OMe$	SO <sub>2</sub> Me SO <sub>2</sub> Me		3-38 3-39	$\frac{NO_2}{NO_2}$	H H	F Cl		
2-355	OCH <sub>2</sub> c-Pr	$SO(CH_2)_2OMe$	$SO_2Me$		3-39	$NO_2$ $NO_2$	H	Br		
2-356	OCH <sub>2</sub> c-Pr	$SO_2(CH_2)_2OMe$	SO <sub>2</sub> Me	65	3-41	$NO_2$	H	I		
2-357 2-358	SO₂Me SO₂Me	$^{ m F}$ $^{ m NH}_2$	CF <sub>3</sub> CF <sub>3</sub>	0.5	3-42 3-43	$\frac{\text{NO}_2}{\text{NO}_2}$	H H	CN SO₂Me		
_ 550	2 2 21110		~ 3		5 15	1.02	2.1	~ 521.10		

## 24 TABLE 3-continued

	TABLE 5 continued					TABLE 5 continued					
Comp		al formula (I) according to the in CY, B is CH and R is phenyl	wention in	-	Comp		ral formula (I) according to the inv s CY, B is CH and R is phenyl	ention in			
	N N	Ph O X Y		5		N N	Ph O X Y				
No.	X	Y	z		No.	X	Y	z			
3-44	NO <sub>2</sub>	Н	SO <sub>2</sub> Et	•	3-107	Me	NMe <sub>2</sub>	Cl			
3-45	$NO_2$	Н	$C\bar{F}_3$	15	3-108	Me	$O(CH_2)_2OMe$	Cl			
3-46 3-47	Me	H H	Cl Br		3-109 3-110	Me M-	O(CH <sub>2</sub> ) <sub>3</sub> OMe	Cl Cl			
3-47	Me Me	H H	SMe		3-110	Me Me	O(CH <sub>2</sub> ) <sub>4</sub> OMe OCH <sub>2</sub> CONMe <sub>2</sub>	Cl			
3-49	Me	H	SO <sub>2</sub> Me		3-112	Me	O(CH <sub>2</sub> ) <sub>2</sub> —CONMe <sub>2</sub>	Cl			
3-50	Me	Н	SO₂ĈH₂Cl		3-113	Me	O(CH <sub>2</sub> ) <sub>2</sub> —NH(CO)NMe <sub>2</sub>	Cl			
3-51	Me	H	SEt	20	3-114	Me	O(CH <sub>2</sub> ) <sub>2</sub> —NH(CO)NHCO <sub>2</sub> Et	Cl			
3-52 3-53	Me Me	H H	$SO_2Et$ $CF_3$		3-115 3-116	Me Me	O(CH <sub>2</sub> ) <sub>2</sub> NHCO <sub>2</sub> Me OCH <sub>2</sub> NHSO <sub>2</sub> cPr	Cl Cl			
3-54	CH <sub>2</sub> SO <sub>2</sub> Me	H	CF <sub>3</sub>		3-117	Me	$O(CH_2)$ -5-2,4-di-methyl-2,4-	Cl			
3-55	Et	H	Cľ				dihydro-3H-1,2,4-triazol-3-on				
3-56	Et	H	Br		3-118	Me	O(CH <sub>2</sub> )-3,5-dime-thyl-1,2-	Cl			
3-57 3-58	Et Et	H H	SMe SO₂Me	25	3-119	Me	oxazol-4-yl SMe	Cl			
3-59	Et	H	SO <sub>2</sub> Me SO <sub>2</sub> CH <sub>2</sub> Cl	23	3-119	Me	SOMe	Cl			
3-60	Et	Н	SEt		3-121	Me	$SO_2Me$	Cl			
3-61	Et	H	SO <sub>2</sub> Et		3-122	Me	SEt	Cl			
3-62 3-63	Et CF <sub>3</sub>	H H	CF <sub>3</sub> Cl		3-123 3-124	Me Me	SOEt SO <sub>2</sub> Et	Cl Cl			
3-64	CF <sub>3</sub>	H	Br	30	3-125	Me	S(CH <sub>2</sub> ) <sub>2</sub> OMe	Cl			
3-65	CF <sub>3</sub>	Н	$SO_2Me$	50	3-126	Me	$SO(CH_2)_2OMe$	Cl			
3-66	CF <sub>3</sub>	H	SO <sub>2</sub> Et		3-127	Me	$SO_2(CH_2)_2OMe$	Cl			
3-67 3-68	$CF_3$ $NO_2$	$_{ m NH_2}$	CF <sub>3</sub> F		3-128 3-129	Me Me	$_{ m NH_2}$ NHMe	Br Br			
3-69	$NO_2$	NHMe	F		3-129	Me	NMe <sub>2</sub>	Br			
3-70	$NO_2$	$\mathrm{NMe}_2$	F	35	3-131	Me	OCH <sub>2</sub> CONMe <sub>2</sub>	$_{\mathrm{Br}}$			
3-71	$NO_2$	Me	C1	55	3-132	Me	O(CH <sub>2</sub> )-5-pyrrolidin-2-on	Br			
3-72 3-73	$\frac{NO_2}{NO_2}$	NH <sub>2</sub> NHMe	Cl Cl		3-133 3-134	Me Me	SMe SOMe	Br Br			
3-74	NO <sub>2</sub>	NMe <sub>2</sub>	Cl		3-135	Me	SO <sub>2</sub> Me	Br			
3-75	$NO_2$	$NH_2$	$_{\mathrm{Br}}$		3-136	Me	SEt	$_{\mathrm{Br}}$			
3-76	NO <sub>2</sub>	NHMe	Br Br	40	3-137	Me	SOEt SO Et	Br			
3-77 3-78	$\frac{NO_2}{NO_2}$	${ m NMe}_2 \ { m NH}_2$	CF <sub>3</sub>		3-138 3-139	Me Me	SO <sub>2</sub> Et SMe	Br I			
3-79	$NO_2$	$NMe_2$	CF <sub>3</sub>		3-140	Me	SOMe	Ī			
3-80	NO <sub>2</sub>	NH <sub>2</sub>	SO <sub>2</sub> Me		3-141	Me	SO <sub>2</sub> Me	I			
3-81 3-82	$\frac{NO_2}{NO_2}$	NH <sub>2</sub> NHMe	SO₂Et SO₂Me		3-142 3-143	Me Me	SEt SOEt	I I			
3-83	$NO_2$	NMe <sub>2</sub>	SO <sub>2</sub> Me	45	3-144	Me	SO <sub>2</sub> Et	I			
3-84	$\overline{\mathrm{NO}_{2}}$	$NMe_2$	SO <sub>2</sub> Et		3-145	Me	Cl	$CF_3$			
3-85	$NO_2$	$\mathrm{NH}_2$	1H-1,2,4-		3-146	Me M-	SMe SOM-	CF <sub>3</sub>			
3-86	$NO_2$	NHMe	triazol-1-yl 1H-1,2,4-		3-147 3-148	Me Me	SOMe SO₂Me	CF <sub>3</sub> CF <sub>3</sub>			
	_		triazol-1-yl		3-149	Me	SEt	CF <sub>3</sub>			
3-87	$NO_2$	$NMe_2$	1H-1,2,4-	50	3-150	Me	SOEt	CF <sub>3</sub>			
3-88	Me	SMe	triazol-1-yl H		3-151 3-152	Me Me	$SO_2Et$ $S(CH_2)_2OMe$	CF <sub>3</sub> CF <sub>3</sub>			
3-89	Me	SOMe	H		3-153	Me	SO(CH <sub>2</sub> ) <sub>2</sub> OMe	CF <sub>3</sub>			
3-90	Me	$SO_2Me$	Н		3-154	Me	$SO_2(CH_2)_2OMe$	CF <sub>3</sub>			
3-91	Me	SEt	H		3-155	Me	Me	SO <sub>2</sub> Me			
3-92 3-93	Me Me	SOEt SO₂Et	H H	55	3-156 3-157	Me Me	4,5-dihydro-1,2-oxazol-3 yl 4,5-dihydro-1,2-oxazol-3 yl	SO₂Me SO₂Et			
3-94	Me	S(CH <sub>2</sub> ) <sub>2</sub> OMe	H		3-158	Me	5-cyanomethyl-4,5-dihydro-	SO <sub>2</sub> Me			
3-95	Me	SO(CH <sub>2</sub> ) <sub>2</sub> OMe	H				1,2-oxazol-3-yl	_			
3-96 3-97	Me Me	SO <sub>2</sub> (CH <sub>2</sub> ) <sub>2</sub> OMe F	H F		3-159	Me	5-cyanomethyl-4,5-dihydro- 1,2-oxazol-3-yl	SO <sub>2</sub> Et			
3-97	Me Me	r F	r Cl		3-160	Me	1,2-0xazoi-3-yi NH <sub>2</sub>	SO <sub>2</sub> Me			
3-99	Me	SEt	F	60	3-161	Me	NHMe	$SO_2Me$			
3-100	Me	SOEt SO Et	F		3-162	Me	NMe <sub>2</sub>	SO <sub>2</sub> Me			
3-101 3-102	Me Me	SO <sub>2</sub> Et Me	F Cl		3-163 3-164	Me Me	NH(CH <sub>2</sub> ) <sub>2</sub> OMe Pyrazol-1-yl	SO <sub>2</sub> Me SO <sub>2</sub> Me			
3-102	Me	F	Cl		3-165	Me	OH	SO <sub>2</sub> Me			
3-104	Me	Cl	Cl		3-166	Me	OMe	$SO_2Me$			
3-105	Me	NH <sub>2</sub>	Cl	65	3-167	Me	OMe OF:	SO <sub>2</sub> Et			
3-106	Me	NHMe	Cl		3-168	Me	OEt	$SO_2Me$			

## 26 TABLE 3-continued

	TAE	BLE 3-continued				TA	ABLE 3-continued	
Con		I formula (I) according to the in CY, B is CH and R is phenyl	wention in	_	Comp		eral formula (I) according to the inv s CY, B is CH and R is phenyl	ention in
	37	Ph		5		37	Ph	
	/N-N	Ö X				/N _ N	o ×	
	<b>(</b> _	W V				( _	V	
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No.	X	Y	Z	_	No.	X	Y	Z
3-169	Me	OEt	SO <sub>2</sub> Et	_	3-234	CF <sub>3</sub>	OCH <sub>2</sub> CONMe <sub>2</sub>	SO <sub>2</sub> Et
3-170	Me	OiPr	$SO_2Me$	15	3-235	CF <sub>3</sub>	[1,4]dioxan-2-yl-methoxy	$SO_2Me$
3-171	Me	OiPr O(CH ) OMa	SO <sub>2</sub> Et		3-236	$_{ m F}^{{ m CF_3}}$	[1,4]dioxan-2-yl-methoxy	SO <sub>2</sub> Et
3-172 3-173	Me Me	O(CH <sub>2</sub> ) <sub>2</sub> OMe O(CH <sub>2</sub> ) <sub>2</sub> OMe	SO₂Me SO₂Et		3-237 3-238	F	SMe SOMe	CF <sub>3</sub> CF <sub>3</sub>
3-174	Me	$O(CH_2)_3OMe$	SO <sub>2</sub> Me		3-239	Čl	Me	Cl
3-175	Me	$O(CH_2)_3OMe$	SO <sub>2</sub> Et		3-240	Cl	OCH <sub>2</sub> CHCH <sub>2</sub>	Cl
3-176	Me	O(CH <sub>2</sub> ) <sub>4</sub> OMe	SO <sub>2</sub> Me	•	3-241	Cl	OCH <sub>2</sub> CHF <sub>2</sub>	Cl
3-177	Me	O(CH <sub>2</sub> ) <sub>4</sub> OMe	SO <sub>2</sub> Et	20	3-242	Cl	$O(CH_2)_2OMe$	Cl
3-178	Me	$O(CH_2)_2NHSO2Me$	SO <sub>2</sub> Me		3-243	Cl	OCH <sub>2</sub> (CO)NMe <sub>2</sub>	Cl
3-179	Me M-	O(CH <sub>2</sub> ) <sub>2</sub> NHSO2Me	SO <sub>2</sub> Et		3-244	Cl	O(CH <sub>2</sub> )-5-pyrrolidin-2-on	Cl
3-180 3-181	Me Me	OCH <sub>2</sub> (CO)NMe <sub>2</sub> OCH <sub>2</sub> (CO)NMe <sub>2</sub>	SO <sub>2</sub> Me SO <sub>2</sub> Et		3-245 3-246	Cl Cl	SMe SOMe	Cl Cl
3-181	Me	[1,4]dioxan-2-yl-methoxy	SO <sub>2</sub> Me		3-247	Cl	SO <sub>2</sub> Me	Cl
3-183	Me	[1,4]dioxan-2-yl-methoxy	SO <sub>2</sub> Et	25	3-248	Cl	F	SMe
3-184	Me	O(CH <sub>2</sub> ) <sub>2</sub> —O(3,5-	SO <sub>2</sub> Me		3-249	Cl	Cl	$SO_2Me$
		dimethoxypyrimidin-2-yl			3-250	Cl	COOMe	$SO_2Me$
3-185	Me	Cl	$SO_2Me$		3-251	Cl	CONMe <sub>2</sub>	$SO_2Me$
3-186	Me	SMe	SO <sub>2</sub> Me		3-252	Cl	CONMe(OMe)	SO <sub>2</sub> Me
3-187 3-188	Me Me	SOMe SO₂Me	SO <sub>2</sub> Me SO <sub>2</sub> Me	20	3-253 3-254	Cl Cl	CH <sub>2</sub> OMe CH <sub>2</sub> OMe	SO₂Me SO₂Et
3-189	Me	SO <sub>2</sub> Me	SO <sub>2</sub> Nic SO <sub>2</sub> Et	30	3-255	Cl	CH <sub>2</sub> OEt	SO <sub>2</sub> Me
3-190	Me	SEt	SO <sub>2</sub> Me		3-256	Cl	CH <sub>2</sub> OEt	SO <sub>2</sub> Et
3-191	Me	SOEt	$SO_2^2$ Me		3-257	Cl	CH <sub>2</sub> OCH <sub>2</sub> CHF <sub>2</sub>	$SO_2^{2}Me$
3-192	Me	$SO_2Et$	$SO_2Me$		3-258	Cl	CH <sub>2</sub> OCH <sub>2</sub> CF <sub>3</sub>	$SO_2Me$
3-193	Me	S(CH <sub>2</sub> ) <sub>2</sub> OMe	SO <sub>2</sub> Me		3-259	Cl	CH <sub>2</sub> OCH <sub>2</sub> CF <sub>3</sub>	SO <sub>2</sub> Et
3-194	Me Me	SO(CH <sub>2</sub> ) <sub>2</sub> OMe	SO <sub>2</sub> Me	35	3-260	Cl Cl	CH_OCH_2CF_2CHF_2	SO <sub>2</sub> Me
3-195 3-196	CH <sub>2</sub> SMe	$SO_2(CH_2)_2OMe$ OMe	SO2Me SO <sub>2</sub> Me		3-261 3-262	Cl	CH <sub>2</sub> OcPentyl CH <sub>2</sub> PO(OMe) <sub>2</sub>	SO <sub>2</sub> Me SO <sub>2</sub> Me
3-197	CH <sub>2</sub> OMe	OMe	SO <sub>2</sub> Me		3-263	Cl	4,5-dihydro-1,2-oxazol-3 yl	SMe
3-198	CH <sub>2</sub> O(CH <sub>2</sub> ) <sub>2</sub> OMe	NH(CH <sub>2</sub> ) <sub>2</sub> OEt	SO <sub>2</sub> Me		3-264	Cl	4,5-dihydro-1,2-oxazol-3 yl	SO <sub>2</sub> Me
3-199	$CH_2O(CH_2)_2OMe$	NH(CH <sub>2</sub> ) <sub>3</sub> OEt	$SO_2^-Me$		3-265	Cl	4,5-dihydro-1,2-oxazol-3 yl	SO <sub>2</sub> Et
3-200	$CH_2O(CH_2)_3OMe$	OMe	$SO_2Me$	40	3-266	Cl	5-cyanomethyl-4,5-dihydro-	$SO_2Me$
3-201	CH <sub>2</sub> O(CH <sub>2</sub> ) <sub>2</sub> OMe	NH(CH <sub>2</sub> ) <sub>2</sub> OMe	SO <sub>2</sub> Me	40	2.267	CI.	1,2-oxazol-3 yl	GO E
3-202 3-203	CH <sub>2</sub> O(CH <sub>2</sub> ) <sub>2</sub> OMe Et	NH(CH <sub>2</sub> ) <sub>3</sub> OMe SMe	SO <sub>2</sub> Me Cl		3-267	Cl	5-cyanomethyl-4,5-dihydro- 1,2-oxazol-3 yl	SO <sub>2</sub> Et
3-204	Et	SO <sub>2</sub> Me	Cl		3-268	Cl	5-(Methoxymethyl)-4,5-	$SO_2Et$
3-205	Et Et	SMe SO M-	CF <sub>3</sub>		2 260	CI	dihydro-1,2-oxazol-3 yl	CO Es
3-206 3-207	Et .	SO <sub>2</sub> Me F	CF₃ SO₂Me	45	3-269	Cl	5-(Methoxymethyl)-5-Methyl- 4,5-dihy-dro-1,2-oxazol-3-yl	SO₂Et
3-208	Et	NH(CH <sub>2</sub> ) <sub>2</sub> OMe	$SO_2^2$ Me		3-270	Cl	CH <sub>2</sub> O-tetrahydrofuran-3-yl	$SO_2Me$
3-209	iPr	$SO_2Me$	$\overline{\mathrm{CF}_3}$		3-271	Cl	CH <sub>2</sub> O-tetrahydrofuran-3-yl	SO <sub>2</sub> Et
3-210	cPr	SO <sub>2</sub> Me	$CF_3$		3-272	Cl	CH <sub>2</sub> OCH <sub>2</sub> -tetrahydrofuran-2-	$SO_2Me$
3-211	CF <sub>3</sub>	O(CH <sub>2</sub> ) <sub>2</sub> OMe	F		2 272	OI.	yl	GO E
3-212 3-213	$CF_3$ $CF_3$	O(CH <sub>2</sub> ) <sub>3</sub> OMe OCH <sub>2</sub> CONMe <sub>2</sub>	F F	50	3-273	Cl	CH <sub>2</sub> OCH <sub>2</sub> -tetrahydrofuran-2- yl	SO₂Et
3-213	CF <sub>3</sub>	[1,4]dioxan-2-yl-methoxy	F	50	3-274	Cl	CH <sub>2</sub> OCH <sub>2</sub> -tetrahydrofuran-3-	SO <sub>2</sub> Me
3-215	CF <sub>3</sub>	O(CH <sub>2</sub> ) <sub>2</sub> OMe	ČI				yl	2
3-216	CF <sub>3</sub>	O(CH <sub>2</sub> ) <sub>3</sub> OMe	C1		3-275	Cl	CH <sub>2</sub> OCH <sub>2</sub> -tetrahydrofuran-3-	SO <sub>2</sub> Et
3-217	$CF_3$	OCH <sub>2</sub> CONMe <sub>2</sub>	Cl				$\mathbf{yl}$	
3-218	CF <sub>3</sub>	[1,4]dioxan-2-yl-methoxy	Cl		3-276	Cl	OMe	SO <sub>2</sub> Me
3-219 3-220	CF <sub>3</sub> CF <sub>3</sub>	O(CH <sub>2</sub> ) <sub>2</sub> OMe O(CH <sub>2</sub> ) <sub>3</sub> OMe	Br Br	55	3-277 3-278	Cl Cl	OMe OEt	SO₂Et SO₂Me
3-220	CF <sub>3</sub>	OCH <sub>2</sub> CONMe <sub>2</sub>	Br		3-279	Cl	OEt	SO <sub>2</sub> Nie SO <sub>2</sub> Et
3-222	CF <sub>3</sub>	[1,4]dioxan-2-yl-methoxy	Br		3-280	Cl	OiPr	SO <sub>2</sub> Me
3-223	CF <sub>3</sub>	O(CH <sub>2</sub> ) <sub>2</sub> OMe	I		3-281	Cl	OiPr	SO <sub>2</sub> Et
3-224	CF <sub>3</sub>	$O(CH_2)_3OMe$	I		3-282	Cl	$O(CH_2)_2OMe$	$SO_2Me$
3-225	CF <sub>3</sub>	OCH <sub>2</sub> CONMe <sub>2</sub>	I	60	3-283	Cl	$O(CH_2)_4OMe$	SO <sub>2</sub> Me
3-226	CF <sub>3</sub>	[1,4]dioxan-2-yl-methoxy	I SO Ma	50	3-284	Cl	$O(CH_2)_4OMe$	SO <sub>2</sub> Et
3-227 3-228	CF <sub>3</sub> CF <sub>3</sub>	F F	SO₂Me SO₂Et		3-285 3-286	Cl Cl	O(CH <sub>2</sub> ) <sub>3</sub> OMe O(CH <sub>2</sub> ) <sub>3</sub> OMe	SO₂Me SO₂Et
3-228	CF <sub>3</sub>	O(CH <sub>2</sub> ) <sub>2</sub> OMe	SO <sub>2</sub> Et SO <sub>2</sub> Me		3-280	Cl	$O(CH_2)_3OMe$ $O(CH_2)_2OMe$	SO <sub>2</sub> Et SO <sub>2</sub> Me
3-230	CF <sub>3</sub>	$O(CH_2)_2OMe$	SO <sub>2</sub> Et		3-288	Cl	O(CH <sub>2</sub> ) <sub>2</sub> OMe	SO <sub>2</sub> Et
3-231	CF <sub>3</sub>	$O(CH_2)_3^2OMe$	SO <sub>2</sub> Me		3-289	Cl	[1,4]dioxan-2-yl-methoxy	SO <sub>2</sub> Me
3-232	$CF_3$	$O(CH_2)_3OMe$	SO <sub>2</sub> Et	65	3-290	Cl	[1,4]dioxan-2-yl-methoxy	SO <sub>2</sub> Et
3-233	CF <sub>3</sub>	OCH <sub>2</sub> CONMe <sub>2</sub>	$SO_2Me$		3-291	Cl	OCH <sub>2</sub> (CO)NMe <sub>2</sub>	$SO_2Me$

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## 28 TABLE 3-continued

Compounds of the general formula (I) according to the invention in
which A is CY, B is CH and R is phenyl
N- Ph

		<b>✓</b> \ <u>Z</u>		
No.	X	Y	Z	_
3-292	Cl	OCH <sub>2</sub> (CO)NMe <sub>2</sub>	SO <sub>2</sub> Et	
3-293	Cl	SMe	$SO_2Me$	1.5
3-294	Cl	SOMe	$SO_2Me$	-
3-295	Br	OMe	Br	
3-296	Br	$O(CH_2)_2OMe$	Br CO M-	
3-297 3-298	Br Br	O(CH <sub>2</sub> ) <sub>2</sub> OMe	SO <sub>2</sub> Me	
3-298	Br	O(CH <sub>2</sub> ) <sub>2</sub> OMe O(CH <sub>2</sub> ) <sub>3</sub> OMe	SO₂Et SO₂Me	
3-300	Br	$O(CH_2)_3OMe$	SO <sub>2</sub> Et	20
3-301	Br	$O(CH_2)_4OMe$	SO <sub>2</sub> Me	
3-302	Br	$O(CH_2)_4OMe$	SO <sub>2</sub> Et	
3-303	$\operatorname{Br}$	[1,4]dioxan-2-yl-methoxy	$SO_2Me$	
3-304	$_{\mathrm{Br}}$	[1,4]dioxan-2-yl-methoxy	SO <sub>2</sub> Et	
3-305	I	$O(CH_2)_2OMe$	$SO_2Me$	
3-306	I	$O(CH_2)_2OMe$	SO <sub>2</sub> Et	25
3-307	I	$O(CH_2)_3OMe$	$SO_2Me$	
3-308	I	O(CH <sub>2</sub> ) <sub>3</sub> OMe	SO <sub>2</sub> Et	
3-309	I	$O(CH_2)_4OMe$	SO <sub>2</sub> Me	
3-310	I	O(CH <sub>2</sub> ) <sub>4</sub> OMe	SO <sub>2</sub> Et	
3-311 3-312	I	[1,4]dioxan-2-yl-methoxy [1,4]dioxan-2-yl-methoxy	SO₂Me SO₂Et	27
3-312	OMe	SMe	CF <sub>3</sub>	30
3-313	OMe	SOMe	CF <sub>3</sub>	
3-315	OMe	SO <sub>2</sub> Me	CF <sub>3</sub>	
3-316	OMe	SOEt	CF <sub>3</sub>	
3-317	OMe	$SO_2Et$	$CF_3$	
3-318	OMe	$S(CH_2)_2OMe$	$CF_3$	35
3-319	OMe	$SO(CH_2)_2OMe$	$CF_3$	5.
3-320	OMe	$SO_2(CH_2)_2OMe$	$CF_3$	
3-321	OMe	SMe	Cl	
3-322	OMe	SOMe	Cl	
3-323 3-324	OMe OMe	SO <sub>2</sub> Me SEt	Cl Cl	
3-324	OMe	SOEt	Cl	40
3-326	OMe	SO2Et	Cl	
3-327	OMe	S(CH <sub>2</sub> ) <sub>2</sub> OMe	CI	
3-328	OMe	$SO(CH_2)_2OMe$	Cl	
3-329	OMe	$SO_2(CH_2)_2OMe$	C1	
3-330	OCH <sub>2</sub> c-Pr	SMe	$CF_3$	
3-331	OCH <sub>2</sub> c-Pr	SOMe	$CF_3$	45
3-332	OCH <sub>2</sub> c-Pr	SO <sub>2</sub> Me	CF <sub>3</sub>	
3-333	OCH <sub>2</sub> c-Pr	SEt	CF <sub>3</sub>	
3-334	OCH a Pr	SOEt	CF <sub>3</sub>	
3-335 3-336	OCH₂c-Pr OCH₂c-Pr	$SO_2Et$ $S(CH_2)_2OMe$	CF <sub>3</sub> CF <sub>3</sub>	
3-337	OCH <sub>2</sub> c-Pr	$SO(CH_2)_2OMe$	CF <sub>3</sub>	50
3-338	OCH <sub>2</sub> c-Pr	$SO_2(CH_2)_2OMe$	CF <sub>3</sub>	50
3-339	OCH <sub>2</sub> c-Pr	SMe	Cl	
3-340	OCH <sub>2</sub> c-Pr	SOMe	Cl	
3-341	OCH <sub>2</sub> c-Pr	$SO_2Me$	Cl	
3-342	OCH <sub>2</sub> c-Pr	SEt	Cl	
3-343	OCH <sub>2</sub> c-Pr	SOEt	Cl	55
3-344	OCH <sub>2</sub> c-Pr	SO <sub>2</sub> Et	Cl	
3-345	OCH <sub>2</sub> c-Pr	S(CH <sub>2</sub> ) <sub>2</sub> OMe	Cl	
3-346	OCH <sub>2</sub> c-Pr OCH <sub>2</sub> c-Pr	SO(CH <sub>2</sub> ) <sub>2</sub> OMe	CI CI	
3-347 3-348	-	SO <sub>2</sub> (CH <sub>2</sub> ) <sub>2</sub> OMe		
3-348	OCH <sub>2</sub> c-Pr OCH <sub>2</sub> c-Pr	SMe SOMe	SO <sub>2</sub> Me SO <sub>2</sub> Me	
3-349	OCH <sub>2</sub> c-Pr	SO <sub>2</sub> Me	SO <sub>2</sub> Me	60
3-351	OCH <sub>2</sub> c-Pr	SEt	SO <sub>2</sub> Me	
3-352	OCH <sub>2</sub> c-Pr	SOEt	SO <sub>2</sub> Me	
3-353	OCH <sub>2</sub> c-Pr	SO <sub>2</sub> Et	SO <sub>2</sub> Me	
3-354	OCH <sub>2</sub> c-Pr	$S(CH_2)_2OMe$	$SO_2^2$ Me	
3-355	OCH <sub>2</sub> c-Pr	$SO(CH_2)_2OMe$	$SO_2^2$ Me	
3-356	OCH <sub>2</sub> c-Pr	$SO_2(CH_2)_2OMe$	$SO_2Me$	65
3-357	$SO_2Me$	F	$\overline{\mathrm{CF}_3}$	
	-		-	

No.	X	Y	Z
3-358	SO <sub>2</sub> Me	$\mathrm{NH}_2$	CF <sub>3</sub>
3-359	$SO_2Me$	NHEt	Cl
3-360	SMe	SEt	F
3-361	SMe	SMe	F

#### TABLE 4

Compounds of the general formula (I) according to the invention in which A is CY, B is N and R is methyl

	No.	X	Y	Z
	4-1	F	Н	Cl
	4-2	F	H	$_{\mathrm{Br}}$
35	4-3	F	H	$SO_2Me$
	4-4	F	H	SO <sub>2</sub> Et
	4-5	F	H	CF <sub>3</sub>
	4-6	Cl	H	F
	4-7	Cl	H	Cl
	4-8	Cl	H	$_{\mathrm{Br}}$
40	4-9	Cl	H	SMe
40	4-10	Cl	H	$SO_2Me$
	4-11	Cl	H	SO <sub>2</sub> CH <sub>2</sub> Cl
	4-12	Cl	Н	SEt
	4-13	Cl	H	SO <sub>2</sub> Et
	4-14	Cl	H	$C\bar{F}_3$
	4-15	$_{\mathrm{Br}}$	H	Cl
45	4-16	$_{\mathrm{Br}}$	H	$_{\mathrm{Br}}$
	4-17	$_{ m Br}$	H	$SO_2Me$
	4-18	$_{ m Br}$	H	SO <sub>2</sub> Et
	4-19	$_{\mathrm{Br}}$	H	$\overline{\mathrm{CF}_{3}}$
	4-20	$SO_2Me$	H	Cl
	4-21	$SO_2^-Me$	H	$_{\mathrm{Br}}$
50	4-22	SO <sub>2</sub> Me	H	SMe
	4-23	SO <sub>2</sub> Me	H	SOMe
	4-24	SO <sub>2</sub> Me	H	SO <sub>2</sub> Me
	4-25	$SO_2Me$	H	$\overline{\mathrm{CF}_3}$
	4-26	SO <sub>2</sub> Et	H	Cl
	4-27	SO <sub>2</sub> Et	H	$_{\mathrm{Br}}$
55	4-28	SO <sub>2</sub> Et	H	SMe
	4-29	$SO_2Et$	H	SOMe
	4-30	SO <sub>2</sub> Et	H	$SO_2Me$
	4-31	SO <sub>2</sub> Et	H	$CF_3$
	4-32	$NO_2$	H	F
	4-33	$NO_2$	H	Cl
60	4-34	$NO_2$	H	$_{\mathrm{Br}}$
00	4-35	$NO_2$	H	I
	4-36	$NO_2$	H	CN
	4-37	$NO_2$	H	$SO_2Me$
	4-38	$NO_2$	H	SO <sub>2</sub> Et
	4-39	$NO_2$	H	$C\bar{F}_3$
	4-40	Me	H	Cl
65	4-41	Me	H	$\operatorname{Br}$
	4-42	Me	H	SO <sub>2</sub> Me
				_

	TABLE 4-continued				TABLE 4-continued				
Comp		ral formula (I) according to the ir s CY, B is N and R is methyl	wention in		Comp		eral formula (I) according to the invis CY, B is N and R is methyl	vention in	
		_Me		. 5			Me		
	N N	O X Y		,		N N	Y Y Y		
	-	N Z		10		1,	H Z		
No.	X	Y	Z		No.	X	Y	Z	
4-43 4-44	Me Me	Н Н	SO <sub>2</sub> CH <sub>2</sub> Cl SO <sub>2</sub> Et	15	4-106	Me	O(CH <sub>2</sub> )-5-(2,4-dimethyl-2,4-dihydro)-3H-1,2,4-triazol-3-	Cl	
4-45 4-46	Me CH <sub>2</sub> SO <sub>2</sub> Me	H H	$CF_3$ $CF_3$		4-107	Me	on O(CH <sub>2</sub> )-3,5-dimethyl-1,2-	Cl	
4-47	Et	H	CI				oxazol-4-yl	61	
4-48	Et	Н	Br		4-108	Me	SMe SOM-	Cl	
4-49 4-50	Et Et	H H	SO <sub>2</sub> Me SO <sub>2</sub> CH <sub>2</sub> Cl		4-109 4-110	Me Me	SOMe SO₂Me	Cl Cl	
4-51	Et	H	SEt	20	4-111	Me	SEt	Cl	
4-52	Et	H	SO <sub>2</sub> Et		4-112	Me	SOEt	Cl	
4-53	Et	H	$C\bar{F}_3$		4-113	Me	SO <sub>2</sub> Et	Cl	
4-54	$CF_3$	H	Cl		4-114	Me	$S(CH_2)_2OMe$	Cl	
4-55	CF <sub>3</sub>	H	Br		4-115	Me	SO(CH <sub>2</sub> ) <sub>2</sub> OMe	Cl	
4-56 4-57	CF <sub>3</sub> CF <sub>3</sub>	H H	SO <sub>2</sub> Me CF <sub>3</sub>	25	4-116 4-117	Me Me	$SO_2(CH_2)_2OMe$ $NH_2$	Cl Br	
4-58	NO <sub>2</sub>	$^{11}_{ m NH_2}$	F	23	4-117	Me	NHMe	Br	
4-59	NO <sub>2</sub>	NHMe	F		4-119	Me	NMe <sub>2</sub>	Br	
4-60	$NO_2$	$NMe_2$	F		4-120	Me	OCH <sub>2</sub> CONEt <sub>2</sub>	$\operatorname{Br}$	
4-61	$NO_2$	Me	Cl		4-121	Me	O(CH <sub>2</sub> )-5-pyrrolidin-2-on	$_{\mathrm{Br}}$	
4-62	NO <sub>2</sub>	$_{ m NH_2}$	Cl		4-122	Me	SMe	Br	
4-63 4-64	$\frac{NO_2}{NO_2}$	NHMe NMe <sub>2</sub>	CI CI	30	4-123 4-124	Me Me	SOMe SO₂Me	Br Br	
4-65	$NO_2$	NH <sub>2</sub>	Br		4-125	Me	SEt	Br	
4-66	NO <sub>2</sub>	NHMe	Br		4-126	Me	SOEt	Br	
4-67	$NO_2$	$NMe_2$	$_{\mathrm{Br}}$		4-127	Me	SO <sub>2</sub> Et	$_{\mathrm{Br}}$	
4-68	$NO_2$	$_{ m NH_2}$	$CF_3$		4-128	Me	SMe	I	
4-69 4-70	NO <sub>2</sub>	NMe <sub>2</sub>	CF <sub>3</sub>	35	4-129	Me	SOMe SO Ma	I I	
4-70 4-71	$\frac{NO_2}{NO_2}$	$^{ m NH_2}_{ m 2}$	SO₂Me SO₂Et		4-130 4-131	Me Me	SO <sub>2</sub> Me SEt	I	
4-72	NO <sub>2</sub>	NHMe	SO <sub>2</sub> Me		4-132	Me	SOEt	Ī	
4-73	$NO_2$	$NMe_2$	$SO_2$ Me		4-133	Me	$SO_2Et$	I	
4-74	$NO_2$	$NMe_2$	SO <sub>2</sub> Et		4-134	Me	Cl	$CF_3$	
4-75	$NO_2$	$\mathrm{NH}_2$	1H-1,2,4-	40	4-135	Me	SMe	$CF_3$	
4-76	$NO_2$	NHMe	triazol-1-yl 1H-1,2,4-		4-136 4-137	Me Me	SOMe SO₂Me	$CF_3$ $CF_3$	
4-70	1102	THINE	triazol-1-yl		4-138	Me	SEt	CF <sub>3</sub>	
4-77	$NO_2$	NMe <sub>2</sub>	1H-1,2,4-		4-139	Me	SOEt	CF <sub>3</sub>	
			triazol-1-yl		4-140	Me	$SO_2Et$	CF <sub>3</sub>	
4-78	Me	SMe	H	45	4-141	Me	S(CH <sub>2</sub> ) <sub>2</sub> OMe	CF <sub>3</sub>	
4-79 4-80	Me Me	SOMe SO₂Me	H H	45	4-142 4-143	Me Me	$S(O)(CH_2)_2OMe$ $SO_2(CH_2)_2OMe$	$ \begin{array}{c} \operatorname{CF_3} \\ \operatorname{CF_3} \end{array} $	
4-81	Me	SEt	H		4-144	Me	4,5-dihydro-1,2-oxazol-3 yl	SO <sub>2</sub> Me	
4-82	Me	SOEt	Н		4-145	Me	4,5-dihydro-1,2-oxazol-3 yl	SO <sub>2</sub> Et	
4-83	Me	SO <sub>2</sub> Et	H		4-146	Me	5-cyanomethyl-4,5-dihydro-	$SO_2Me$	
4-84	Me	S(CH <sub>2</sub> ) <sub>2</sub> OMe	H		4 1 47		1,2-oxazol-3-yl	go E	
4-85 4-86	Me Me	$SO(CH_2)_2OMe$ $SO_2(CH_2)_2OMe$	H H	50	4-147	Me	5-cyanomethyl-4,5-dihydro- 1,2-oxazol-3-yl	SO₂Et	
4-87	Me	F	F		4-148	Me	NH <sub>2</sub>	SO <sub>2</sub> Me	
4-88	Me	SEt	F		4-149	Me	NHMe	$SO_2^{2}Me$	
4-89	Me	SOEt	F		4-150	Me	$NMe_2$	$SO_2Me$	
4-90	Me	SO <sub>2</sub> Et	F		4-151	Me	NH(CH <sub>2</sub> ) <sub>2</sub> OMe	SO <sub>2</sub> Me	
4-91 4-92	Me Me	Me F	Cl Cl	55	4-152 4-153	Me Me	Pyrazol-1-yl OH	SO₂Me SO₂Me	
4-93	Me	Cl	Cl		4-154	Me	OMe	$SO_2Me$	
4-94	Me	NH <sub>2</sub>	Cl		4-155	Me	OMe	SO <sub>2</sub> Et	
4-95	Me	NHMe	C1		4-156	Me	OEt	$SO_2Me$	
4-96	Me	NMe <sub>2</sub>	CI		4-157	Me	OEt	SO <sub>2</sub> Et	
4-97 4-98	Me Mo	O(CH <sub>2</sub> ) <sub>2</sub> OMe	Cl	60	4-158	Me Mo	OiPr OiPr	SO <sub>2</sub> Me	
4-98 4-99	Me Me	O(CH <sub>2</sub> ) <sub>3</sub> OMe O(CH <sub>2</sub> ) <sub>4</sub> OMe	Cl Cl	-	4-159 4-160	Me Me	OiPr O(CH <sub>2</sub> ) <sub>2</sub> OMe	SO <sub>2</sub> Et SO <sub>2</sub> Me	
4-100	Me	OCH <sub>2</sub> CONMe <sub>2</sub>	Cl		4-161	Me	$O(CH_2)_2OMe$	SO <sub>2</sub> Nic SO <sub>2</sub> Et	
4-101	Me	O(CH <sub>2</sub> )2CONMe <sub>2</sub>	CI		4-162	Me	$O(CH_2)_3OMe$	SO <sub>2</sub> Me	
4-102	Me	O(CH <sub>2</sub> ) <sub>2</sub> —NH(CO)NMe <sub>2</sub>	Cl		4-163	Me	O(CH <sub>2</sub> ) <sub>3</sub> OMe	SO <sub>2</sub> Et	
4-103	Me	O(CH <sub>2</sub> ) <sub>2</sub> NH(CO)NHCO <sub>2</sub> Et	Cl	65	4-164	Me	O(CH <sub>2</sub> ) <sub>4</sub> OMe	SO <sub>2</sub> Me	
4-104 4-105	Me Me	O(CH <sub>2</sub> ) <sub>2</sub> NHCO <sub>2</sub> Me OCH <sub>2</sub> NHSO <sub>2</sub> cPr	Cl Cl	65	4-165 4-166	Me Me	$O(CH_2)_4OMe$ $O(CH_2)_2NHSO2Me$	SO₂Et SO₂Me	
4-103	INIC	OCH2NII3O2CFF	Ci		4-100	IVIC	O(C112/211113O21VIC	502IVIE	

## TABLE 4-co

	TAE	BLE 4-continued		_	TABLE 4-continued				
Con		l formula (I) according to the in CY, B is N and R is methyl	vention in	-	Comp		eral formula (I) according to the invise CY, B is N and R is methyl	ention in	
		_Me		5			.Me		
	N N					N I			
	N	N Y				N	N Y		
		H		10			H		
No.	X	Y	Z		No.	X	Y	Z	
4-167	Me	O(CH <sub>2</sub> ) <sub>2</sub> NHSO2Me	SO <sub>2</sub> Et	-	4-232	Cl	SEt	Н	
4-168	Me	OCH <sub>2</sub> (CO)NMe <sub>2</sub>	$SO_2Me$	15	4-233	Cl	SOEt	H	
4-169	Me	OCH <sub>2</sub> (CO)NMe <sub>2</sub>	SO <sub>2</sub> Et		4-234	Cl	SO <sub>2</sub> Et	H	
4-170 4-171	Me Me	[1,4]dioxan-2-yl-methoxy [1,4]dioxan-2-yl-methoxy	SO₂Me SO₂Et		4-235 4-236	Cl Cl	$S(CH_2)_2OMe$ $SO(CH_2)_2OMe$	H H	
4-171	Me	$O(CH_2)_2$ — $O(3,5-di-$	SO <sub>2</sub> Me		4-237	Cl	$SO_2(CH_2)_2OMe$ $SO_2(CH_2)_2OMe$	H	
		methoxypyrimidin-2-yl	2		4-238	Cl	Me	Cl	
4-173	Me	Cl	$SO_2Me$	20	4-239	Cl	Cl	Cl	
4-174	Me	SMe	$SO_2Me$	20	4-240	Cl	OCH <sub>2</sub> CHCH <sub>2</sub>	Cl	
4-175	Me M-	SOMe SO M-	SO <sub>2</sub> Me		4-241	Cl	OCH <sub>2</sub> CHF <sub>2</sub>	Cl	
4-176 4-177	Me Me	SO₂Me SO₂Me	SO₂Me SO₂Et		4-242 4-243	Cl Cl	$O(CH_2)_2OMe$ $OCH_2(CO)NMe_2$	Cl Cl	
4-178	Me	SEt	SO <sub>2</sub> Me		4-244	Cl	O(CH <sub>2</sub> )-5-pyrrolidin-2-on	Cl	
4-179	Me	SOEt	SO <sub>2</sub> Me		4-245	Cl	SMe	Cl	
4-180	Me	SO <sub>2</sub> Et	$SO_2Me$	25	4-246	Cl	SOMe	Cl	
4-181	Me	S(CH <sub>2</sub> ) <sub>2</sub> OMe	SO <sub>2</sub> Me		4-247	Cl	SO <sub>2</sub> Me	Cl	
4-182 4-183	Me Me	SO(CH <sub>2</sub> ) <sub>2</sub> OMe	SO <sub>2</sub> Me		4-248 4-249	Cl Cl	F Cl	SMe SO Ma	
4-183	CH <sub>2</sub> SMe	SO <sub>2</sub> (CH <sub>2</sub> ) <sub>2</sub> OMe OMe	SO <sub>2</sub> Me SO <sub>2</sub> Me		4-249	Cl	COOMe	SO₂Me SO₂Me	
4-185	CH <sub>2</sub> OMe	OMe	SO <sub>2</sub> Me		4-251	Cl	CONMe <sub>2</sub>	SO <sub>2</sub> Me	
4-186	$CH_2O(\tilde{CH}_2)_2OMe$	NH(CH <sub>2</sub> ) <sub>2</sub> OEt	$SO_2$ Me	30	4-252	Cl	CONMe(OMe)	$SO_2^{2}Me$	
4-187	$CH_2O(CH_2)_2OMe$	NH(CH <sub>2</sub> ) <sub>3</sub> OEt	$SO_2Me$		4-253	Cl	CH <sub>2</sub> OMe	$SO_2Me$	
4-188	CH <sub>2</sub> O(CH <sub>2</sub> ) <sub>3</sub> OMe	OMe	SO <sub>2</sub> Me		4-254	Cl	CH <sub>2</sub> OMe	SO <sub>2</sub> Et	
4-189 4-190	$CH_2O(CH_2)_2OMe$ $CH_2O(CH_2)_2OMe$	NH(CH <sub>2</sub> ) <sub>2</sub> OMe NH(CH <sub>2</sub> ) <sub>3</sub> OMe	SO₂Me SO₂Me		4-255 4-256	Cl Cl	CH <sub>2</sub> OEt CH <sub>2</sub> OEt	SO₂Me SO₂Et	
4-191	Et	SMe	Cl		4-257	Cl	CH <sub>2</sub> OiPr	SO <sub>2</sub> Me	
4-192	Et	$SO_2Me$	Cl	35	4-258	Cl	CH <sub>2</sub> OcPentyl	$SO_2^2Me$	
4-193	Et	SMe	$CF_3$	33	4-259	Cl	CH <sub>2</sub> OCH <sub>2</sub> CHF <sub>2</sub>	SO <sub>2</sub> Me	
4-194	Et Et	SO <sub>2</sub> Me	CF <sub>3</sub>		4-260	Cl	CH <sub>2</sub> OCH <sub>2</sub> CF <sub>3</sub>	SO <sub>2</sub> Me	
4-195 4-196	Et Et	F NH(CH <sub>2</sub> ) <sub>2</sub> OMe	SO₂Me SO₂Me		4-261 4-262	Cl Cl	CH <sub>2</sub> OCH <sub>2</sub> CF <sub>3</sub> CH <sub>2</sub> OCH <sub>2</sub> CF <sub>2</sub> CHF <sub>2</sub>	SO <sub>2</sub> Et SO <sub>2</sub> Me	
4-197	iPr	SMe	CF <sub>3</sub>		4-263	Cl	CH <sub>2</sub> PO <sub>3</sub> Me <sub>2</sub>	SO <sub>2</sub> Me	
4-198	iPr	SO <sub>2</sub> Me	CF <sub>3</sub>		4-264	Cl	4,5-dihydro-1,2-oxazol-3 y	SMe	
4-199	cPr	$SO_2^-Me$	$CF_3$	40	4-265	Cl	4,5-dihydro-1,2-oxazol-3 yl	$SO_2Me$	
4-200	CF <sub>3</sub>	$O(CH_2)_2OMe$	F		4-266	Cl	4,5-dihydro-1,2-oxazol-3 yl	SO <sub>2</sub> Et	
4-201 4-202	CF <sub>3</sub>	O(CH <sub>2</sub> ) <sub>3</sub> OMe OCH <sub>2</sub> CONMe <sub>2</sub>	F F		4-267	Cl	5-cyanomethyl-4,5-dihydro- 1,2-oxazol-3 yl	SO <sub>2</sub> Me	
4-203	CF <sub>3</sub> CF <sub>3</sub>	[1,4]dioxan-2-yl-methoxy	F		4-268	Cl	5-cyanomethyl-4,5-dihydro-	SO <sub>2</sub> Et	
4-204	CF <sub>3</sub>	O(CH <sub>2</sub> ) <sub>2</sub> OMe	Cl				1,2-oxazol-3 yl		
4-205	CF <sub>3</sub>	O(CH <sub>2</sub> ) <sub>3</sub> OMe	Cl	45	4-269	Cl	CH <sub>2</sub> O-tetrahydro-furan-3-yl	$SO_2Me$	
4-206	CF <sub>3</sub>	OCH <sub>2</sub> CONMe <sub>2</sub>	Cl		4-270	Cl Cl	CH <sub>2</sub> O-tetrahydrofuran-3-yl	SO <sub>2</sub> Et	
4-207 4-208	CF <sub>3</sub> CF <sub>3</sub>	[1,4]dioxan-2-yl-methoxy O(CH <sub>2</sub> ) <sub>2</sub> OMe	Cl Br		4-271	Ci	CH <sub>2</sub> OCH <sub>2</sub> -tetrahydrofuran-2- yl	$SO_2Me$	
4-209	CF <sub>3</sub>	$O(CH_2)_2OMe$	Br		4-272	Cl	CH <sub>2</sub> OCH <sub>2</sub> -tetrahydrofuran-2-	SO <sub>2</sub> Et	
4-210	CF <sub>3</sub>	O(CH <sub>2</sub> ) <sub>3</sub> OMe	$_{\mathrm{Br}}$				yl	2	
4-211	CF <sub>3</sub>	OCH <sub>2</sub> CONMe <sub>2</sub>	Br	50	4-273	Cl	CH <sub>2</sub> OCH <sub>2</sub> -tetrahydrofuran-3-	$SO_2Me$	
4-212 4-213	CF <sub>3</sub>	[1,4]dioxan-2-yl-methoxy	Br I		4-274	Cl	yl CH <sub>2</sub> OCH <sub>2</sub> -tetrahydrofuran-3-	SO Et	
4-213	CF <sub>3</sub> CF <sub>3</sub>	O(CH <sub>2</sub> ) <sub>2</sub> OMe O(CH <sub>2</sub> ) <sub>3</sub> OMe	I		4-274	Ci	yl	SO <sub>2</sub> Et	
4-215	CF <sub>3</sub>	OCH <sub>2</sub> CONMe <sub>2</sub>	Î		4-275	Cl	pyrazol-1-yl	$SO_2Me$	
4-216	$CF_3$	[1,4]dioxan-2-yl-methoxy	I		4-276	Cl	OMe	$SO_2Me$	
4-217	CF <sub>3</sub>	F	SO <sub>2</sub> Me	55	4-277	Cl	OMe	SO <sub>2</sub> Et	
4-218	CF <sub>3</sub>	F O(CIL) OMa	SO <sub>2</sub> Et		4-278 4-279	Cl Cl	OEt	SO <sub>2</sub> Me	
4-219 4-220	$CF_3$ $CF_3$	$O(CH_2)_2OMe$ $O(CH_2)_2OMe$	SO₂Me SO₂Et		4-279	Cl	OEt OiPr	SO₂Et SO₂Me	
4-221	CF <sub>3</sub>	$O(CH_2)_3OMe$	SO <sub>2</sub> Me		4-281	Cl	OiPr	SO <sub>2</sub> Nic SO <sub>2</sub> Et	
4-222	$CF_3$	$O(CH_2)_3OMe$	SO₂Et		4-282	Cl	$O(CH_2)_2OMe$	$SO_2Me$	
4-223	$CF_3$	OCH <sub>2</sub> CONMe <sub>2</sub>	$SO_2Me$	60	4-283	Cl	$O(CH_2)_2OMe$	SO <sub>2</sub> Et	
4-224	CF <sub>3</sub>	OCH <sub>2</sub> CONMe <sub>2</sub>	SO <sub>2</sub> Et	00	4-284	Cl	$O(CH_2)_3OMe$	SO <sub>2</sub> Me	
4-225 4-226	$CF_3$ $CF_3$	[1,4]dioxan-2-yl-methoxy [1,4]dioxan-2-yl-methoxy	SO₂Me SO₂Et		4-285 4-286	Cl Cl	$O(CH_2)_3OMe$ $O(CH_2)_4OMe$	SO₂Et SO₂Me	
4-227	F	SMe	CF <sub>3</sub>		4-287	Cl	$O(CH_2)_4OMe$ $O(CH_2)_4OMe$	SO <sub>2</sub> Me SO <sub>2</sub> Et	
4-228	F	SOMe	CF <sub>3</sub>		4-288	Cl	[1,4]dioxan-2-yl-methoxy	SO <sub>2</sub> Me	
4-229	Cl	SMe	H		4-289	Cl	[1,4]dioxan-2-yl-methoxy	SO <sub>2</sub> Et	
4-230	CI	SOMe	H	65	4-290	Cl	OCH <sub>2</sub> (CO)NMe <sub>2</sub>	SO <sub>2</sub> Me	
4-231	Cl	SO <sub>2</sub> Me	Н		4-291	Cl	OCH <sub>2</sub> (CO)NMe <sub>2</sub>	SO <sub>2</sub> Et	

## **34** TABLE 4-continued

Comp		eral formula (I) according to the in is CY, B is N and R is methyl	vention in	-	Comp		al formula (I) according to the is CY, B is N and R is methyl	invention in
	N N	Me O X N H Z		5		N N N	Me O X Y	
No.	X	Y	z		No.	X	Y	Z
4-292	Cl	SMe	SO <sub>2</sub> Me	_	4-358	SO <sub>2</sub> Me	$\mathrm{NH}_2$	CF <sub>3</sub>
4-293 4-294	Cl Br	SOMe OMe	SO <sub>2</sub> Me Br	15	4-359 4-360	$SO_2Me$ SMe	NHEt SEt	Cl F
4-295	Br	O(CH <sub>2</sub> ) <sub>2</sub> OMe	Br		4-361	SMe	SMe	F
4-296	Br	O(CH <sub>2</sub> ) <sub>2</sub> OMe	SO <sub>2</sub> Me					
4-297 4-298	Br Br	O(CH <sub>2</sub> ) <sub>2</sub> OMe O(CH <sub>2</sub> ) <sub>3</sub> OMe	SO₂Et SO₂Me					
4-299	Br	$O(CH_2)_3OMe$	SO <sub>2</sub> Et	20			TABLE 5	
4-300	Br	O(CH <sub>2</sub> ) <sub>4</sub> OMe O(CH <sub>2</sub> ) <sub>4</sub> OMe	SO <sub>2</sub> Me	20				
4-301 4-302	Br Br	[1,4]dioxan-2-yl-methoxy	SO₂Et SO₂Me		Comp		al formula (I) according to the i	nvention in
4-303	$_{ m Br}$	[1,4]dioxan-2-yl-methoxy	SO <sub>2</sub> Et			Which A i	is CY, B is N and R is ethyl	
4-304 4-305	I I	$O(CH_2)_2OMe$ $O(CH_2)_2OMe$	SO₂Me SO₂Et			NN	Et	
4-306	I	$O(CH_2)_3OMe$	SO <sub>2</sub> Me	25		N	0 X 	
4-307	I I	O(CH <sub>2</sub> ) <sub>3</sub> OMe	SO <sub>2</sub> Et			\ <sub>N</sub> =\	\_\_\_\_\_\_\Y	
4-308 4-309	I	O(CH <sub>2</sub> ) <sub>4</sub> OMe O(CH <sub>2</sub> ) <sub>4</sub> OMe	SO₂Me SO₂Et			11	N Y	
4-310	I	[1,4]dioxan-2-yl-methoxy	$SO_2Me$				H L	
4-311 4-312	I OMe	[1,4]dioxan-2-yl-methoxy SMe	SO <sub>2</sub> Et CF <sub>3</sub>	30			<b>✓</b> `Z	
4-313	OMe	SOMe	CF <sub>3</sub>	30	No.	X	Y	Z
4-314	OMe	SO <sub>2</sub> Me	$CF_3$					
4-315 4-316	OMe OMe	SEt SOEt	CF <sub>3</sub> CF <sub>3</sub>		5-1 5-2	F F	H H	Cl Br
4-317	OMe	SO <sub>2</sub> Et	CF <sub>3</sub>		5-3	F	H	SO <sub>2</sub> Me
4-318	OMe OM-	S(CH <sub>2</sub> ) <sub>2</sub> OMe	$CF_3$	35	5-4	F	H	SO <sub>2</sub> Et
4-319 4-320	OMe OMe	SO(CH <sub>2</sub> ) <sub>2</sub> OMe SO <sub>2</sub> (CH <sub>2</sub> ) <sub>2</sub> OMe	$CF_3$ $CF_3$		5-5 5-6	F F	H H	CF <sub>3</sub> NO <sub>2</sub>
4-321	OMe	SMe	Cl		5-7	Cl	H	F
4-322 4-323	OMe OMe	SOMe SO₂Me	Cl Cl		5-8	Cl	H	Cl
4-324	OMe	SEt	Cl	• •	5-9 5-10	Cl Cl	H H	Br SMe
4-325	OMe	SOEt	C1	40	5-11	Cl	H	SOMe
4-326 4-327	OMe OMe	SO <sub>2</sub> Et S(CH <sub>2</sub> ) <sub>2</sub> OMe	CI CI		5-12 5-13	Cl Cl	H H	SO₂Me SO₂CH₂Cl
4-328	OMe	$SO(CH_2)_2OMe$	CI		5-13	Cl	H	SEt
4-329	OMe	SO <sub>2</sub> (CH <sub>2</sub> ) <sub>2</sub> OMe	CI		5-15	Cl	H	SO <sub>2</sub> Et
4-330 4-331	OCH <sub>2</sub> c-Pr OCH <sub>2</sub> c-Pr	SMe SOMe	CF <sub>3</sub> CF <sub>3</sub>	45	5-16 5-17	Cl Cl	H H	$CF_3$ $NO_2$
4-332	OCH <sub>2</sub> c-Pr	SO <sub>2</sub> Me	$CF_3$		5-18	Cl	Н	pyrazol-1-yl
4-333 4-334	OCH <sub>2</sub> c-Pr OCH <sub>2</sub> c-Pr	SEt SOEt	$ \begin{array}{c} \operatorname{CF_3} \\ \operatorname{CF_3} \end{array} $		5-19	Cl	Н	1H-1,2,4-
4-335	OCH <sub>2</sub> c-Pr	SO <sub>2</sub> Et	CF <sub>3</sub>		5-20	$_{ m Br}$	Н	triazol-1-yl Cl
4-336	OCH - Pr	$S(CH_2)_2OMe$	$CF_3$		5-21	$_{\mathrm{Br}}$	H	$_{\mathrm{Br}}$
4-337 4-338	OCH₂c-Pr OCH₂c-Pr	SO <sub>2</sub> (CH <sub>2</sub> ) <sub>2</sub> OMe SO <sub>2</sub> (CH <sub>2</sub> ) <sub>2</sub> OMe	CF <sub>3</sub> CF <sub>3</sub>	50	5-22 5-23	Br Br	H H	SO₂Me SO₂Et
4-339	OCH <sub>2</sub> c-Pr	SMe	Cl		5-24	$_{ m Br}$	H	$C\bar{F}_3$
4-340 4-341	OCH <sub>2</sub> c-Pr OCH <sub>2</sub> c-Pr	SOMe SO <sub>2</sub> Me	CI CI		5-25	SO <sub>2</sub> Me	H	Cl
4-342	OCH <sub>2</sub> c-Pr	SEt	Cl		5-26 5-27	SO₂Me SO₂Me	H H	Br SMe
4-343	OCH <sub>2</sub> c-Pr	SOEt	Cl	55	5-28	$SO_2Me$	H	SOMe
4-344 4-345	OCH <sub>2</sub> c-Pr OCH <sub>2</sub> c-Pr	SO <sub>2</sub> Et S(CH <sub>2</sub> ) <sub>2</sub> OMe	Cl Cl		5-29 5-30	SO₂Me SO₂Me	H H	SO₂Me SO₂Et
4-346	OCH <sub>2</sub> c-Pr	$SO(CH_2)_2OMe$	Cl		5-31	SO <sub>2</sub> Me	H	CF <sub>3</sub>
4-347	OCH a Pr	$SO_2(CH_2)_2OMe$	Cl SO Ma		5-32	SO <sub>2</sub> Et	Н	C1
4-348 4-349	OCH <sub>2</sub> c-Pr OCH <sub>2</sub> c-Pr	SMe SOMe	SO₂Me SO₂Me		5-33 5-34	SO₂Et SO₂Et	H H	Br SMe
4-350	OCH <sub>2</sub> c-Pr	$SO_2Me$	$SO_2Me$	60	5-35	$SO_2Et$	Н	SOMe
4-351 4-352	OCH <sub>2</sub> c-Pr	SEt SOEt	SO <sub>2</sub> Me		5-36	SO <sub>2</sub> Et	H	SO <sub>2</sub> Me
4-352 4-353	OCH <sub>2</sub> c-Pr OCH <sub>2</sub> c-Pr	SO <sub>2</sub> Et	SO₂Me SO₂Me		5-37 5-38	SO <sub>2</sub> Et NO <sub>2</sub>	H H	CF <sub>3</sub> F
4-354	OCH <sub>2</sub> c-Pr	$S(CH_2)_2OMe$	$SO_2Me$		5-39	$NO_2$	Н	Cl
4-355 4-356	OCH <sub>2</sub> c-Pr OCH <sub>2</sub> c-Pr	SO(CH <sub>2</sub> ) <sub>2</sub> OMe SO <sub>2</sub> (CH <sub>2</sub> ) <sub>2</sub> OMe	SO <sub>2</sub> Me SO <sub>2</sub> Me	65	5-40 5-41	$\frac{\text{NO}_2}{\text{NO}_2}$	H H	$_{ m I}^{ m Br}$
4-357	SO <sub>2</sub> Me	F	CF <sub>3</sub>	35	5-41 5-42	$\frac{NO_2}{NO_2}$	H H	CN
	-		5			4		

# **36** TABLE 5-continued

Comp		ral formula (I) according to the ir is CY, B is N and R is ethyl	vention in	Compounds of the general formula (I) according to the invention which A is CY, B is N and R is ethyl				
	N N	Et O X Y		5		N N	Et O X	
		H Z		10			H Z	
No.	X	Y	Z		No.	X	Y	Z
5-43	$NO_2$	H	SO <sub>2</sub> Me		5-106	Me	NHMe	Cl
5-44 5-45	$\frac{NO_2}{NO_2}$	H H	$SO_2Et$ $CF_3$	15	5-107 5-108	Me Me	$NMe_2$ O(CH <sub>2</sub> ) <sub>2</sub> OMe	Cl Cl
5-46	Me	H	Cľ		5-109	Me	O(CH <sub>2</sub> ) <sub>3</sub> OMe	Cl
5-47	Me	H	Br		5-110	Me	$O(CH_2)_4OMe$	Cl
5-48 5-49	Me Me	H H	SMe SO₂Me		5-111 5-112	Me Me	$OCH_2CONMe_2$ $O(CH_2)_2$ — $CO$ — $NMe_2$	Cl Cl
5-50	Me	H	SO <sub>2</sub> Nic		5-112	Me	$O(CH_2)_2$ — $O(CH$	Cl
5-51	Me	H	SEt	20	5-114	Me	O(CH <sub>2</sub> ) <sub>2</sub> —NH(CO)NHCO <sub>2</sub> Et	Cl
5-52	Me	H	SO <sub>2</sub> Et		5-115	Me	O(CH <sub>2</sub> ) <sub>2</sub> —NHCO <sub>2</sub> Me	Cl
5-53 5-54	Me CH SO Mo	H H	$CF_3$ $CF_3$		5-116 5-117	Me Me	O—CH <sub>2</sub> —NHSO <sub>2</sub> cPr O(CH <sub>2</sub> )-5-2,4-dimethyl-	Cl Cl
5-55	CH <sub>2</sub> SO <sub>2</sub> Me Et	H	Cl Cl		3-117	IVIE	2,4-dihydro-3H-1,2,4-triazol-	CI
5-56	Et	H	$_{\mathrm{Br}}$				3-on	
5-57	Et	H	SMe	25	5-118	Me	O(CH <sub>2</sub> )-3,5-dime-thyl-1,2-	Cl
5-58 5-59	Et Et	H H	SO <sub>2</sub> Me SO <sub>2</sub> CH <sub>2</sub> Cl		5-119	Me	oxazol-4-yl SMe	Cl
5-60	Et	H	SEt		5-119	Me	SOMe	Cl
5-61	Et	H	SO₂Et		5-121	Me	$SO_2Me$	C1
5-62	Et	H	CF <sub>3</sub>		5-122	Me	SEt	Cl
5-63 5-64	$CF_3$ $CF_3$	H H	Cl Br	30	5-123 5-124	Me Me	SOEt SO <sub>2</sub> Et	Cl Cl
5-65	CF <sub>3</sub>	H	SO <sub>2</sub> Me		5-125	Me	$S(CH_2)_2OMe$	Cl
5-66	CF <sub>3</sub>	H	SO <sub>2</sub> Et		5-126	Me	$SO(CH_2)_2OMe$	Cl
5-67	CF <sub>3</sub>	H	$CF_3$		5-127	Me	SO <sub>2</sub> (CH <sub>2</sub> ) <sub>2</sub> OMe	Cl
5-68 5-69	$\frac{NO_2}{NO_2}$	NH <sub>2</sub> NHMe	F F		5-128 5-129	Me Me	NH <sub>2</sub> NHMe	Br Br
5-70	$NO_2$	NMe <sub>2</sub>	F	35	5-130	Me	NMe <sub>2</sub>	Br
5-71	$\overline{\mathrm{NO}_{2}}$	Me	Cl		5-131	Me	OCH <sub>2</sub> (CO)NMe <sub>2</sub>	Br
5-72	NO <sub>2</sub>	NH <sub>2</sub>	CI		5-132	Me	O(CH <sub>2</sub> )-5-pyrrolidin-2-on	Br
5-73 5-74	$\frac{\text{NO}_2}{\text{NO}_2}$	NHMe NMe <sub>2</sub>	Cl Cl		5-133 5-134	Me Me	SMe SOMe	Br Br
5-75	$NO_2$	NH <sub>2</sub>	Br		5-135	Me	SO <sub>2</sub> Me	Br
5-76	$\overline{\mathrm{NO}_{2}}$	NHMe	Br	40	5-136	Me	SEt	$\operatorname{Br}$
5-77 5-79	NO <sub>2</sub>	NMe <sub>2</sub>	Br		5-137	Me Ma	SOEt SO Et	Br Br
5-78 5-79	$\frac{NO_2}{NO_2}$	$^{ m NH_2}_{ m 2}$	$CF_3$ $CF_3$		5-138 5-139	Me Me	SO <sub>2</sub> Et SMe	I
5-80	$NO_2$	$NH_2$	SO <sub>2</sub> Me		5-140	Me	SOMe	I
5-81	$NO_2$	$\mathrm{NH}_2$	SO <sub>2</sub> Et		5-141	Me	$SO_2Me$	I
5-82 5-83	$\frac{NO_2}{NO_2}$	NHMe NMe <sub>2</sub>	SO₂Me SO₂Me	45	5-142 5-143	Me Me	SEt SOEt	I I
5-84	$NO_2$	NMe <sub>2</sub>	SO <sub>2</sub> Nic SO <sub>2</sub> Et		5-144	Me	SO <sub>2</sub> Et	I
5-85	$NO_2$	$NH_2$	1H-1,2,4-		5-145	Me	Cl	$CF_3$
5.06	NO	NITIM-	triazol-1-yl		5-146	Me	SMe	CF <sub>3</sub>
5-86	$NO_2$	NHMe	1H-1,2,4- triazol-1-yl	50	5-147 5-148	Me Me	SOMe SO₂Me	CF <sub>3</sub> CF <sub>3</sub>
5-87	$NO_2$	$NMe_2$	1H-1,2,4-	30	5-149	Me	SEt	CF <sub>3</sub>
<b>7</b> .00		an 1	triazol-1-yl		5-150	Me	SOEt	CF <sub>3</sub>
5-88 5-89	Me Me	SMe SOMe	H H		5-151 5-152	Me Me	SO <sub>2</sub> Et S(CH <sub>2</sub> ) <sub>2</sub> OMe	CF <sub>3</sub> CF <sub>3</sub>
5-90	Me	SO <sub>2</sub> Me	H		5-152	Me	SO(CH <sub>2</sub> ) <sub>2</sub> OMe	CF <sub>3</sub>
5-91	Me	SEt	H	55	5-154	Me	$SO_2(CH_2)_2OMe$	$CF_3$
5-92	Me	SOEt	Н	33	5-155	Me	Me	SO <sub>2</sub> Me
5-93 5-94	Me Me	SO <sub>2</sub> Et S(CH <sub>2</sub> ) <sub>2</sub> OMe	H H		5-156 5-157	Me Me	4,5-dihydro-1,2-oxazol-3 yl 4,5-dihydro-1,2-oxazol-3 yl	SO₂Me SO₂Et
5-9 <del>4</del> 5-95	Me	$SO(CH_2)_2OMe$	Н		5-158	Me	5-cyanomethyl-4,5-dihydro-	$SO_2Me$
5-96	Me	$SO_2(CH_2)_2OMe$	H				1,2-oxazol-3-yl	
5-97	Me	F	F	60	5-159	Me	5-cyanomethyl-4,5-dihydro-	SO <sub>2</sub> Et
5-98 5-99	Me Me	F SEt	Cl F	00	5-160	Me	1,2-oxazol-3-yl NH <sub>2</sub>	$SO_2Me$
5-100	Me	SOEt	F		5-161	Me	NHMe	SO <sub>2</sub> Me
5-101	Me	$SO_2Et$	F		5-162	Me	NMe <sub>2</sub>	$SO_2Me$
5-102	Me	Me	Cl		5-163	Me	NH(CH <sub>2</sub> ) <sub>2</sub> OMe	SO <sub>2</sub> Me
5-103 5-104	Me Me	F Cl	Cl Cl	65	5-164 5-165	Me Me	pyrazol-1-yl OH	SO <sub>2</sub> Me SO <sub>2</sub> Me
5-10 <del>4</del> 5-105	Me	NH <sub>2</sub>	Cl	0.5	5-166	Me	OMe	$SO_2Me$ $SO_2Me$
		2						2

# **38** TABLE 5-continued

	12.11	222 Commune		_	TIBEL 5 Volumeou					
Com		l formula (I) according to the ir s CY, B is N and R is ethyl	wention in	_	Compounds of the general formula (I) according to the invention in which A is CY, B is N and R is ethyl					
	N	Et		5		N	Et			
	//_N_	O X				11-1	Y Q X			
	N _	↓ ↓ <sub>N</sub>				N _	, J , y			
	N~	, N				N	, N			
		H L					H L			
		$^{\rm H}$		10			$^{\rm H}$			
No.	X	Y	Z	_	No.	X	Y	Z		
5-167	Me	OMe	SO <sub>2</sub> Et		5-232	CF <sub>3</sub>	O(CH <sub>2</sub> ) <sub>3</sub> OMe	SO <sub>2</sub> Et		
5-168	Me	OEt	$SO_2Me$	15	5-233	$CF_3$	OCH <sub>2</sub> CONMe <sub>2</sub>	$SO_2Me$		
5-169 5-170	Me Me	OEt OiPr	SO <sub>2</sub> Et SO <sub>2</sub> Me		5-234 5-235	$CF_3$ $CF_3$	OCH <sub>2</sub> CONMe <sub>2</sub> [1,4]dioxan-2-yl-methoxy	SO <sub>2</sub> Et SO <sub>2</sub> Me		
5-170	Me	OiPr	SO <sub>2</sub> Nie SO <sub>2</sub> Et		5-236	CF <sub>3</sub>	[1,4]dioxan-2-yl-methoxy	SO <sub>2</sub> Nie SO <sub>2</sub> Et		
5-172	Me	O(CH <sub>2</sub> ) <sub>2</sub> OMe	SO <sub>2</sub> Me		5-237	F	SMe	CF <sub>3</sub>		
5-173	Me	$O(CH_2)_2OMe$	SO <sub>2</sub> Et		5-238	F	SOMe	$CF_3$		
5-174 5-175	Me Me	O(CH <sub>2</sub> ) <sub>3</sub> OMe	SO₂Me SO₂Et	20	5-239 5-240	CI CI	Me OCH <sub>2</sub> CHCH <sub>2</sub>	Cl Cl		
5-176	Me	O(CH <sub>2</sub> ) <sub>3</sub> OMe O(CH <sub>2</sub> ) <sub>4</sub> OMe	SO <sub>2</sub> Me		5-240	Cl	OCH <sub>2</sub> CHCH <sub>2</sub>	Cl		
5-177	Me	$O(CH_2)_4OMe$	SO <sub>2</sub> Et		5-242	Cl	O(CH <sub>2</sub> ) <sub>2</sub> OMe	Cl		
5-178	Me	$O(CH_2)_2NHSO2Me$	$SO_2Me$		5-243	Cl	OCH <sub>2</sub> (CO)NMe <sub>2</sub>	Cl		
5-179	Me M-	O(CH <sub>2</sub> ) <sub>2</sub> NHSO2Me	SO <sub>2</sub> Et		5-244	Cl	O(CH <sub>2</sub> )-5-pyrrolidin-2-on	Cl		
5-180 5-181	Me Me	OCH <sub>2</sub> (CO)NMe <sub>2</sub> OCH <sub>2</sub> (CO)NMe <sub>2</sub>	SO₂Me SO₂Et	25	5-245 5-246	Cl Cl	SMe SOMe	Cl Cl		
5-182	Me	[1,4]dioxan-2-yl-methoxy	SO <sub>2</sub> Me	23	5-247	Cl	SO <sub>2</sub> Me	Cl		
5-183	Me	[1,4]dioxan-2-yl-methoxy	SO <sub>2</sub> Et		5-248	Cl	F	SMe		
5-184	Me	O(CH <sub>2</sub> ) <sub>2</sub> —O(3,5-	$SO_2Me$		5-249	Cl	Cl	SO <sub>2</sub> Me		
5-185	Me	dimethoxypyrimidin-2-yl Cl	SO₂Me		5-250 5-251	Cl Cl	COOMe CONMe <sub>2</sub>	SO₂Me SO₂Me		
5-186	Me	SMe	SO <sub>2</sub> Me	30	5-252	Cl	CONMe(OMe)	SO <sub>2</sub> Me		
5-187	Me	SOMe	$SO_2Me$	50	5-253	Cl	CH <sub>2</sub> OMe	$SO_2Me$		
5-188	Me	SO <sub>2</sub> Me	SO <sub>2</sub> Me		5-254	Cl	CH <sub>2</sub> OMe	SO <sub>2</sub> Et		
5-189 5-190	Me Me	SO₂Me SEt	SO <sub>2</sub> Et SO <sub>2</sub> Me		5-255 5-256	Cl Cl	CH₂OEt CH₂OEt	SO₂Me SO₂Et		
5-191	Me	SOEt	SO <sub>2</sub> Me		5-257	Cl	CH <sub>2</sub> OCH <sub>2</sub> CHF <sub>2</sub>	SO <sub>2</sub> Me		
5-192	Me	SO <sub>2</sub> Et	$SO_2Me$	35	5-258	Cl	CH <sub>2</sub> OCH <sub>2</sub> CF <sub>3</sub>	$SO_2Me$		
5-193 5-194	Me Me	S(CH <sub>2</sub> ) <sub>2</sub> OMe	SO <sub>2</sub> Me		5-259	Cl Cl	CH <sub>2</sub> OCH <sub>2</sub> CF <sub>3</sub>	SO <sub>2</sub> Et		
5-194	Me	SO(CH <sub>2</sub> ) <sub>2</sub> OMe SO <sub>2</sub> (CH <sub>2</sub> ) <sub>2</sub> OMe	SO <sub>2</sub> Me SO2Me		5-260 5-261	Cl	CH <sub>2</sub> OCH <sub>2</sub> CF <sub>2</sub> CHF <sub>2</sub> CH <sub>2</sub> OcPentyl	SO <sub>2</sub> Me SO <sub>2</sub> Me		
5-196	CH <sub>2</sub> SMe	OMe	SO <sub>2</sub> Me		5-262	Cl	$CH_2PO(OMe)_2$	SO <sub>2</sub> Me		
5-197	CH <sub>2</sub> OMe	OMe	$SO_2Me$		5-263	Cl	4,5-dihydro-1,2-oxazol-3 yl	SMe		
5-198 5-199	$CH_2O(CH_2)_2OMe$ $CH_2O(CH_2)_2OMe$	NH(CH <sub>2</sub> ) <sub>2</sub> OEt	SO₂Me SO₂Me	40	5-264 5-265	CI CI	4,5-dihydro-1,2-oxazol-3 yl 4,5-dihydro-1,2-oxazol-3 yl	SO₂Me SO₂Et		
5-200	CH <sub>2</sub> O(CH <sub>2</sub> ) <sub>2</sub> OMe	NH(CH <sub>2</sub> ) <sub>3</sub> OEt OMe	SO <sub>2</sub> Me		5-266	Cl	5-cyanomethyl- 4,5-dihydro-	SO <sub>2</sub> Me		
5-201	$CH_2O(CH_2)_2OMe$	NH(CH <sub>2</sub> ) <sub>2</sub> OMe	$SO_2^2$ Me				1,2-oxazol-3 yl	2		
5-202	CH <sub>2</sub> O(CH <sub>2</sub> ) <sub>2</sub> OMe	NH(CH <sub>2</sub> ) <sub>3</sub> OMe	SO <sub>2</sub> Me		5-267	Cl	5-cyanomethyl- 4,5-dihydro-	SO <sub>2</sub> Et		
5-203 5-204	Et Et	SMe SO₂Me	Cl Cl		5-268	CI	1,2-oxazol-3 yl 5-(Methoxyme-thyl)-4,5-	SO₂Et		
5-205	Et	SMe	CF <sub>3</sub>	45	3-200	CI	dihydro-1,2-oxazol-3 yl	5O <sub>2</sub> Lt		
5-206	Et	$SO_2Me$	CF <sub>3</sub>		5-269	Cl	5-(Methoxyme-thyl)-5-	$SO_2Et$		
5-207	Et	F	SO <sub>2</sub> Me				Methyl-4,5-dihy-dro-1,2-			
5-208 5-209	Et iPr	NH(CH <sub>2</sub> ) <sub>2</sub> OMe SO <sub>2</sub> Me	SO <sub>2</sub> Me CF <sub>3</sub>		5-270	Cl	oxazol-3 yl CH <sub>2</sub> O-tetrahydrofuran-3-yl	SO <sub>2</sub> Me		
5-210	cPr	SO <sub>2</sub> Me	CF <sub>3</sub>		5-271	Cl	CH <sub>2</sub> O-tetrahydrofuran-3-yl	SO <sub>2</sub> Et		
5-211	$CF_3$	$O(CH_2)_2OMe$	F	50	5-272	Cl	CH <sub>2</sub> OCH <sub>2</sub> -tetrahydrofuran-	$SO_2Me$		
5-212	CF <sub>3</sub>	O(CH <sub>2</sub> ) <sub>3</sub> OMe	F F		5 272	CI	2-yl	CO Es		
5-213 5-214	$CF_3$ $CF_3$	OCH <sub>2</sub> CONMe <sub>2</sub> [1,4]dioxan-2-yl-methoxy	F		5-273	Cl	CH <sub>2</sub> OCH <sub>2</sub> -tetrahydrofuran- 2-yl	SO <sub>2</sub> Et		
5-215	CF <sub>3</sub>	O(CH <sub>2</sub> ) <sub>2</sub> OMe	Cl		5-274	Cl	CH <sub>2</sub> OCH <sub>2</sub> -tetrahydrofuran-	$SO_2Me$		
5-216	CF <sub>3</sub>	O(CH <sub>2</sub> ) <sub>3</sub> OMe	Cl				3-yl	30 F		
5-217 5-218	CF <sub>3</sub> CF <sub>3</sub>	OCH <sub>2</sub> CONMe <sub>2</sub> [1,4]dioxan-2-yl-methoxy	Cl Cl	55	5-275	Cl	CH <sub>2</sub> OCH <sub>2</sub> -tetrahydrofuran- 3-yl	SO <sub>2</sub> Et		
5-219	CF <sub>3</sub>	O(CH <sub>2</sub> ) <sub>2</sub> OMe	Br		5-276	Cl	OMe	SO <sub>2</sub> Me		
5-220	$CF_3$	$O(CH_2)_3OMe$	$_{\mathrm{Br}}$		5-277	Cl	OMe	SO <sub>2</sub> Et		
5-221	CF <sub>3</sub>	OCH <sub>2</sub> CONMe <sub>2</sub>	Br		5-278	Cl	OEt	SO <sub>2</sub> Me		
5-222 5-223	$CF_3$ $CF_3$	[1,4]dioxan-2-yl-methoxy O(CH <sub>2</sub> ) <sub>2</sub> OMe	Br I		5-279 5-280	Cl Cl	OEt OiPr	SO₂Et SO₂Me		
5-223 5-224	CF <sub>3</sub>	O(CH <sub>2</sub> ) <sub>2</sub> OMe O(CH <sub>2</sub> ) <sub>3</sub> OMe	I	60	5-280	Cl	OiPr	SO <sub>2</sub> Me SO <sub>2</sub> Et		
5-225	CF <sub>3</sub>	OCH <sub>2</sub> CONMe <sub>2</sub>	Ī		5-282	Cl	$O(CH_2)_2OMe$	SO <sub>2</sub> Me		
5-226	CF <sub>3</sub>	[1,4]dioxan-2-yl-methoxy	I		5-283	Cl	O(CH <sub>2</sub> ) <sub>4</sub> OMe	SO <sub>2</sub> Me		
5-227 5-228	$CF_3$ $CF_3$	F F	SO₂Me SO₂Et		5-284 5-285	Cl Cl	$O(CH_2)_4OMe$ $O(CH_2)_3OMe$	SO <sub>2</sub> Et SO <sub>2</sub> Me		
5-229	CF <sub>3</sub>	O(CH <sub>2</sub> ) <sub>2</sub> OMe	SO <sub>2</sub> Et SO <sub>2</sub> Me		5-286	Cl	$O(CH_2)_3OMe$ $O(CH_2)_3OMe$	SO <sub>2</sub> Me SO <sub>2</sub> Et		
5-230	CF <sub>3</sub>	$O(CH_2)_2OMe$	SO <sub>2</sub> Et	65	5-287	Cl	$O(CH_2)_2OMe$	SO <sub>2</sub> Me		
5-231	CF <sub>3</sub>	$O(CH_2)_3OMe$	SO <sub>2</sub> Me		5-288	Cl	$O(CH_2)_2OMe$	SO <sub>2</sub> Et		

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TABLE 5-continued

	TA	ABLE 5-continued			TABLE 5-continued					
Comp		ral formula (I) according to the in is CY, B is N and R is ethyl	vention in	_	Comp		al formula (I) according to the i	nvention in		
	N_	Et		5		N-	Et			
	N N	O X				N N	OX			
		Y				· · ·	$\searrow$ $\searrow$ $\searrow$ $\bigvee$			
	11					11				
		H L		10			H —			
		<b>→</b> .7					<b>▽</b> 'Z			
No.	X	Y	Z	-	No.	X	Y	Z		
5-289 5-290	Cl Cl	[1,4]dioxan-2-yl-methoxy [1,4]dioxan-2-yl-methoxy	SO₂Me SO₂Et		5-355 5-356	OCH₂c-Pr OCH₂c-Pr	SO(CH <sub>2</sub> ) <sub>2</sub> OMe SO <sub>2</sub> (CH <sub>2</sub> ) <sub>2</sub> OMe	SO₂Me SO₂Me		
5-291	Cl	OCH <sub>2</sub> (CO)NMe <sub>2</sub>	SO <sub>2</sub> Me	15	5-357	$SO_2Me$	F	$\overline{\mathrm{CF}}_{3}$		
5-292 5-293	Cl Cl	OCH <sub>2</sub> (CO)NMe <sub>2</sub> SMe	SO <sub>2</sub> Et SO <sub>2</sub> Me		5-358 5-359	SO₂Me SO₂Me	$rac{ ext{NH}_2}{ ext{NHEt}}$	CF <sub>3</sub> Cl		
5-294	Cl	SOMe	SO <sub>2</sub> Me		5-360	SMe	SEt	F		
5-295	Br	OMe	Br		5-361	SMe	SMe	F		
5-296 5-297	Br Br	O(CH <sub>2</sub> ) <sub>2</sub> OMe O(CH <sub>2</sub> ) <sub>2</sub> OMe	Br SO₂Me	20				_		
5-298	$_{ m Br}$	$O(CH_2)_2OMe$	$\overline{SO_2}$ Et							
5-299 5-300	Br Br	O(CH <sub>2</sub> ) <sub>3</sub> OMe O(CH <sub>2</sub> ) <sub>3</sub> OMe	SO₂Me SO₂Et				TABLE 6			
5-301	Br	$O(CH_2)_4OMe$	SO <sub>2</sub> Me		Comr	ounds of the gener	al formula (I) according to the i	mention in		
5-302	Br	O(CH <sub>2</sub> ) <sub>4</sub> OMe	SO <sub>2</sub> Et	2.5	Comp		CY, B is N and R is phenyl	iivention iii		
5-303 5-304	Br Br	[1,4]dioxan-2-yl-methoxy [1,4]dioxan-2-yl-methoxy	SO₂Me SO₂Et	25			. Ph			
5-305	I	$O(CH_2)_2OMe$	SO <sub>2</sub> Me			"~".	O X			
5-306 5-307	I	O(CH <sub>2</sub> ) <sub>2</sub> OMe O(CH <sub>2</sub> ) <sub>3</sub> OMe	SO₂Et SO₂Me			N,	W			
5-308	I	$O(CH_2)_3OMe$	SO <sub>2</sub> Nc			N	$\searrow$			
5-309	I	O(CH <sub>2</sub> ) <sub>4</sub> OMe	SO <sub>2</sub> Me	30			Ĩ			
5-310 5-311	I	O(CH <sub>2</sub> ) <sub>4</sub> OMe [1,4]dioxan-2-yl-methoxy	SO₂Et SO₂Me				H Z			
5-312	I	[1,4]dioxan-2-yl-methoxy	SO <sub>2</sub> Et				L			
5-313 5-314	OMe OMe	SMe SOMe	CF <sub>3</sub> CF <sub>3</sub>		No.	X	Y	Z		
5-315	OMe	SO <sub>2</sub> Me	CF <sub>3</sub>	35	6-1	F	Н	Cl		
5-316 5-317	OMe OMe	SOEt SO₂Et	CF <sub>3</sub> CF <sub>3</sub>	33	6-2	F	H	$\operatorname{Br}$		
5-318	OMe	$S(CH_2)_2OMe$	CF <sub>3</sub>		6-3 6-4	F F	H H	SO₂Me SO₂Et		
5-319	OMe	SO(CH <sub>2</sub> ) <sub>2</sub> OMe	CF <sub>3</sub>		6-5	F	H	$C\overline{F}_3$		
5-320 5-321	OMe OMe	$SO_2(CH_2)_2OMe$ SMe	CF <sub>3</sub> Cl		6-6 6-7	F Cl	H H	$\frac{NO_2}{F}$		
5-322	OMe	SOMe	Cl	40	6-8	Cl	H	Cl		
5-323 5-324	OMe OMe	SO₂Me SEt	Cl Cl		6-9	CI	H	Br		
5-325	OMe	SOEt	Cl		6-10 6-11	Cl Cl	H H	SMe SOMe		
5-326	OMe OMa	SO2Et	Cl		6-12	Cl	H	$SO_2Me$		
5-327 5-328	OMe OMe	S(CH <sub>2</sub> ) <sub>2</sub> OMe SO(CH <sub>2</sub> ) <sub>2</sub> OMe	Cl Cl	45	6-13 6-14	Cl Cl	H H	SO <sub>2</sub> CH <sub>2</sub> Cl SEt		
5-329	OMe	$SO_2(CH_2)_2OMe$	Cl		6-15	Cl	H	SO <sub>2</sub> Et		
5-330 5-331	OCH₂c-Pr OCH₂c-Pr	SMe SOMe	$ \begin{array}{c} \operatorname{CF_3} \\ \operatorname{CF_3} \end{array} $		6-16 6-17	Cl Cl	H H	$ CF_3 $ $ NO_2 $		
5-332	OCH <sub>2</sub> c-Pr	$SO_2Me$	$CF_3$		6-18	Cl	H	pyrazol-1-yl		
5-333 5-334	OCH <sub>2</sub> c-Pr OCH <sub>2</sub> c-Pr	SEt SOEt	CF <sub>3</sub> CF <sub>3</sub>	• •	6-19	Cl	H	1H-1,2,4-		
5-335	OCH <sub>2</sub> c-Pr	SO <sub>2</sub> Et	CF <sub>3</sub>	50	6-20	Br	Н	triazol-1-yl Cl		
5-336	OCH - Pr	S(CH <sub>2</sub> ) <sub>2</sub> OMe	$CF_3$		6-21	Br	Н	$_{ m Br}$		
5-337 5-338	OCH₂c-Pr OCH₂c-Pr	$SO(CH_2)_2OMe$ $SO_2(CH_2)_2OMe$	$ \begin{array}{c} \operatorname{CF}_{3} \\ \operatorname{CF}_{3} \end{array} $		6-22 6-23	Br Br	H H	SO₂Me SO₂Et		
5-339	OCH <sub>2</sub> c-Pr	SMe	Cl		6-24	Br	H	CF <sub>3</sub>		
5-340 5-341	OCH <sub>2</sub> c-Pr OCH <sub>2</sub> c-Pr	SOMe SO₂Me	Cl Cl	55	6-25	SO <sub>2</sub> Me	H	Cl Pr		
5-342	OCH <sub>2</sub> c-Pr	SEt	C1		6-26 6-27	SO₂Me SO₂Me	H H	Br SMe		
5-343 5-344	OCH <sub>2</sub> c-Pr OCH <sub>2</sub> c-Pr	SOEt SO₂Et	Cl Cl		6-28	$SO_2Me$	H	SOMe		
5-344	OCH <sub>2</sub> c-Pr OCH <sub>2</sub> c-Pr	S(CH <sub>2</sub> ) <sub>2</sub> OMe	Cl		6-29 6-30	SO₂Me SO₂Me	H H	SO₂Me SO₂Et		
5-346	OCH <sub>2</sub> c-Pr	$SO(CH_2)_2OMe$	C1	60	6-31	SMe	H	$C\overline{F}_3$		
5-347 5-348	OCH₂c-Pr OCH₂c-Pr	SO <sub>2</sub> (CH <sub>2</sub> ) <sub>2</sub> OMe SMe	Cl SO₂Me	00	6-32 6-33	SO <sub>2</sub> Me	H H	CF <sub>3</sub>		
5-349	OCH <sub>2</sub> c-Pr	SOMe	$SO_2^-Me$		6-34	SO₂Et SO₂Et	H H	Cl Br		
5-350 5-351	OCH c-Pr	SO₂Me SEt	SO <sub>2</sub> Me		6-35	SO <sub>2</sub> Et	H	SMe		
5-351 5-352	OCH <sub>2</sub> c-Pr OCH <sub>2</sub> c-Pr	SOEt SOEt	SO <sub>2</sub> Me SO <sub>2</sub> Me		6-36 6-37	SO₂Et SO₂Et	H H	SOMe SO₂Me		
5-353	OCH <sub>2</sub> c-Pr	$SO_2Et$	$SO_2^-Me$	65	6-38	SO <sub>2</sub> Et	H	$\overline{\mathrm{CF}}_3$		
5-354	OCH <sub>2</sub> c-Pr	$S(CH_2)_2OMe$	$SO_2Me$		6-39	$NO_2$	Н	F		

## 42 TABLE 6-continued

	12	ELL 3 commueu								
Comp		ral formula (I) according to the in s CY, B is N and R is phenyl	nvention in		Compounds of the general formula (I) according to the invention in which A is CY, B is N and R is phenyl					
	N N	Ph O X Y		5		N N	Ph O X Y			
No.	X	Y Z	Z		No.	X	Y Z	Z		
6-40	NO <sub>2</sub>	Н	Cl		6-103	Me	Me	Cl		
6-41	$NO_2$	H	$_{ m Br}$	15	6-104	Me	F	Cl		
6-42	$NO_2$	H	I	13	6-105	Me	Cl	Cl		
6-43	$NO_2$	H	CN		6-106	Me	$NH_2$	Cl		
6-44	$NO_2$	H	SO <sub>2</sub> Me		6-107	Me	NHMe	Cl		
6-45	$NO_2$	H	SO <sub>2</sub> Et		6-108	Me	NMe <sub>2</sub>	Cl		
6-46	$NO_2$	H	CF <sub>3</sub>		6-109	Me	$O(CH_2)_2OMe$	Cl		
6-47 6-48	Me Mo	H H	Cl Br	20	6-110 6-111	Me	O(CH <sub>2</sub> ) <sub>3</sub> OMe	Cl Cl		
6-49	Me Me	H	SMe		6-111	Me Me	O(CH <sub>2</sub> ) <sub>4</sub> OMe OCH <sub>2</sub> CONMe <sub>2</sub>	Cl		
6-50	Me	H	SO <sub>2</sub> Me		6-113	Me	$O(CH_2)_2$ — $CO$ — $NMe_2$	Cl		
6-51	Me	H	SO <sub>2</sub> CH <sub>2</sub> Cl		6-114	Me	$O(CH_2)_2$ — $NH(CO)NMe_2$	Cl		
6-52	Me	H	SEt		6-115	Me	O(CH <sub>2</sub> ) <sub>2</sub> —NH(CO)NHCO <sub>2</sub> Et	Cl		
6-53	Me	Н	SO <sub>2</sub> Et		6-116	Me	O(CH <sub>2</sub> ) <sub>2</sub> —NHCO <sub>2</sub> Me	Cl		
6-54	Me	H	$C\overline{F}_3$	25	6-117	Me	O—CH <sub>2</sub> —NHSO <sub>2</sub> cPr	Cl		
6-55	CH <sub>2</sub> SO <sub>2</sub> Me	H	$CF_3$		6-118	Me	O(CH <sub>2</sub> )-5-2,4-dime-thyl-2,4-	Cl		
6-56	Et	H	Cl				dihydro-3H-1,2,4-triazol-3-on			
6-57	Et	H	Br		6-119	Me	O(CH <sub>2</sub> )-3,5-dime-thyl-1,2-	Cl		
6-58 6-59	Et Et	H H	SMe SO Ma		6-120	Me	oxazol-4-yl	Cl		
6-60	Et	H	SO <sub>2</sub> Me SO <sub>2</sub> CH <sub>2</sub> Cl	30	6-120	Me	SMe SOMe	Cl		
6-61	Et	H	SEt	30	6-122	Me	SO <sub>2</sub> Me	Cl		
6-62	Et	H	SO <sub>2</sub> Et		6-123	Me	SEt	Cl		
6-63	Et	H	$C\overline{F}_3$		6-124	Me	SOEt	Cl		
6-64	$CF_3$	H	C1		6-125	Me	$SO_2Et$	Cl		
6-65	$CF_3$	H	$_{ m Br}$		6-126	Me	$S(CH_2)_2OMe$	Cl		
6-66	CF <sub>3</sub>	H	SO <sub>2</sub> Me	35	6-127	Me	SO(CH <sub>2</sub> ) <sub>2</sub> OMe	Cl		
6-67 6-68	CF <sub>3</sub>	H H	SO <sub>2</sub> Et		6-128 6-129	Me Me	SO <sub>2</sub> (CH <sub>2</sub> ) <sub>2</sub> OMe	Cl Br		
6-69	$CF_3$ $NO_2$	$^{ m NH}_2$	CF <sub>3</sub> F		6-130	Me	NH <sub>2</sub> NHMe	Br		
6-70	$NO_2$	NHMe	F		6-131	Me	NMe <sub>2</sub>	Br		
6-71	$NO_2$	NMe <sub>2</sub>	F		6-132	Me	O(CH <sub>2</sub> )—(CO)NEt <sub>2</sub>	Br		
6-72	$NO_2$	Me	C1	40	6-133	Me	O(CH <sub>2</sub> )-5-pyrrolidin-2-on	$_{\mathrm{Br}}$		
6-73	$NO_2$	$\mathrm{NH}_2$	C1	40	6-134	Me	SMe	$_{\mathrm{Br}}$		
6-74	$NO_2$	NHMe	Cl		6-135	Me	SOMe	Br		
6-75 6-76	$\frac{NO_2}{NO_2}$	$rac{ ext{NMe}_2}{ ext{NH}_2}$	Cl Br		6-136 6-137	Me Me	SO₂Me SEt	Br Br		
6-77	$NO_2$ $NO_2$	NHMe	Br		6-138	Me	SOEt	Br		
6-78	NO <sub>2</sub>	NMe <sub>2</sub>	Br		6-139	Me	SO <sub>2</sub> Et	Br		
6-79	$NO_2$	$NH_2$	CF <sub>3</sub>	45	6-140	Me	SMe	I		
6-80	$\overline{\mathrm{NO}_{2}}$	$\overline{\text{NMe}}_2$	$CF_3$		6-141	Me	SOMe	I		
6-81	$NO_2$	$\mathrm{NH}_2$	$SO_2Me$		6-142	Me	$SO_2Me$	I		
6-82	$NO_2$	$\mathrm{NH}_2$	SO <sub>2</sub> Et		6-143	Me	SEt	I		
6-83	NO <sub>2</sub>	NHMe	SO <sub>2</sub> Me		6-144	Me	SOEt	I		
6-84 6-85	$\frac{NO_2}{NO_2}$	NMe <sub>2</sub> NMe <sub>2</sub>	SO₂Me SO₂Et	50	6-145 6-146	Me Me	SO <sub>2</sub> Et Cl	I CF <sub>3</sub>		
6-86	$NO_2$	NH <sub>2</sub>	1H-1,2,4-	50	6-147	Me	SMe	CF <sub>3</sub>		
0 00	1.02	11112	triazol-1-yl		6-148	Me	SOMe	CF <sub>3</sub>		
6-87	$NO_2$	NHMe	1H-1,2,4-		6-149	Me	SO <sub>2</sub> Me	$CF_3$		
			triazol-1-yl		6-150	Me	SEt	$CF_3$		
6-88	$NO_2$	$NMe_2$	1H-1,2,4-		6-151	Me	SOEt SO Et	$CF_3$		
6-89	Me	SMe	triazol-1-yl H	55	6-152 6-153	Me Me	SO <sub>2</sub> Et S(CH <sub>2</sub> ) <sub>2</sub> OMe	CF <sub>3</sub> CF <sub>3</sub>		
6-90	Me	SOMe	H		6-154	Me	$SO(CH_2)_2OMe$ $SO(CH_2)_2OMe$	CF <sub>3</sub>		
6-91	Me	SO <sub>2</sub> Me	H		6-155	Me	SO <sub>2</sub> (CH <sub>2</sub> ) <sub>2</sub> OMe	CF <sub>3</sub>		
6-92	Me	SEt	H		6-156	Me	Me	SO <sub>2</sub> Me		
6-93	Me	SOEt	H		6-157	Me	4,5-dihydro-1,2-oxazol-3 yl	$SO_2Me$		
6-94	Me	SO <sub>2</sub> Et	H	60	6-158	Me	4,5-dihydro-1,2-oxazol-3 yl	SO <sub>2</sub> Et		
6-95	Me	S(CH <sub>2</sub> ) <sub>2</sub> OMe	H	00	6-159	Me	5-cyanomethyl-4,5-dihydro-	$SO_2Me$		
6-96	Me Mo	SO(CH <sub>2</sub> ) <sub>2</sub> OMe	Н		6 160	Ma	1,2-oxazol-3-yl	CO Et		
6-97 6-98	Me Me	SO <sub>2</sub> (CH <sub>2</sub> ) <sub>2</sub> OMe F	H F		6-160	Me	5-cyanomethyl-4,5-dihydro- 1,2-oxazol-3-yl	SO <sub>2</sub> Et		
6-99	Me	F	Cl		6-161	Me	NH <sub>2</sub>	$SO_2Me$		
6-100	Me	SEt	F		6-162	Me	NHMe	SO <sub>2</sub> Me		
6-101	Me	SOEt	F	65	6-163	Me	NMe <sub>2</sub>	SO <sub>2</sub> Me		
6-102	Me	$SO_2Et$	F		6-164	Me	$NH(CH_2)_2OMe$	$SO_2^2$ Me		
		4-					da / da			

6-228

6-229

CF<sub>3</sub>

CF<sub>3</sub>

F

F

### 44 TABLE 6-continued

Compounds of the general formula (I) according to the invention in Compounds of the general formula (I) according to the invention in which A is CY, B is N and R is phenyl which A is CY, B is N and R is phenyl 5 Η̈́ Η̈́ 10 Z v Z. No. X Y No Х 6-165 Me pyrazol-1-yl SO<sub>2</sub>Me 6-230 CF<sub>3</sub> O(CH<sub>2</sub>)<sub>2</sub>OMe SO<sub>2</sub>Me ОН SO<sub>2</sub>Me 6-231 O(CH<sub>2</sub>)<sub>2</sub>OMe SO<sub>2</sub>Et 6-166 Me CF<sub>3</sub> 15 SO<sub>2</sub>Me 6-167 SO<sub>2</sub>Me 6-232  $O(CH_2)_3OMe$ Me OMe  $CF_3$ 6-233 O(CH<sub>2</sub>)<sub>3</sub>OMe SO<sub>2</sub>Et 6-168 OMe SO-Et CF<sub>3</sub> Me SO<sub>2</sub>Me SO<sub>2</sub>Me 6-234  $\mathrm{CF}_3$ OCH2CONMe2 6-169 Me OEt 6-170 Me OEt SO<sub>2</sub>Et 6-235 OCH2CONMe2 SO<sub>2</sub>Et  $CF_3$ SO<sub>2</sub>Me [1,4]dioxan-2-yl-methoxy SO<sub>2</sub>Me 6-171 Me OiPr 6-236 CF<sub>3</sub> [1,4]dioxan-2-yl-methoxy 6-172 Me OiPr SO<sub>2</sub>Et 6-237 SO<sub>2</sub>Et CF<sub>3</sub> 20 6-173 Me  $O(CH_2)_2OMe$ SO<sub>2</sub>Me 6-238 SMe  $\mathrm{CF}_3$ F SOMe 6-174 SO<sub>2</sub>Et 6-239 F CF<sub>3</sub> Me O(CH<sub>2</sub>)<sub>2</sub>OMe O(CH<sub>2</sub>)<sub>3</sub>OMe 6-175 Me  $SO_2Me$ 6-240 C1Me CI SO<sub>2</sub>Et SO<sub>2</sub>Me OCH<sub>2</sub>CHCH<sub>2</sub>  $\mathrm{O}(\mathrm{CH_2})_3\mathrm{OMe}$ 6-176 6-241 Me Cl Cl  $O(CH_2)_4OMe$ 6-177 Me 6-242 CL OCH<sub>2</sub>CHF<sub>2</sub> CI  $\mathrm{SO}_2\mathrm{Et}$ 6-178 Me O(CH<sub>2</sub>)<sub>4</sub>OMe 6-243 Cl O(CH2)2OMe CL O(CH<sub>2</sub>)<sub>2</sub>NHSO2Me O(CH<sub>2</sub>)<sub>2</sub>NHSO2Me 25 6-244 6-179 Me  $SO_2Me$ C1OCH<sub>2</sub>(CO)NMe<sub>2</sub> ClO(CH<sub>2</sub>)-5-pyrrolidin-2-on 6-180 Me SO<sub>2</sub>Et 6-245 Cl CI OCH<sub>2</sub>(CO)NMe<sub>2</sub> 6-181 Me SO<sub>2</sub>Me 6-246 Cl SMe CISOMe 6-182 Me OCH2(CO)NMe2  $SO_2Et$ 6-247 Cl Cl 6-183 Me [1,4]dioxan-2-yl-methoxy  $SO_2Me$ 6-248 Cl  $SO_2Me$ Cl SMe 6-184 Me [1,4]dioxan-2-yl-methoxy  $SO_2Et$ 6-249 Cl F 6-185 Me O(CH<sub>2</sub>)<sub>2</sub>---O(3,5- $SO_2Me$ 6-250 Cl CI $SO_2Me$ dimethoxypyrimidin-2-yl) 6-251 ClCOOMe SO<sub>2</sub>Me 6-186 Me Cl SO<sub>2</sub>Me 6-252 ClCONMe2 SO<sub>2</sub>Me 6-187 Me SMe  $SO_2Me$ 6-253 Cl CONMe(OMe) SO<sub>2</sub>Me 6-188 Me SOMe  $SO_2Me$ 6-254 Cl  $\mathrm{CH_2OMe}$  $SO_2Me$ 6-189 Me SO<sub>2</sub>Me SO<sub>2</sub>Me 6-255 Cl CH<sub>2</sub>OMe SO<sub>2</sub>Et 6-190 Me SO<sub>2</sub>Me SO<sub>2</sub>Et 6-256 Cl CH<sub>2</sub>OEt  $SO_2Me$ 6-191 Me SEt SO<sub>2</sub>Me 6-257 C1CH2OEt SO<sub>2</sub>Et 6-192 Me SOEt SO<sub>2</sub>Me 6-258 C1CH2OCH2CHF2 SO<sub>2</sub>Me 6-193 Me SO<sub>2</sub>Et SO<sub>2</sub>Me 6-259 ClCH2OCH2CF3 SO<sub>2</sub>Me 6-194  $S(CH_2)_2OMe$ SO<sub>2</sub>Me 6-260 Cl CH2OCH2CF3 SO<sub>2</sub>Et 6-195 Me SO(CH<sub>2</sub>)<sub>2</sub>OMe SO<sub>2</sub>Me 6-261 Cl CH2OCH2CF2CHF2 SO<sub>2</sub>Me 6-196 SO<sub>2</sub>(CH<sub>2</sub>)<sub>2</sub>OMe SO2Me 6-262 Cl CH<sub>2</sub>OcPentyl SO<sub>2</sub>Me Me 6-197 CH<sub>2</sub>SMe SO<sub>2</sub>Me Cl CH<sub>2</sub>PO(OMe)<sub>2</sub> OMe 6-263 SO<sub>2</sub>Me CH<sub>2</sub>OMe ОМе 6-264 Cl 4,5-dihydro-1,2-oxazol-3 yl SMe 6-198 SO<sub>2</sub>Me CH<sub>2</sub>O(CH<sub>2</sub>)<sub>2</sub>OMe NH(CH<sub>2</sub>)<sub>2</sub>OEt Cl 4,5-dihydro-1,2-oxazol-3 yl 6-199  $SO_2Me$ 6-265  $SO_2Me$ 6-200 CH2O(CH2)2OMe NH(CH<sub>2</sub>)<sub>3</sub>OEt SO<sub>2</sub>Me 6-266 Cl 4,5-dihydro-1,2-oxazol-3 yl SO<sub>2</sub>Et CH<sub>2</sub>O(CH<sub>2</sub>)<sub>3</sub>OMe 5-cyanomethyl-4,5-dihydro-6-201 OMe  $SO_2Me$ 6-267 C1 SO<sub>2</sub>Me 6-202 CH2O(CH2)2OMe NH(CH<sub>2</sub>)<sub>2</sub>OMe SO<sub>2</sub>Me 1,2-oxazol-3 yl 6-203 CH2O(CH2)2OMe NH(CH<sub>2</sub>)<sub>3</sub>OMe SO<sub>2</sub>Me 45 6-268 Cl 5-cyanomethyl-4,5-dihydro-SO<sub>2</sub>Et 6-204 SMe ĊΙ 1,2-oxazol-3 yl 5-(Methoxymethyl)-4,5-6-205 Εt SO<sub>2</sub>Me Cl 6-269 Cl SO<sub>2</sub>Et  $CF_3$ 6-206 Et SMe dihydro-1,2-oxazol-3 yl 6-207 Εt SO<sub>2</sub>Me CF<sub>3</sub> 6-270 Cl 5-(Methoxymethyl)-5-SO<sub>2</sub>Et  $SO_2Me$ Methyl-4,5-dihy-dro-1,2-6-208 Et 6-209 NH(CH<sub>2</sub>)<sub>2</sub>OMe SO<sub>2</sub>Me oxazol-3 yl Εt 50 6-210 iPr SO<sub>2</sub>Me CF<sub>3</sub> 6-271 Cl CH2O-tetrahydrofuran-3-yl SO<sub>2</sub>Me 6-211 cPr SO<sub>2</sub>Me  $CF_3$ 6-272 CL CH2O-tetrahydrofuran-3-yl SO<sub>2</sub>Et  $O(CH_2)_2OMe$ 6-212 6-273 Cl CF: CH2OCH2-tetrahydrofuran-SO<sub>2</sub>Me F CF<sub>3</sub> O(CH<sub>2</sub>)<sub>3</sub>OMe 6-213 F 2-v1 Cl 6-214 CF<sub>3</sub> OCH2CONMe2 6-274 SO<sub>2</sub>Et F  $\mathrm{CH_{2}OCH_{2}}$ -tetrahydrofuran-CF<sub>3</sub> 6-215 [1,4]dioxan-2-yl-methoxy F 2-v155 CF<sub>3</sub> CI 6-275 Cl 6-216  $O(CH_2)_2OMe$  $\mathrm{CH_{2}OCH_{2}}$ -tetrahydrofuran-SO<sub>2</sub>Me  $CF_3$ O(CH<sub>2</sub>)<sub>3</sub>OMe 6-217 CL 3-yl 6-218 CF<sub>3</sub> OCH2CONMe CI6-276 CL CH<sub>2</sub>OCH<sub>2</sub>-tetrahydrofuran-SO<sub>2</sub>Et  $CF_3$ [1,4]dioxan-2-yl-methoxy 6-219 CI3-v1 6-277 CIOMe SO<sub>2</sub>Me 6-220 CF<sub>3</sub> O(CH<sub>2</sub>)<sub>2</sub>OMe Br 6-221  $CF_3$ O(CH<sub>2</sub>)<sub>3</sub>OMe Br 6-278 CI OMe  $SO_2Et$ 60 6-222 CF<sub>3</sub> OCH2CONMe Br 6-279 CI**O**Et SO<sub>2</sub>Me 6-223  $CF_3$ [1,4]dioxan-2-yl-methoxy Br6-280 Cl OEt  $SO_2Et$ 6-224 CF<sub>3</sub> O(CH<sub>2</sub>)<sub>2</sub>OMe T 6-281 CI OiPr  $SO_2Me$ 6-225  $CF_3$  $O(CH_2)_3OMe$ Ι 6-282 Cl OiPr  $SO_2Et$ 6-226  $CF_3$ OCH2CONMe2 T 6-283 Cl  $O(CH_2)_2OMe$  $SO_2Me$ 6-227  $CF_3$ [1,4]dioxan-2-yl-methoxy T 6-284 Cl  $O(CH_2)_4OMe$  $SO_2Me$ 

65

 $SO_2Me$ 

SO<sub>2</sub>Et

6-285

6-286

Cl

Cl

O(CH<sub>2</sub>)<sub>4</sub>OMe

O(CH<sub>2</sub>)<sub>3</sub>OMe

SO<sub>2</sub>Et

SO<sub>2</sub>Me

## 46 TABLE 6-continued

				_						
Comp		eral formula (I) according to the in is CY, B is N and R is phenyl	wention in	Compounds of the general formula (I) according to the invention in which A is CY, B is N and R is phenyl					ntion in	
	N	Ph		<b>-</b> 5				2,	re to piteriyi	
	,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,	0 X					N N	 O II	X	
	"\ <sub>N</sub>	Y					, N		Y	
	11						1	j. Į	$\sim$	
		H 7		10			1	H L	$\checkmark$ z	
		· Z							Z	
No.	X	Y	Z	_	No.		X		Y	Z
6-287 6-288	Cl Cl	$O(CH_2)_3OMe$ $O(CH_2)_2OMe$	SO₂Et SO₂Me		6-353		OCH <sub>2</sub> c-Pr		OEt	$SO_2Me$
6-289	Cl	O(CH <sub>2</sub> ) <sub>2</sub> OMe O(CH <sub>2</sub> ) <sub>2</sub> OMe	SO <sub>2</sub> Nie SO <sub>2</sub> Et	15	6-354 6-355		OCH <sub>2</sub> c-Pr OCH <sub>2</sub> c-Pr		O <sub>2</sub> Et o) <sub>2</sub> OMe	SO <sub>2</sub> Me SO <sub>2</sub> Me
6-290	Cl	[1,4]dioxan-2-yl-methoxy	$SO_2Me$		6-356		OCH <sub>2</sub> c-Pr		I <sub>2</sub> ) <sub>2</sub> OMe	SO <sub>2</sub> Me
6-291 6-292	Cl Cl	[1,4]dioxan-2-yl-methoxy OCH <sub>2</sub> (CO)NMe <sub>2</sub>	SO₂Et SO₂Me		6-357	7	OCH <sub>2</sub> c-Pr		$H_2$ ) <sub>2</sub> OMe	$SO_2^{2}Me$
6-293	Cl	OCH <sub>2</sub> (CO)NMe <sub>2</sub>	SO <sub>2</sub> Ntc SO <sub>2</sub> Et		6-358		$SO_2Me$		F	$CF_3$
6-294	Cl	SMe	$SO_2Me$	20	6-359 6-360		SO <sub>2</sub> Me		$H_2$	CF <sub>3</sub>
6-295	Cl	SOMe	SO <sub>2</sub> Me	20	6-361		SO₂Me SMe		HEt Et	Cl F
6-296 6-297	Br Br	OMe O(CH <sub>2</sub> ) <sub>2</sub> OMe	Br Br		6-362		SMe		Me	F
6-298	Br	$O(CH_2)_2OMe$	SO <sub>2</sub> Me							
6-299	$\operatorname{Br}$	$O(CH_2)_2OMe$	SO <sub>2</sub> Et							
6-300	Br	O(CH <sub>2</sub> ) <sub>3</sub> OMe	SO <sub>2</sub> Me	25						
6-301 6-302	Br Br	O(CH <sub>2</sub> )₃OMe O(CH <sub>2</sub> )₄OMe	SO₂Et SO₂Me	23			,	TABLE 7		
6-303	Br	$O(CH_2)_4OMe$	SO <sub>2</sub> Et			mpou	nds of the general f	ormula (I) ac	cording to the inve	ntion in
6-304	$_{\mathrm{Br}}$	[1,4]dioxan-2-yl-methoxy	$SO_2Me$		Co	трош		hich A is CY		ntion in
6-305	$\operatorname{Br}$	[1,4]dioxan-2-yl-methoxy	SO <sub>2</sub> Et							
6-306 6-307	I I	O(CH <sub>2</sub> ) <sub>2</sub> OMe O(CH <sub>2</sub> ) <sub>2</sub> OMe	SO₂Me SO₂Et	20			N_N_1	R	v	
6-308	I	$O(CH_2)_3OMe$	SO <sub>2</sub> Me	30			B I	Ĭ	î	
6-309	I	$O(CH_2)_3OMe$	$\overrightarrow{SO_2}$ Et						, Y	
6-310	I	$O(CH_2)_4OMe$	SO <sub>2</sub> Me				N	γ´ \		
6-311 6-312	I I	O(CH <sub>2</sub> ) <sub>4</sub> OMe [1,4]dioxan-2-yl-methoxy	SO₂Et SO₂Me				1	I [		
6-313	Ī	[1,4]dioxan-2-yl-methoxy	SO <sub>2</sub> Ntc	35			1	.1	$\checkmark$ z	
6-314	OMe	SMe	$\overline{\mathrm{CF}_3}$	33					_	
6-315	OMe	SOMe	$CF_3$		No.	В	R	X	Y	Z
6-316 6-317	OMe OMe	SO <sub>2</sub> Me SOEt	$CF_3$ $CF_3$		7-1	СН	nPr	Cl	Н	SO <sub>2</sub> Me
6-318	OMe	SO <sub>2</sub> Et	CF <sub>3</sub>		7-2	CH	iPr	Cl	H	SO <sub>2</sub> Me
6-319	OMe	$S(CH_2)_2OMe$	$CF_3$	40	7-3	N	nPr	Cl	H	$SO_2Me$
6-320 6-321	OMe OMe	SO <sub>2</sub> (CH <sub>2</sub> ) <sub>2</sub> OMe SO <sub>2</sub> (CH <sub>2</sub> ) <sub>2</sub> OMe	$CF_3$ $CF_3$	-10	7-4	N	iPr	Cl	H	SO <sub>2</sub> Me
6-322	OMe	$SO_2(CH_2)_2OMe$ SMe	Cl Cl		7-5 7-6	N N	cPr Allyl	Cl Cl	H H	SO <sub>2</sub> Me SO <sub>2</sub> Me
6-323	OMe	SOMe	Cl		7-7	N	CH <sub>2</sub> OMe	Cl	H	SO <sub>2</sub> Me
6-324	OMe	$SO_2Me$	Cl		7-8	CH	nPr	$NO_2$	H	$SO_2^-Me$
6-325 6-326	OMe OMe	SEt SOEt	Cl Cl	45	7-9	CH	iPr	$NO_2$	H	SO <sub>2</sub> Me
6-327	OMe	SO2Et	Cl	73	7-10 7-11	N N	nPr iPr	$NO_2$ $NO_2$	H H	SO <sub>2</sub> Me SO <sub>2</sub> Me
6-328	OMe	$S(CH_2)_2OMe$	Cl		7-12	N	cPr	$NO_2$	H	SO <sub>2</sub> Me
6-329	OMe	SO(CH <sub>2</sub> ) <sub>2</sub> OMe	Cl		7-13	N	Allyl	$NO_2$	H	$SO_2Me$
6-330 6-331	OMe OCH₂c-Pr	$SO_2(CH_2)_2OMe$ SMe	Cl CF <sub>3</sub>		7-14	N	CH <sub>2</sub> OMe	NO <sub>2</sub>	H	SO <sub>2</sub> Me
6-332	OCH <sub>2</sub> c-Pr	SOMe	CF <sub>3</sub>	50	7-15 7-16	CH CH	nPr iPr	SO <sub>2</sub> Me SO <sub>2</sub> Me	H H	CF <sub>3</sub> CF <sub>3</sub>
6-333	OCH <sub>2</sub> c-Pr	SO <sub>2</sub> Me	CF <sub>3</sub>	50	7-17	N	nPr	SO <sub>2</sub> Me	H	CF <sub>3</sub>
6-334	OCH <sub>2</sub> c-Pr	SEt	$CF_3$		7-18	N	iPr	$SO_2^-Me$	H	$CF_3$
6-335 6-336	OCH <sub>2</sub> c-Pr OCH <sub>2</sub> c-Pr	SOEt SO <sub>2</sub> Et	CF <sub>3</sub> CF <sub>3</sub>		7-19	N	cPr	SO <sub>2</sub> Me	H	$CF_3$
6-337	OCH <sub>2</sub> c-Pr	S(CH <sub>2</sub> ) <sub>2</sub> OMe	CF <sub>3</sub>		7-20 7-21	N N	Allyl CH₂OMe	SO₂Me SO₂Me	H H	CF <sub>3</sub> CF <sub>3</sub>
6-338	OCH <sub>2</sub> c-Pr	$SO(CH_2)_2OMe$	CF <sub>3</sub>	55	7-22	СН	nPr	Čl	CH2OCH2CF3	SO <sub>2</sub> Me
6-339	OCH <sub>2</sub> c-Pr	SO <sub>2</sub> (CH <sub>2</sub> ) <sub>2</sub> OMe	CF <sub>3</sub>	00	7-23	CH	iPr	Cl	CH <sub>2</sub> OCH <sub>2</sub> CF <sub>3</sub>	$SO_2Me$
6-340 6-341	OCH <sub>2</sub> c-Pr OCH <sub>2</sub> c-Pr	SMe SOMe	Cl Cl		7-24	N	nPr : p.,	Cl	CH <sub>2</sub> OCH <sub>2</sub> CF <sub>3</sub>	SO <sub>2</sub> Me
6-342	OCH <sub>2</sub> c-Pr	SO <sub>2</sub> Me	Cl		7-25 7-26	N N	iPr cPr	Cl Cl	CH <sub>2</sub> OCH <sub>2</sub> CF <sub>3</sub> CH <sub>2</sub> OCH <sub>2</sub> CF <sub>3</sub>	SO <sub>2</sub> Me SO <sub>2</sub> Me
6-343	OCH <sub>2</sub> c-Pr	SEt	Cl		7-27	N	Allyl	Cl	CH <sub>2</sub> OCH <sub>2</sub> CF <sub>3</sub>	SO <sub>2</sub> Me
6-344	OCH <sub>2</sub> c-Pr	SOEt	Cl	60	7-28	N	CH₂OMe	Cl	CH <sub>2</sub> OCH <sub>2</sub> CF <sub>3</sub>	$SO_2Me$
6-345 6-346	OCH <sub>2</sub> c-Pr OCH <sub>2</sub> c-Pr	$SO_2Et$ $S(CH_2)_2OMe$	Cl Cl	50	7-29	CH	nPr :p.,	Me	SO <sub>2</sub> Me	$CF_3$
6-347	OCH <sub>2</sub> c-Pr	SO(CH <sub>2</sub> ) <sub>2</sub> OMe	Cl		7-30 7-31	CH CH	iPr Pyrid-2-yl	Me Me	SO₂Me SO₂Me	CF <sub>3</sub> CF <sub>3</sub>
6-348	OCH <sub>2</sub> c-Pr	$SO_2(CH_2)_2OMe$	CI		7-31	N	nPr	Me	SO <sub>2</sub> Me	CF <sub>3</sub>
6-349	OCH <sub>2</sub> c-Pr	SMe	SO <sub>2</sub> Me		7-33	N	iPr	Me	$SO_2Me$	$CF_3$
6-350 6-351	OCH <sub>2</sub> c-Pr OCH <sub>2</sub> c-Pr	SOMe SO Me	SO <sub>2</sub> Me SO <sub>2</sub> Me	65	7-34	N	cPr	Me	SO <sub>2</sub> Me	CF <sub>3</sub>
6-351	OCH <sub>2</sub> c-Pr	SO <sub>2</sub> Me SEt	SO <sub>2</sub> Me	55	7-35 7-36	N N	Allyl CH₂OMe	Me Me	SO₂Me SO₂Me	CF <sub>3</sub> CF <sub>3</sub>
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Compounds of the general formula (I) according to the invention in which A is CY

TABLE 8

Me

Me

4-Cl-benzyl

7-48

SO<sub>2</sub>Me

SO<sub>2</sub>Me

 $SO_2Me$ 

SO<sub>2</sub>Me

Compounds of the general formula (I) according to the

				$\sim$ z	
1	No.	В	R	X	Z
8	-1	СН	Me	Cl	Cl
8	3-2	N	Me	Cl	C1
8	3-3	CH	Me	Me	Cl
8	3-4	N	Me	Me	Cl
8	3-5	CH	Me	Cl	SMe
8	-6	N	Me	Cl	SMe
8	3-7	CH	Me	Me	$SO_2Me$
8	8-8	N	Me	Me	$SO_2Me$
8	-9	CH	Me	Cl	$CF_3$
8	-10	N	Me	Cl	CF <sub>3</sub>
8	-11	CH	Ph	Cl	CF <sub>3</sub>
8	-12	N	Ph	Cl	$CF_3$
8	-13	N	CH <sub>2</sub> (CO)Me	Cl	CF3
8	-14	N	Benzoyl	Cl	CF3
8	-15	N	Allyl	Cl	CF3
8	-16	N	4-Cl-benzyl	Cl	CF3
8	-17	N	CH <sub>2</sub> CO <sub>2</sub> Et	Cl	CF3
8	3-18	CH	Me	Me	$CF_3$
8	-19	N	Me	Me	$CF_3$
8	-20	CH	Me	CH <sub>2</sub> OMe	$CF_3$
8	-21	N	Me	CH <sub>2</sub> OMe	$CF_3$
8	3-22	CH	Me	CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> OMe	CF <sub>3</sub>
8	-23	N	Me	CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> OMe	$CF_3$

As already disclosed in European patent application "EP at the EPO on Sep. 1, 2010) and its corresponding international application PCT/EP 2011/064820, the compounds of the formula (I) and/or their salts to be used according to the invention, hereinbelow also referred to together as "compounds according to the invention", have excellent herbicidal efficacy against a broad spectrum of economically important monocotyledonous and dicotyledonous annual harmful

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plants. The active compounds act efficiently even on perennial weeds which produce shoots from rhizomes, rootstocks and other perennial organs and which are difficult to control.

The present invention therefore relates to a method for controlling unwanted plants, in areas of transgenic crop plants being tolerant to HPPD inhibitor herbicides by containing one or more chimeric gene(s) (I) comprising a DNA sequence encoding hydroxyphenylpyruvate dioxygenase (HPPD) derived from a member of a group of organisms consisting of (a) Avena, preferably Avena sativa, more preferably comprising a DNA sequence identical to SEQ ID No. 1 encoding HPPD defined by SEQ ID No. 2, (b) Pseudomonas, preferably Pseudomonas fluorescens, more preferably comprising a DNA sequence identical to SEQ ID No. 3 encoding HPPD defined by SEQ ID No. 4, (c) Synechococcoideae, preferably Synechococcus sp., more preferably comprising a DNA sequence identical to SEQ ID No. 6, encoding HPPD defined by SEQ ID No. 7, (d) Blepharismidae, prefer-20 ably Blepharisma japonicum, more preferably comprising a DNA sequence identical to SEQ ID No. 8 encoding HPPD defined by SEQ ID No. 9, (e) Rhodococcus, preferably Rhodococcus sp. (strain RHA1), isolate ro03041 more preferably comprising a DNA sequence identical to SEQ ID No. 10 encoding HPPD defined by SEQ ID No. 11, or Rhodococcus sp. (strain RHA1), isolate ro02040, more preferably comprising a DNA sequence identical to SEQ ID No. 12 encoding HPPD defined by SEQ ID No. 13, (f) Picrophilaceae, preferably Picrophilus torridus, more preferably comprising a 30 DNA sequence identical to SEQ ID No. 14 encoding HPPD defined by SEQ ID No. 15, (g) Kordia, preferably Kordia algicida, more preferably comprising a DNA sequence identical to SEQ ID No. 16 encoding HPPD defined by SEQ ID No. 17, or (II) comprising one or more mutated DNA 35 sequences of HPPD encoding genes of the before defined organisms, preferably mutants as described in WO 2010/ 085705, U.S. Pat. No. 6,245,968, WO 2009/144079, PCT/ EP2010/070561, PCT/EP2010/070567, PCT/EP2010/ 070578, PCT/EP2010/070570, or PCT/EP2010/070575, 40 comprising the application of one or more N-(tetrazol-4-yl)or N-(triazol-3-yl)arylcarboxamides as defined above to the plants (for example harmful plants such as monocotyledonous or dicotyledonous weeds or undesired crop plants), to the seed (for example grains, seeds or vegetative propagules such 45 as tubers or shoot parts with buds) or to the area on which the plants grow (for example the area under cultivation). Specific examples may be mentioned of some representatives of the monocotyledonous and dicotyledonous weed flora which can be controlled by the compounds according to the invention, 50 without the enumeration being restricted to certain species.

Monocotyledonous harmful plants of the genera: Aegilops, Agropyron, Agrostis, Alopecurus, Apera, Avena, Brachiaria, Bromus, Cenchrus, Commelina, Cynodon, Cyperus, Dactyloctenium, Digitaria, Echinochloa, Eleocharis, Eleusine, 55 Eragrostis, Eriochloa, Festuca, Fimbristylis, Heteranthera, Imperata, Ischaemum, Leptochloa, Lolium, Monochoria, Panicum, Paspalum, Phalaris, Phleum, Poa, Rottboellia, Sagittaria, Scirpus, Setaria, Sorghum.

Dicotyledonous weeds of the genera: Abutilon, Amaran-10174893" (being filed in the name of Bayer CropScience AG 60 thus, Ambrosia, Anoda, Anthemis, Aphanes, Artemisia, Atriplex, Bellis, Bidens, Capsella, Carduus, Cassia, Centaurea, Chenopodium, Cirsium, Convolvulus, Datura, Desmodium, Emex, Erysimum, Euphorbia, Galeopsis, Galinsoga, Galium, Hibiscus, Ipomoea, Kochia, Lamium, Lepidium, Lindernia, Matricaria, Mentha, Mercurialis, Mullugo, Myosotis, Papaver, Pharbitis, Plantago, Polygonum, Portulaca, Ranunculus, Raphanus, Rorippa, Rotala, Rumex, Salsola, Senecio,

Sesbania, Sida, Sinapis, Solanum, Sonchus, Sphenoclea, Stellaria, Taraxacum, Thlaspi, Trifolium, Urtica, Veronica, Viola, Xanthium.

Trangenic crop plants of economically important crops to which the N-(tetrazol-4-yl)- or N-(triazol-3-yl)arylcarboxa- 5 mides as defined above might be applied are, for example dicotyledonous crops of the genera Arachis, Beta, Brassica, Cucumis, Cucurbita, Helianthus, Daucus, Glycine, Gossypium, Ipomoea, Lactuca, Linum, Lycopersicon, Nicotiana, Phaseolus, Pisum, Solanum, Vicia, or monocotyledonous 10 crops of the genera Allium, Ananas, Asparagus, Avena, Hordeum, Oryza, Panicum, Saccharum, Secale, Sorghum, Triticale, Triticum, Zea, in particular Zea and Triticum. This is why the present invention preferably relates to the method for controlling unwanted plants, in areas of transgenic crop 15 plants being tolerant to HPPD inhibitor herbicides by containing one or more chimeric gene(s) (I) comprising a DNA sequence encoding hydroxyphenylpyruvate dioxygenase (HPPD) derived from a member of a group of organisms consisting of (a) Avena, preferably Avena sativa, more pref- 20 erably comprising a DNA sequence identical to SEQ ID No. 1 encoding HPPD defined by SEQ ID No. 2, (b) Pseudomonas, preferably Pseudomonas fluorescens, more preferably comprising a DNA sequence identical to SEQ ID No. 3 encoding HPPD defined by SEQ ID No. 4, (c) Synechococ- 25 coideae, preferably Synechococcus sp., more preferably comprising a DNA sequence identical to SEQ ID No. 6, encoding HPPD defined by SEQ ID No. 7, (d) Blepharismidae, preferably Blepharisma japonicum, more preferably comprising a DNA sequence identical to SEQ ID No. 8 encoding HPPD 30 defined by SEQ ID No. 9, (e) *Rhodococcus*, preferably Rhodococcus sp. (strain RHA1), isolate ro03041 more preferably comprising a DNA sequence identical to SEQ ID No. 10 encoding HPPD defined by SEQ ID No. 11, or Rhodococcus sp. (strain RHA1), isolate ro02040, more preferably com- 35 prising a DNA sequence identical to SEQ ID No. 12 encoding HPPD defined by SEQ ID No. 13, (f) Picrophilaceae, preferably Picrophilus torridus, more preferably comprising a DNA sequence identical to SEQ ID No. 14 encoding HPPD defined by SEQ ID No. 15, (g) Kordia, preferably Kordia 40 algicida, more preferably comprising a DNA sequence identical to SEQ ID No. 16 encoding HPPD defined by SEQ ID No. 17, or (II) comprising one or more mutated DNA sequences of HPPD encoding genes of the before defined organisms, preferably mutants as described in WO 2010/ 45 085705, U.S. Pat. No. 6,245,968, WO 2009/144079, PCT/ EP2010/070561. PCT/EP2010/070567, PCT/EP2010/ 070578, PCT/EP2010/070570, or PCT/EP2010/070575, comprising the application of one or more N-(tetrazol-4-yl)or N-(triazol-3-yl)arylcarboxamides as defined above to the 50 plants (for example harmful plants such as monocotyledonous or dicotyledonous weeds or undesired crop plants), to the seed (for example grains, seeds or vegetative propagules such as tubers or shoot parts with buds) or to the area on which the plants grow (for example the area under cultivation) in dicoty- 55 ledonous crops of the genera Arachis, Beta, Brassica, Cucumis, Cucurbita, Helianthus, Daucus, Glycine, Gossypium, Ipomoea, Lactuca, Linum, Lycopersicon, Nicotiana, Phaseolus, Pisum, Solanum, Vicia, or monocotyledonous crops of the genera Allium, Ananas, Asparagus, Avena, Hordeum, 60 Oryza, Panicum, Saccharum, Secale, Sorghum, Triticale, Triticum, Zea, in particular Zea and Triticum.

It is preferred to use the N-(tetrazol-4-yl)- or N-(triazol-3-yl)arylcarboxamides as defined above or their salts in economically important transgenic crops of useful plants and 65 ornamentals, for example of cereals such as wheat, barley, rye, oats, sorghum/millet, rice, cassava and maize or else

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crops of sugar beet, sugar cane, cotton, soybean, oilseed rape, potato, tomato, peas and other vegetables, which crops contain one or more chimeric gene(s) (I) comprising a DNA sequence encoding hydroxyphenylpyruvate dioxygenase (HPPD) derived from a member of a group of organisms consisting of (a) Avena, preferably Avena sativa, more preferably comprising a DNA sequence identical to SEQ ID No. 1 encoding HPPD defined by SEQ ID No. 2, (b) Pseudomonas, preferably Pseudomonas fluorescens, more preferably comprising a DNA sequence identical to SEQ ID No. 3 encoding HPPD defined by SEQ ID No. 4, (c) Synechococcoideae, preferably Synechococcus sp., more preferably comprising a DNA sequence identical to SEQ ID No. 6, encoding HPPD defined by SEQ ID No. 7, (d) Blepharismidae, preferably Blepharisma japonicum, more preferably comprising a DNA sequence identical to SEQ ID No. 8 encoding HPPD defined by SEQ ID No. 9, (e) Rhodococcus, preferably Rhodococcus sp. (strain RHA1), isolate ro03041 more preferably comprising a DNA sequence identical to SEQ ID No. 10 encoding HPPD defined by SEO ID No. 11, or *Rhodococ*cus sp. (strain RHA1), isolate ro02040, more preferably comprising a DNA sequence identical to SEQ ID No. 12 encoding HPPD defined by SEQ ID No. 13, (f) Picrophilaceae, preferably Picrophilus torridus, more preferably comprising a DNA sequence identical to SEQ ID No. 14 encoding HPPD defined by SEQ ID No. 15, (g) Kordia, preferably Kordia algicida, more preferably comprising a DNA sequence identical to SEQ ID No. 16 encoding HPPD defined by SEQ ID No. 17, or (II) comprising one or more mutated DNA sequences of HPPD encoding genes of the before defined organisms, preferably mutants as described in WO 2010/ 085705, U.S. Pat. No. 6,245,968, WO 2009/144079, PCT/ EP2010/070561, PCT/EP2010/070567, PCT/EP2010/ 070578, PCT/EP2010/070570, or PCT/EP2010/070575.

The invention also relates to the use, in a method for transforming plants, of a nucleic acid which encodes an HPPD as a marker gene or as a coding sequence which makes it possible to confer to the plant tolerance to herbicides which are HPPD inhibitors, and the use of N-(tetrazol-4-yl)- or N-(triazol-3-yl)arylcarboxamides as defined above or their salts on plants containing one or more chimeric gene(s) (I) comprising a DNA sequence encoding hydroxyphenylpyruvate dioxygenase (HPPD) derived from a member of a group of organisms consisting of (a) Avena, preferably Avena sativa, more preferably comprising a DNA sequence identical to SEQ ID No. 1 encoding HPPD defined by SEQ ID No. 2, (b) Pseudomonas, preferably Pseudomonas fluorescens, more preferably comprising a DNA sequence identical to SEQ ID No. 3 encoding HPPD defined by SEQ ID No. 4, (c) Synechococcoideae, preferably Synechococcus sp., more preferably comprising a DNA sequence identical to SEQ ID No. 6, encoding HPPD defined by SEQ ID No. 7, (d) Blepharismidae, preferably Blepharisma japonicum, more preferably comprising a DNA sequence identical to SEQ ID No. 8 encoding HPPD defined by SEQ ID No. 9, (e) Rhodococcus, preferably Rhodococcus sp. (strain RHA1), isolate ro03041 more preferably comprising a DNA sequence identical to SEQ ID No. 10 encoding HPPD defined by SEQ ID No. 11, or Rhodococcus sp. (strain RHA1), isolate ro02040, more preferably comprising a DNA sequence identical to SEQ ID No. 12 encoding HPPD defined by SEQ ID No. 13, (f) Picrophilaceae, preferably *Picrophilus torridus*, more preferably comprising a DNA sequence identical to SEQ ID No. 14 encoding HPPD defined by SEQ ID No. 15, (g) Kordia, preferably Kordia algicida, more preferably comprising a DNA sequence identical to SEQ ID No. 16 encoding HPPD defined by SEQ ID No. 17, or (II) comprising one or more

mutated DNA sequences of HPPD encoding genes of the before defined organisms, preferably mutants as described in WO 2010/085705, U.S. Pat. No. 6,245,968, WO 2009/144079, PCT/EP2010/070561, PCT/EP2010/070567, PCT/EP2010/070578, PCT/EP2010/070570, or PCT/EP2010/5070575.

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In the commercial production of crops, it is desirable to eliminate under reliable pesticidial management unwanted plants (i.e., "weeds") from a field of crop plants. An ideal treatment would be one which could be applied to an entire field but which would eliminate only the unwanted plants while leaving the crop plants unaffected. One such treatment system would involve the use of crop plants which are tolerant to an herbicide so that when the herbicide is sprayed on a field of herbicide-tolerant crop plants, the crop plants would con- 15 tinue to thrive while non-herbicide-tolerant weeds are killed or severely damaged. Ideally, such treatment systems would take advantage of varying herbicide properties so that weed control could provide the best possible combination of flexibility and economy. For example, individual herbicides have 20 different longevities in the field, and some herbicides persist and are effective for a relatively long time after they are applied to a field while other herbicides are quickly broken down into other and/or non-active compounds. An ideal treatment system would allow the use of different herbicides so 25 that growers could tailor the choice of herbicides for a particular situation.

While a number of herbicide-tolerant crop plants are presently commercially available, one issue that has arisen for many commercial herbicides and herbicide/crop combinations is that individual herbicides typically have incomplete spectrum of activity against common weed species. For most individual herbicides which have been in use for some time, populations of herbicide resistant weed species and biotypes have become more prevalent (see, e.g., Tranel and Wright (2002) *Weed Science* 50: 700-712; Owen and Zelaya (2005) *Pest Manag. Sci.* 61: 301-311). Transgenic plants which are resistant to more than one herbicide have been described (see, e.g., WO2005/012515). However, improvements in every aspect of crop production, weed control options, extension of residual weed control, and improvement in crop yield are continuously in demand.

The above defined chimeric gene(s) encoding one or more HPPD protein(s) or mutants thereof being functional in transgenic plants in order to perform tolerance to HPPD inhibitor 45 herbicides belonging to the class of N-(tetrazol-4-yl)- or N-(triazol-3-yl)arylcarboxamides as defined above or their salts is/are advantageously combined in plants with other genes which encode proteins or RNAs that confer useful agronomic properties to such plants. Among the genes which 50 encode proteins or RNAs that confer useful agronomic properties on the transformed plants, mention can be made of the DNA sequences encoding proteins which confer tolerance to one or more herbicides that, according to their chemical structure, differ from HPPD inhibitor herbicides, and others which 55 confer tolerance to certain insects, those which confer tolerance to certain diseases and or biotic and abiotic stresses, DNAs that encodes RNAs that provide nematode or insect control, etc.

Such genes are in particular described in published PCT 60 Patent Applications WO 91/02071 and WO95/06128.

Among the DNA sequences encoding proteins which confer tolerance to certain herbicides on the transformed plant cells and plants, mention can be made of a bar or PAT gene or the *Streptomyces coelicolor* gene described in WO2009/65 152359 which confers tolerance to glufosinate herbicides, a gene encoding a suitable EPSPS which confers tolerance to

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herbicides having EPSPS as a target, such as glyphosate and its salts (U.S. Pat. Nos. 4,535,060, 4,769,061, 5,094,945, 4,940,835, 5,188,642, 4,971,908, 5,145,783, 5,310,667, 5,312,910, 5,627,061, 5,633,435), or a gene encoding glyphosate oxydoreductase (U.S. Pat. No. 5,463,175).

Among the DNA sequences encoding a suitable EPSPS which confer tolerance to the herbicides which have EPSPS as a target, mention will more particularly be made of the gene which encodes a plant EPSPS, in particular maize EPSPS, particularly a maize EPSPS which comprises two mutations, particularly a mutation at amino acid position 102 and a mutation at amino acid position 106 (WO 2004/074443), and which is described in U.S. Pat. No. 6,566,587, hereinafter named double mutant maize EPSPS or 2mEPSPS, or the gene which encodes an EPSPS isolated from *Agrobacterium* and which is described by SEQ ID No. 2 and SEQ ID No. 3 of U.S. Pat. No. 5,633,435, also named CP4.

Among the DNA sequences encoding a suitable EPSPS which confer tolerance to the herbicides which have EPSPS as a target, mention will more particularly be made of the gene which encodes an EPSPS GRG23 from *Arthrobacter globiformis*, but also the mutants GRG23 ACE1, GRG23 ACE2, or GRG23 ACE3, particularly the mutants or variants of GRG23 as described in WO2008/100353, such as GRG23(ace3) R173K of SEQ ID No. 29 in WO2008/100353.

In the case of the DNA sequences encoding EPSPS, and more particularly encoding the above genes, the sequence encoding these enzymes is advantageously preceded by a sequence encoding a transit peptide, in particular the "optimized transit peptide" described in U.S. Pat. Nos. 5,510,471 or 5,633,448.

In WO 2007/024782, plants being tolerant to glyphosate and at least one ALS (acetolactate synthase) inhibitor are disclosed. More specifically plants containing genes encoding a GAT (Glyphosate-N-Acetyltransferase) polypeptide and a polypeptide conferring resistance to ALS inhibitors are disclosed.

In U.S. Pat. No. 6,855,533, transgenic tobacco plants containing mutated *Arabidopsis* ALS/AHAS genes were disclosed.

In U.S. Pat. No. 6,153,401, plants containing genes encoding 2,4-D-monooxygenases conferring tolerance to 2,4-D (2,4-dichlorophenoxyacetic acid) by metabolisation are disclosed

In US 2008/0119361 and US 2008/0120739, plants containing genes encoding Dicamba monooxygenases conferring tolerance to dicamba (3,6-dichloro-2-methoxybenzoic acid) by metabolisation are disclosed.

In WO2011/028833 and WO2011/028832 plants containing genes encoding mutagenized or recombinant Acetyl-coenzyme-A carboylase (ACCase) conferring tolerance to at least one herbicide is selected from the group consisting of alloxydim, butroxydim, clethodim, cloproxydim, cycloxydim, sethoxydim, tepraloxydim, tralkoxydim, chlorazifop, clodinafop, clofop, diclofop, fenoxaprop, fenoxaprop-P, fenthiaprop, fluazifop, fluazifop-P, haloxyfop, haloxyfop-P, isoxapyrifop, propaquizafop, quizalofop, quizalofop-P, trifop, and pinoxaden or agronomically acceptable salts or esters of any of these herbicides are disclosed.

All the above mentioned herbicide tolerance traits can be combined with those performing HPPD tolerance in plants concerning N-(tetrazol-4-yl)- or N-(triazol-3-yl)arylcarboxamides as defined above or their salts by containing one or more chimeric gene(s) (I) comprising a DNA sequence encoding hydroxyphenylpyruvate dioxygenase (HPPD) derived from a member of a group of organisms, consisting of (a) *Avena*, preferably *Avena sativa*, more preferably compris-

ing a DNA sequence identical to SEQ ID No. 1 encoding HPPD defined by SEQ ID No. 2, (b) Pseudomonas, preferably Pseudomonas fluorescens, more preferably comprising a DNA sequence identical to SEQ ID No. 3 encoding HPPD defined by SEQ ID No. 4, (c) Synechococcoideae, preferably 5 Synechococcus sp., more preferably comprising a DNA sequence identical to SEQ ID No. 6, encoding HPPD defined by SEQ ID No. 7, (d) Blepharismidae, preferably Blepharisma japonicum, more preferably comprising a DNA sequence identical to SEQ ID No. 8 encoding HPPD defined 10 by SEQ ID No. 9, (e) Rhodococcus, preferably Rhodococcus sp. (strain RHA1), isolate ro03041 more preferably comprising a DNA sequence identical to SEQ ID No. 10 encoding HPPD defined by SEQ ID No. 11, or *Rhodococcus* sp. (strain RHA1), isolate ro02040, more preferably comprising a DNA sequence identical to SEQ ID No. 12 encoding HPPD defined by SEQ ID No. 13, (f) Picrophilaceae, preferably Picrophilus torridus, more preferably comprising a DNA sequence identical to SEQ ID No. 14 encoding HPPD defined by SEQ ID No. 15, (g) Kordia, preferably Kordia algicida, more prefer- 20 ably comprising a DNA sequence identical to SEQ ID No. 16 encoding HPPD defined by SEQ ID No. 17 or (II) comprising one or more mutated DNA sequences of HPPD encoding genes of the before defined organisms, preferably mutants as described in WO 2010/085705, U.S. Pat. No. 6,245,968, WO 25 2009/144079, PCT/EP2010/070561, PCT/EP2010/070567, PCT/EP2010/070578, PCT/EP2010/070570, or PCT/ EP2010/070575.

Among the DNA sequences encoding proteins concerning properties of tolerance to insects, mention will more particularly be made of the Bt proteins widely described in the literature and well known to those skilled in the art. Mention will also be made of proteins extracted from bacteria such as *Photorhabdus* (WO 97/17432 & WO 98/08932).

Among such DNA sequences encoding proteins of interest 35 which confer novel properties of tolerance to insects, mention will more particularly be made of the Bt Cry or VIP proteins widely described in the literature and well known to those skilled in the art. These include the Cry1F protein or hybrids derived from a Cry1F protein (e.g., the hybrid Cry1A-Cry1F 40 proteins described in U.S. Pat. Nos. 6,326,169; 6,281,016; 6,218,188, or toxic fragments thereof), the Cry1A-type proteins or toxic fragments thereof, preferably the Cry1Ac protein or hybrids derived from the Cry1Ac protein (e.g., the hybrid Cry1Ab-Cry1Ac protein described in U.S. Pat. No. 45 5,880,275) or the Cry1Ab or Bt2 protein or insecticidal fragments thereof as described in EP451878, the Crv2Ae, Crv2Af or Cry2Ag proteins as described in WO02/057664 or toxic fragments thereof, the Cry1A. 105 protein described in WO 2007/140256 (SEQ ID No. 7) or a toxic fragment thereof, the 50 VIP3Aa19 protein of NCBI accession ABG20428, the VIP3Aa20 protein of NCBI accession ABG20429 (SEQ ID No. 2 in WO 2007/142840), the VIP3A proteins produced in the COT202 or COT203 cotton events (WO 2005/054479 and WO 2005/054480, respectively), the Cry proteins as 55 described in WO01/47952, the VIP3Aa protein or a toxic fragment thereof as described in Estruch et al. (1996), Proc Natl Acad Sci USA. 28; 93(11):5389-94 and U.S. Pat. No. 6,291,156, the insecticidal proteins from Xenorhabdus (as described in WO98/50427), Serratia (particularly from S. 60 entomophila) or Photorhabdus species strains, such as Tcproteins from Photorhabdus as described in WO98/08932 (e.g., Waterfield et al., 2001, Appl Environ Microbiol. 67(11): 5017-24; Ffrench-Constant and Bowen, 2000, Cell Mol Life Sci.; 57(5):828-33). Also any variants or mutants of any one 65 of these proteins differing in some (1-10, preferably 1-5) amino acids from any of the above sequences, particularly the

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sequence of their toxic fragment, or which are fused to a transit peptide, such as a plastid transit peptide, or another protein or peptide, is included herein.

The present invention also relates to the use of N-(tetrazol-4-yl)- or N-(triazol-3-yl)arylcarboxamides as defined above or their salts in transgenic plants comprising a chimeric gene (or expression cassette) which comprises a coding sequence as well as heterologous regulatory elements, at the 5' and/or 3' position, at least at the 5' position, which are able to function in a host organism, in particular plant cells or plants, with the coding sequence containing at least one nucleic acid sequence which encodes an HPPD (I) derived from a member of a group of organisms, consisting of (a) Avena, preferably Avena sativa, more preferably comprising a DNA sequence identical to SEQ ID No. 1 encoding HPPD defined by SEQ ID No. 2, (b) Pseudomonas, preferably Pseudomonas fluorescens, more preferably comprising a DNA sequence identical to SEQ ID No. 3 encoding HPPD defined by SEQ ID No. 4, (c) Synechococcoideae, preferably Synechococcus sp., more preferably comprising a DNA sequence identical to SEO ID No. 6, encoding HPPD defined by SEQ ID No. 7, (d) Blepharismidae, preferably Blepharisma japonicum, more preferably comprising a DNA sequence identical to SEQ ID No. 8 encoding HPPD defined by SEQ ID No. 9, (e) Rhodococcus, preferably Rhodococcus sp. (strain RHA1), isolate ro03041 more preferably comprising a DNA sequence identical to SEQ ID No. 10 encoding HPPD defined by SEQ ID No. 11, or Rhodococcus sp. (strain RHA1), isolate ro02040, more preferably comprising a DNA sequence identical to SEQ ID No. 12 encoding HPPD defined by SEQ ID No. 13, (f) Picrophilaceae, preferably Picrophilus torridus, more preferably comprising a DNA sequence identical to SEQ ID No. 14 encoding HPPD defined by SEQ ID No. 15, (g) Kordia, preferably Kordia algicida, more preferably comprising a DNA sequence identical to SEQ ID No. 16 encoding HPPD defined by SEQ ID No. 17, or (II) represents HPPD encoded by a mutated nucleic acid sequence of HPPD encoding genes of the before defined organisms, preferably mutants as described in WO 2010/085705, U.S. Pat. No. 6,245,968, WO 2009/144079, PCT/EP2010/070561, PCT/EP2010/070567, PCT/EP2010/070578, PCT/EP2010/070570, or PCT/ EP2010/070575.

In another particular embodiment, the present invention relates to the use of N-(tetrazol-4-yl)- or N-(triazol-3-yl)arylcarboxamides as defined above or their salts in transgenic plant comprising a chimeric gene as previously described, wherein the chimeric gene contains in the 5' position of the nucleic acid sequence encoding hydroxyphenylpyruvate dioxygenase (HPPD) (I) derived from a member of a group of organisms, consisting of (a) Avena, preferably Avena sativa, more preferably comprising a DNA sequence identical to SEQ ID No. 1 encoding HPPD defined by SEQ ID No. 2, (b) Pseudomonas, preferably Pseudomonas fluorescens, more preferably comprising a DNA sequence identical to SEQ ID No. 3 encoding HPPD defined by SEQ ID No. 4, (c) Synechococcoideae, preferably Synechococcus sp., more preferably comprising a DNA sequence identical to SEQ ID No. 6, encoding HPPD defined by SEQ ID No. 7, (d) Blepharismidae, preferably Blepharisma japonicum, more preferably comprising a DNA sequence identical to SEQ ID No. 8 encoding HPPD defined by SEQ ID No. 9, (e) Rhodococcus, preferably Rhodococcus sp. (strain RHA1), isolate ro03041 more preferably comprising a DNA sequence identical to SEQ ID No. 10 encoding HPPD defined by SEQ ID No. 11, or Rhodococcus sp. (strain RHA1), isolate ro02040, more preferably comprising a DNA sequence identical to SEQ ID No. 12 encoding HPPD defined by SEQ ID No. 13, (f) Picro-

philaceae, preferably Picrophilus torridus, more preferably comprising a DNA sequence identical to SEQ ID No. 14 encoding HPPD defined by SEQ ID No. 15, (g) Kordia, preferably Kordia algicida, more preferably comprising a DNA sequence identical to SEQ ID No. 16 encoding HPPD defined by SEQ ID No. 17, or (II) encoded by a mutated nucleic acid sequence of HPPD encoding genes of the before defined organisms, preferably mutants as described in WO 2010/085705, U.S. Pat. No. 6,245,968, WO 2009/144079, PCT/EP2010/070561, PCT/EP2010/070567, PCT/EP2010/ 070578, PCT/EP2010/070570, or PCT/EP2010/070575, a nucleic acid sequence which encodes a plant transit peptide, with this sequence being arranged between the promoter region and the nucleic acid sequence encoding hydroxyphenylpyruvate dioxygenase (HPPD) (I) derived from a member 15 of a group of organisms, consisting of (a) Avena, preferably Avena sativa, more preferably comprising a DNA sequence identical to SEQ ID No. 1 encoding HPPD defined by SEQ ID No. 2, (b) Pseudomonas, preferably Pseudomonas fluorescens, more preferably comprising a DNA sequence identical 20 to SEQ ID No. 3 encoding HPPD defined by SEQ ID No. 4, (c) Synechococcoideae, preferably Synechococcus sp., more preferably comprising a DNA sequence identical to SEQ ID No. 6, encoding HPPD defined by SEQ ID No. 7, (d) Blepharismidae, preferably Blepharisma japonicum, more pref- 25 erably comprising a DNA sequence identical to SEQ ID No. 8 encoding HPPD defined by SEQ ID No. 9, (e) Rhodococcus, preferably Rhodococcus sp. (strain RHA1), isolate ro03041 more preferably comprising a DNA sequence identical to SEQ ID No. 10 encoding HPPD defined by SEQ ID 30 No. 11, or *Rhodococcus* sp. (strain RHA1), isolate ro02040, more preferably comprising a DNA sequence identical to SEQ ID No. 12 encoding HPPD defined by SEQ ID No. 13, (f) Picrophilaceae, preferably Picrophilus torridus, more preferably comprising a DNA sequence identical to SEQ ID 35 No. 14 encoding HPPD defined by SEQ ID No. 15, (g) Kordia, preferably Kordia algicida, more preferably comprising a DNA sequence identical to SEQ ID No. 16 encoding HPPD defined by SEQ ID No. 17 or (II) encoded by a mutated nucleic acid sequence of HPPD encoding genes of the before 40 defined organisms, preferably mutants as described in WO 2010/085705, U.S. Pat. No. 6,245,968, WO 2009/144079, PCT/EP2010/070561, PCT/EP2010/070567, PCT/EP2010/ 070578, PCT/EP2010/070570, or PCT/EP2010/070575, so as to permit expression of a transit peptide/HPPD fusion 45 protein.

In a further particular embodiment, the present invention relates to the use of N-(tetrazol-4-yl)- or N-(triazol-3-yl)arylcarboxamides as defined above or their salts on plants, plant parts, or plant seeds containing one or more chimeric gene(s) 50 (I) comprising a DNA sequence encoding hydroxyphenylpyruvate dioxygenase (HPPD) derived from a member of a group of organisms consisting of (a) Avena, preferably Avena sativa, more preferably comprising a DNA sequence identical to SEQ ID No. 1 encoding HPPD defined by SEQ ID  $\,$  55 No. 2, (b) Pseudomonas, preferably Pseudomonas fluorescens, more preferably comprising a DNA sequence identical to SEQ ID No. 3 encoding HPPD defined by SEQ ID No. 4, (c) Synechococcoideae, preferably Synechococcus sp., more preferably comprising a DNA sequence identical to SEQ ID 60 No. 6, encoding HPPD defined by SEQ ID No. 7 (d) Blepharismidae, preferably Blepharisma japonicum, more preferably comprising a DNA sequence identical to SEQ ID No. 8 encoding HPPD defined by SEQ ID No. 9, (e) Rhodococcus, preferably Rhodococcus sp. (strain RHA1), isolate 65 ro03041 more preferably comprising a DNA sequence identical to SEQ ID No. 10 encoding HPPD defined by SEQ ID

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No. 11, or Rhodococcus sp. (strain RHA1), isolate ro02040, more preferably comprising a DNA sequence identical to SEQ ID No. 12 encoding HPPD defined by SEQ ID No. 13, (f) Picrophilaceae, preferably Picrophilus torridus, more preferably comprising a DNA sequence identical to SEQ ID No. 14 encoding HPPD defined by SEQ ID No. 15, (g) Kordia, preferably Kordia algicida, more preferably comprising a DNA sequence identical to SEQ ID No. 16 encoding HPPD defined by SEQ ID No. 17, or (II) comprising one or more mutated DNA sequences of HPPD encoding genes of the before defined organisms, preferably mutants as described in WO 2010/085705, U.S. Pat. No. 6,245,968, WO 2009/ 144079, PCT/EP2010/070561, PCT/EP2010/070567, PCT/ EP2010/070578, PCT/EP2010/070570, or PCT/EP2010/ 070575, or to the use of N-(tetrazol-4-yl)- or N-(triazol-3-yl) arylcarboxamides as defined above or their salts on soil where such plants, plant parts or seeds are to be grown or sown, either alone or in combination with one or more other known herbicides acting in a different matter to HPPD inhibitors.

In a further particular embodiment, the N-(tetrazol-4-vl)or N-(triazol-3-yl)arylcarboxamides as defined above or their salts herbicide can applied in combination either in mixture, simultaneously or successively with HPPD inhibitor herbicides selected from the group consisting of triketones (named triketone HPPD inhibitor), such as tembotrione, sulcotrione mesotrione, bicyclopyrone, tefuryltrione, particularly tembotrione, of the class diketone such as diketonitrile of the class of isoxazoles such as isoxaflutole or of the class of pyrazolinates (named pyrazolinate HPPD inhibitor), such as pyrasulfotole, pyrazolate, topramezone, benzofenap, even more specifically present invention relates to the application of tembotrione, mesotrione, diketonitrile, bicyclopyrone, tefuryltrione, benzofenap, pyrasulfotole, pyrazolate and sulcotrione to such HPPD inhibitor tolerant plants, plant parts or plant seeds containing one or more chimeric gene(s) (I) comprising a DNA sequence encoding hydroxyphenylpyruvate dioxygenase (HPPD) derived from a member of a group of organisms consisting of (a) Avena, preferably Avena sativa, more preferably comprising a DNA sequence identical to SEQ ID No. 1 encoding HPPD defined by SEQ ID No. 2, (b) Pseudomonas, preferably Pseudomonas fluorescens, more preferably comprising a DNA sequence identical to SEQ ID No. 3 encoding HPPD defined by SEQ ID No. 4, (c) Synechococcoideae, preferably Synechococcus sp., more preferably comprising a DNA sequence identical to SEQ ID No. 6, encoding HPPD defined by SEQ ID No. 7, (d) Blepharismidae, preferably Blepharisma japonicum, more preferably comprising a DNA sequence identical to SEQ ID No. 8 encoding HPPD defined by SEQ ID No. 9, (e) Rhodococcus, preferably Rhodococcus sp. (strain RHA1), isolate ro03041 more preferably comprising a DNA sequence identical to SEQ ID No. 10 encoding HPPD defined by SEQ ID No. 11, or Rhodococcus sp. (strain RHA1), isolate ro02040, more preferably comprising a DNA sequence identical to SEQ ID No. 12 encoding HPPD defined by SEQ ID No. 13, (f) Picrophilaceae, preferably Picrophilus torridus, more preferably comprising a DNA sequence identical to SEQ ID No. 14 encoding HPPD defined by SEQ ID No. 15, (g) Kordia, preferably Kordia algicida, more preferably comprising a DNA sequence identical to SEQ ID No. 16 encoding HPPD defined by SEQ ID No. 17, or (II) comprising one or more mutated DNA sequences of HPPD encoding genes of the before defined organisms, preferably mutants as described in WO 2010/085705, U.S. Pat. No. 6,245,968, WO 2009/ 144079, PCT/EP2010/070561, PCT/EP2010/070567, PCT/ EP2010/070578, PCT/EP2010/070570, or PCT/EP2010/ 070575.

As a regulatory sequence which functions as a promoter in plant cells and plants, use may be made of any promoter sequence of a gene which is naturally expressed in plants, in particular a promoter which is expressed especially in the leaves of plants, such as for example "constitutive" promoters 5 of bacterial, viral or plant origin, or "light-dependent" promoters, such as that of a plant ribulose-biscarboxylase/oxygenase (RuBisCO) small subunit gene, or any suitable known promoter-expressible which may be used. Among the promoters of plant origin, mention will be made of the histone 10 promoters as described in EP 0 507 698 A1, the rice actin promoter (U.S. Pat. No. 5,641,876), or a plant ubiquitin promoter (U.S. Pat. No. 5,510,474). Among the promoters of a plant virus gene, mention will be made of that of the cauliflower mosaic virus (CaMV 19S or 35S, Sanders et al. (1987), 15 Nucleic Acids Res. 15(4):1543-58.), the circovirus (AU 689 311) or the Cassaya vein mosaic virus (CsVMV, U.S. Pat. No. 7,053,205).

In a further particular embodiment, present invention relates to the use of N-(tetrazol-4-vl)- or N-(triazol-3-vl)arvl- 20 carboxamides as defined above or their salts on plants, plant parts, or plant seeds comprising a promoter sequence specific for particular regions or tissues of plants can be used to express one or more chimeric gene(s) (I) comprising a DNA sequence encoding hydroxyphenylpyruvate dioxygenase 25 (HPPD) derived from a member of a group of organisms consisting of (a) Avena, preferably Avena sativa, more preferably comprising a DNA sequence identical to SEQ ID No. 1 encoding HPPD defined by SEQ ID No. 2, (b) Pseudomonas, preferably Pseudomonas fluorescens, more preferably 30 comprising a DNA sequence identical to SEQ ID No. 3 encoding HPPD defined by SEQ ID No. 4, (c) Synechococcoideae, preferably Synechococcus sp., more preferably comprising a DNA sequence identical to SEQ ID No. 6, encoding HPPD defined by SEQ ID No. 7, (d) Blepharismidae, prefer- 35 ably *Blepharisma japonicum*, more preferably comprising a DNA sequence identical to SEQ ID No. 8 encoding HPPD defined by SEQ ID No. 9, (e) Rhodococcus, preferably Rhodococcus sp. (strain RHA1), isolate ro03041 more preferably comprising a DNA sequence identical to SEQ ID No. 40 10 encoding HPPD defined by SEQ ID No. 11 or Rhodococcus sp. (strain RHA1), isolate ro02040, more preferably comprising a DNA sequence identical to SEQ ID No. 12 encoding HPPD defined by SEQ ID No. 13, (f) Picrophilaceae, preferably Picrophilus torridus, more preferably comprising a 45 DNA sequence identical to SEQ ID No. 14 encoding HPPD defined by SEQ ID No. 15, (g) Kordia, preferably Kordia algicida, more preferably comprising a DNA sequence identical to SEQ ID No. 16 encoding HPPD defined by SEQ ID No. 17, or (II) comprising one or more mutated DNA 50 sequences of HPPD encoding genes of the before defined organisms, preferably mutants as described in WO 2010/ 085705, U.S. Pat. No. 6,245,968, WO 2009/144079, PCT/ EP2010/070561, PCT/EP2010/070567, PCT/EP2010/ 070578, PCT/EP2010/070570, or PCT/EP2010/070575, 55 such as promoters specific for seeds (Datla, R. et al., 1997, Biotechnology Ann. Rev. 3, 269-296), especially the napin promoter (EP 255 378 A1), the phaseolin promoter, the glutenin promoter, the helianthinin promoter (WO 92/17580), the albumin promoter (WO 98/45460), the oleosin promoter 60 (WO 98/45461), the SAT1 promoter or the SAT3 promoter (PCT/US98/06978).

Use may also be made of an inducible promoter advantageously chosen from the phenylalanine ammonia lyase (PAL), HMG-CoA reductase (HMG), chitinase, glucanase, 65 proteinase inhibitor (PI), PR1 family gene, nopaline synthase (nos) and vspB promoters (U.S. Pat. No. 5,670,349, Table 3),

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the HMG2 promoter (U.S. Pat. No. 5,670,349), the apple beta-galactosidase (ABG1) promoter and the apple aminocyclopropane carboxylate synthase (ACC synthase) promoter (WO 98/45445).

The genes encoding hydroxyphenylpyruvate dioxygenase (HPPD) (I) derived from a member of a group of organisms, consisting of (a) Avena, preferably Avena sativa, more preferably comprising a DNA sequence identical to SEQ ID No. 1 encoding HPPD defined by SEQ ID No. 2, (b) Pseudomonas, preferably Pseudomonas fluorescens, more preferably comprising a DNA sequence identical to SEQ ID No. 3 encoding HPPD defined by SEQ ID No. 4, (c) Synechococcoideae, preferably Synechococcus sp., more preferably comprising a DNA sequence identical to SEQ ID No. 6, encoding HPPD defined by SEQ ID No. 7, (d) Blepharismidae, preferably Blepharisma japonicum, more preferably comprising a DNA sequence identical to SEQ ID No. 8 encoding HPPD defined by SEQ ID No. 9, (e) Rhodococcus, preferably Rhodococcus sp. (strain RHA1), isolate ro03041 more preferably comprising a DNA sequence identical to SEQ ID No. 10 encoding HPPD defined by SEQ ID No. 11 or Rhodococcus sp. (strain RHA1), isolate ro02040, more preferably comprising a DNA sequence identical to SEQ ID No. 12 encoding HPPD defined by SEQ ID No. 13, (f) Picrophilaceae, preferably Picrophilus torridus, more preferably comprising a DNA sequence identical to SEQ ID No. 14 encoding HPPD defined by SEQ ID No. 15, (g) Kordia, preferably Kordia algicida, more preferably comprising a DNA sequence identical to SEQ ID No. 16 encoding HPPD defined by SEQ ID No. 17 or (II) represented by a mutated DNA sequence of HPPD encoding genes of the before defined organisms, preferably represented by mutants as described in WO 2010/ 085705, U.S. Pat. No. 6,245,968, WO 2009/144079, PCT/ EP2010/070561, PCT/EP2010/070567, 070578, PCT/EP2010/070570, or PCT/EP2010/070575 may also be used in combination with the promoter, of other regulatory sequences, which are located between the promoter and the coding sequence, such as transcription activators ("enhancers"), for instance the translation activator of the tobacco mosaic virus (TMV) described in Application WO 87/07644, or of the tobacco etch virus (TEV) described by Carrington & Freed 1990, J. Virol. 64: 1590-1597, for example, or introns such as the adh1 intron of maize or intron 1 of rice actin in order to perform a sufficient tolerance to N-(tetrazol-4-yl)- or N-(triazol-3-yl)arylcarboxamides as defined above or their salts.

In a further particular embodiment, the present invention relates to the use of N-(tetrazol-4-yl)- or N-(triazol-3-yl)arylcarboxamides as defined above or their salts on plants, plant parts, or plant seeds containing one or more chimeric gene(s) (I) comprising a DNA sequence encoding hydroxyphenylpyruvate dioxygenase (HPPD) derived from a member of a group of organisms consisting of (a) Avena, preferably Avena sativa, more preferably comprising a DNA sequence identical to SEQ ID No. 1 encoding HPPD defined by SEQ ID No. 2, (b) Pseudomonas, preferably Pseudomonas fluorescens, more preferably comprising a DNA sequence identical to SEQ ID No. 3 encoding HPPD defined by SEQ ID No. 4, (c) Synechococcoideae, preferably Synechococcus sp., more preferably comprising a DNA sequence identical to SEQ ID No. 6, encoding HPPD defined by SEQ ID No. 7, (d) Blepharismidae, preferably Blepharisma japonicum, more preferably comprising a DNA sequence identical to SEQ ID No. 8 encoding HPPD defined by SEQ ID No. 9, (e) Rhodococcus, preferably Rhodococcus sp. (strain RHA1), isolate ro03041 more preferably comprising a DNA sequence identical to SEQ ID No. 10 encoding HPPD defined by SEQ ID

No. 11 or Rhodococcus sp. (strain RHA1), isolate ro02040, more preferably comprising a DNA sequence identical to SEQ ID No. 12 encoding HPPD defined by SEQ ID No. 13, (f) Picrophilaceae, preferably *Picrophilus torridus*, more preferably comprising a DNA sequence identical to SEQ ID 5 No. 14 encoding HPPD defined by SEQ ID No. 15, (g) Kordia, preferably Kordia algicida, more preferably comprising a DNA sequence identical to SEQ ID No. 16 encoding HPPD defined by SEQ ID No. 17, or (II) comprising one or more mutated DNA sequences of HPPD encoding genes of the before defined organisms, preferably mutants as described in WO 2010/085705, U.S. Pat. No. 6,245,968, WO 2009/ 144079, PCT/EP2010/070561, PCT/EP2010/070567, PCT/ EP2010/070578, PCT/EP2010/070570, or PCT/EP2010/ 070575 and also containing a CYP450 Maize 15 monooxygenase (nsf1 gene) gene being under the control of an identical or different plant expressible promoter in order to confer tolerance to N-(tetrazol-4-yl)- or N-(triazol-3-yl)arylcarboxamides as defined above or their salts.

As a regulatory terminator or polyadenylation sequence, 20 use may be made of any corresponding sequence of bacterial origin, such as for example the nos terminator of *Agrobacte-rium tumefaciens*, of viral origin, such as for example the CaMV 35S terminator, or of plant origin, such as for example a histone terminator as described in published Patent Application EP 0 633 317 A1.

It is to be understood that in order to obtain an optimized expression by a host adapted codon usage of the respective chimeric gene(s), one could adopt non-planta genes to the codon usage of the respective plant organism in which such 30 chimeric genes will be inserted. Accordingly, in all of the described chimeric genes expressing HPPD of non-planta origin, the respective HPPD encoding DNA sequence can be replaced by an amended DNA sequence encoding the identical amino acid sequence, i.e. SEQ ID No. 3 can be replaced by SEQ ID No. 18, SEQ ID No. 5, SEQ ID No. 6 can be replaced by SEQ ID No. 19, SEQ ID No. 10 can be replaced by SEQ ID No. 20, SEQ ID No. 12 can be replaced by SEQ ID No. 21, SEQ ID No. 14 can be replaced by SEQ ID No. 22, SEQ ID No. 16 can be replaced 40 by SEQ ID No. 23.

The term "gene", as used herein refers to a DNA coding region flanked by 5' and/or 3' regulatory sequences allowing a RNA to be transcribed which can be translated to a protein, typically comprising at least a promoter region. A "chimeric 45 gene", when referring to an HPPD encoding DNA, refers to an HPPD encoding DNA sequence having 5' and/or 3' regulatory sequences different from the naturally occurring bacterial 5' and/or 3' regulatory sequences which drive the expression of the HPPD protein in its native host cell (also 50 referred to as "heterologous promoter" or "heterologous regulatory sequences").

The terms "DNA/protein comprising the sequence X" and "DNA/protein with the sequence comprising sequence X", as used herein, refer to a DNA or protein including or containing at least the sequence X in their nucleotide or amino acid sequence, so that other nucleotide or amino acid sequences can be included at the 5' (or N-terminal) and/or 3' (or C-terminal) end, e.g., a N-terminal transit or signal peptide. The term "comprising", as used herein, is open-ended language in 60 the meaning of "including", meaning that other elements then those specifically recited can also be present. The term "consisting of", as used herein, is closed-ended language, i.e., only those elements specifically recited are present. The term "DNA encoding a protein comprising sequence X", as used 65 herein, refers to a DNA comprising a coding sequence which after transcription and translation results in a protein contain-

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ing at least amino acid sequence X. A DNA encoding a protein need not be a naturally occurring DNA, and can be a semi-synthetic, fully synthetic or artificial DNA and can include introns and 5' and/or 3' flanking regions. The term "nucleotide sequence", as used herein, refers to the sequence of a DNA or RNA molecule, which can be in single- or double-stranded form.

HPPD proteins according to the invention may be equipped with a signal peptide according to procedures known in the art, see, e.g., published PCT patent application WO 96/10083, or they can be replaced by another peptide such as a chloroplast transit peptide (e.g., Van Den Broeck et al., 1985, Nature 313, 358, or a modified chloroplast transit peptide of U.S. Pat. No. 5,510,471) causing transport of the protein to the chloroplasts, by a secretory signal peptide or a peptide targeting the protein to other plastids, mitochondria, the ER, or another organelle, or it can be replaced by a methionine amino acid or by a methionine-alanine dipeptide. Signal sequences for targeting to intracellular organelles or for secretion outside the plant cell or to the cell wall are found in naturally targeted or secreted proteins, preferably those described by Klösgen et al. (1989, Mol. Gen. Genet. 217, 155-161), Klösgen and Weil (1991, Mol. Gen. Genet. 225, 297-304), Neuhaus & Rogers (1998, Plant Mol. Biol. 38, 127-144), Bih et al. (1999, J. Biol. Chem. 274, 22884-22894), Morris et al. (1999, Biochem. Biophys. Res. Commun. 255, 328-333), Hesse et al. (1989, EMBO J. 8 2453-2461), Tavladoraki et al. (1998, FEBS Lett. 426, 62-66), Terashima et al. (1999, Appl. Microbiol. Biotechnol. 52, 516-523), Park et al. (1997, J. Biol. Chem. 272, 6876-6881), Shcherban et al. (1995, Proc. Natl. Acad. Sci. USA 92, 9245-9249), all of which are incorporated herein by reference, particularly the signal peptide sequences from targeted or secreted proteins of corn, cotton, soybean, or rice. A DNA sequence encoding such a plant signal peptide can be inserted in the chimeric gene encoding the HPPD protein for expression in plants.

The invention also encompasses variant HPPD enzymes which are amino acid sequences similar to the HPPD amino acid sequence of SEQ ID No. 2, SEQ ID No. ID No. 4, SEQ ID No. 7, SEQ ID No. 9, SEQ ID No. 11, SEQ ID No. 13, SEQ ID No. 15, and SEQ ID No. 17 wherein in each of the before one or more amino acids have been inserted, deleted or substituted. In the present context, variants of an amino acid sequence refer to those polypeptides, enzymes or proteins which have a similar catalytic activity as the amino acid sequences described herein, notwithstanding any amino acid substitutions, additions or deletions thereto. Preferably the variant amino acid sequence has a sequence identity of at least about 80%, or 85 or 90%, 95%, 97%, 98% or 99% with the amino acid sequence of SEQ ID No. 2, SEQ ID No. 4, SEQ ID No. 7, SEQ ID No. 9, SEQ ID No. 11, SEQ ID No. 13, SEQ ID No. 15, and SEQ ID No. 17, respectively. Also preferably, a polypeptide comprising the variant amino acid sequence has HPPD enzymatic activity. Methods to determine HPPD enzymatic activity are well known in the art and include assays as extensively described in WO 2009/144079 or in WO 2002/ 046387, or in PCT/EP2010/070561.

Substitutions encompass amino acid alterations in which an amino acid is replaced with a different naturally-occurring or a non-conventional amino acid residue. Such substitutions may be classified as "conservative", in which an amino acid residue contained in an HPPD protein of this invention is replaced with another naturally-occurring amino acid of similar character, for example Gly\$Ala, Val\$Ile\$Leu, Asp\$Glu, Lys\$Arg, Asn\$Gln or Phe\$Trp\$Tyr. Substitutions encompassed by the present invention may also be "non-conservative", in which an amino acid residue which is

present in an HPPD protein of the invention is substituted with an amino acid with different properties, such as a naturally-occurring amino acid from a different group (e.g. substituting a charged or hydrophobic amino acid with alanine. Amino acid substitutions are typically of single residues, but 5 may be of multiple residues, either clustered or dispersed. Amino acid deletions will usually be of the order of about 1-10 amino acid residues, while insertions may be of any length. Deletions and insertions may be made to the N-terminus, the C-terminus or be internal deletions or insertions. 10 Generally, insertions within the amino acid sequence will be smaller than amino- or carboxy-terminal fusions and of the order of 1 to 4 amino acid residues. "Similar amino acids", as used herein, refers to amino acids that have similar amino acid side chains, i.e. amino acids that have polar, non-polar or 15 practically neutral side chains. "Non-similar amino acids", as used herein, refers to amino acids that have different amino acid side chains, for example an amino acid with a polar side chain is non-similar to an amino acid with a non-polar side chain. Polar side chains usually tend to be present on the 20 surface of a protein where they can interact with the aqueous environment found in cells ("hydrophilic" amino acids). On the other hand, "non-polar" amino acids tend to reside within the center of the protein where they can interact with similar non-polar neighbours ("hydrophobic" amino acids"). 25 Examples of amino acids that have polar side chains are arginine, asparagine, aspartate, cysteine, glutamine, glutamate, histidine, lysine, serine, and threonine (all hydrophilic, except for cysteine which is hydrophobic). Examples of amino acids that have non-polar side chains are alanine, 30 glycine, isoleucine, leucine, methionine, phenylalanine, proline, and tryptophan (all hydrophobic, except for glycine which is neutral).

Unless otherwise stated in the examples, all procedures for making and manipulating recombinant DNA are carried out 35 by the standard procedures described in Sambrook et al., Molecular Cloning—A Laboratory Manual, Second Ed., Cold Spring Harbor Laboratory Press, NY (1989), and in Volumes 1 and 2 of Ausubel et al. (1994) Current Protocols in Molecular Biology, Current Protocols, USA. Standard materials and methods for plant molecular biology work are described in Plant Molecular Biology Labfax (1993) by R.R.D. Croy, jointly published by BIOS Scientific Publications Ltd (UK) and Blackwell Scientific Publications (UK). Procedures for PCR technology can be found in "PCR protocols: a guide to methods and applications", Edited by M. A. Innis, D. H. Gelfand, J. J. Sninsky and T. J. White (Academic Press, Inc., 1990).

The terms "tolerance", "tolerant" or "less sensitive" are interchangeable used and mean the relative levels of inherent 50 tolerance of the HPPD screened according to a visible indicator phenotype of the strain or plant transformed with a nucleic acid comprising the gene coding for the respective HPPD protein in the presence of different concentrations of the various HPPD inhibitor herbicides. Dose responses and 55 relative shifts in dose responses associated with these indicator phenotypes (formation of brown colour, growth inhibition, bleaching, herbicidal effect, etc) are conveniently expressed in terms, for example, of GR50 (concentration for 50% reduction of growth) or MIC (minimum inhibitory concentration) values where increases in values correspond to increases in inherent tolerance of the expressed HPPD, in the normal manner based upon plant damage, meristematic bleaching symptoms etc. at a range of different concentrations of herbicides. These data can be expressed in terms of, 65 for example, GR50 values derived from dose/response curves having "dose" plotted on the x-axis and "percentage kill",

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"herbicidal effect", "numbers of emerging green plants" etc. plotted on the y-axis where increased GR50 values correspond to increased levels of inherent tolerance of the expressed HPPD. Herbicides can suitably be applied preemergence or post emergence.

Likewise, tolerance level is screened via transgenesis, regeneration, breeding and spray testing of a test plant such as tobacco, or a crop plant such as soybean or cotton and according to these results, such plants are at least 2-4× more tolerant to HPPD inhibitor herbicides, like N-(tetrazol-4-yl)- or N-(triazol-3-yl)arylcarboxamides as defined above or their salts than plants that do not contain any exogenous gene encoding an HPPD protein,

"Host organism" or "host" is understood as being any unicellular or multicellular heterologous organism into which the nucleic acid or chimeric gene according to the invention can be introduced for the purpose of producing HPPD. These organisms are, in particular, bacteria, for example *E. coli*, yeast, in particular of the genera *Saccharomyces* or *Kluyveromyces*, *Pichia*, fungi, in particular *Aspergillus*, a baculovirus or, preferably, plant cells and plants.

"Plant cell" is understood, according to the invention, as being any cell which is derived from or found in a plant and which is able to form or is part of undifferentiated tissues, such as calli, differentiated tissues such as embryos, parts of plants, plants or seeds. This includes protoplasts and pollen, cultivated plants cells or protoplasts grown in vitro, and plant cells that can regenerate into a complete plant.

"Plant" is understood, according to the invention, as being any differentiated multicellular organism which is capable of photosynthesis, in particular a monocotyledonous or dicotyledonous organism, more especially cultivated plants which are or are not intended for animal or human nutrition, such as maize or corn, wheat, *Brassica* spp. plants such as *Brassica napus* or *Brassica juncea*, soya spp. rice, sugarcane, beetroot, tobacco, cotton, vegetable plants such as cucumber, leek, carrot, tomato, lettuce, peppers, melon, watermelon, etc. Transgenic plants, as used herein, refer to plants comprising one or more foreign or heterologous gene(s) stably inserted in their genome.

In order perform tolerance to N-(tetrazol-4-yl)- or N-(triazol-3-yl)arylcarboxamides as defined above or their salts, any promoter sequence of a gene which is expressed naturally in plants, or any hybrid or combination of promoter elements of genes expressed naturally in plants, including Agrobacterium or plant virus promoters, or any promoter which is suitable for controlling the transcription of a herbicide tolerance gene in plants, can be used as the promoter sequence in the plants of the invention (named "plant-expressible promoter" herein). Examples of such suitable plant-expressible promoters are described above. In one embodiment of this invention, such plant-expressible promoters are operably-linked to a (I) DNA sequence encoding hydroxyphenylpyruvate dioxygenase (HPPD) that is derived from a member of a group of organisms consisting of (a) Avena, preferably Avena sativa, more preferably comprising a DNA sequence identical to SEQ ID No. 1 encoding HPPD defined by SEQ ID No. 2, (b) Pseudomonas, preferably Pseudomonas fluorescens, more preferably comprising a DNA sequence identical to SEQ ID No. 3 encoding HPPD defined by SEQ ID No. 4, (c) Synechococcoideae, preferably Synechococcus sp., more preferably comprising a DNA sequence identical to SEQ ID No. 6, encoding HPPD defined by SEQ ID No. 7, (d) Blepharismidae, preferably Blepharisma japonicum, more preferably comprising a DNA sequence identical to SEQ ID No. 8 encoding HPPD defined by SEQ ID No. 9, (e) Rhodococcus, preferably Rhodococcus sp. (strain RHA1), isolate ro03041

more preferably comprising a DNA sequence identical to SEQ ID No. 10 encoding HPPD defined by SEQ ID No. 11 or Rhodococcus sp. (strain RHA1), isolate ro02040, more preferably comprising a DNA sequence identical to SEQ ID No. 12 encoding HPPD defined by SEQ ID No. 13, (f) Picro- 5 philaceae, preferably Picrophilus torridus, more preferably comprising a DNA sequence identical to SEQ ID No. 14 encoding HPPD defined by SEQ ID No. 15, (g) Kordia, preferably Kordia algicida, more preferably comprising a DNA sequence identical to SEO ID No. 16 encoding HPPD 10 defined by SEQ ID No. 17, or (II) a mutated DNA sequence of HPPD of the before defined organisms, preferably a mutated DNA sequence as described in WO 2010/085705, U.S. Pat. No. 6,245,968, WO 2009/144079, PCT/EP2010/070561, PCT/EP2010/070567, PCT/EP2010/070578, PCT/EP2010/ 15 070570, or PCT/EP2010/070575 and also containing.

According to the invention, it is also possible to use, in combination with the promoter regulatory sequence, other regulatory sequences which are located between the promoter and the coding sequence, such as intron sequences, or transcription activators (enhancers) in order to perform tolerace to N-(tetrazol-4-yl)- or N-(triazol-3-yl)arylcarboxamides as defined above or their salts. Examples of such suitable regulatory sequences are described above.

Any corresponding sequence of bacterial or viral origin, 25 such as the nos terminator from *Agrobacterium tumefaciens*, or of plant origin, such as a histone terminator as described in application EP 0 633 317 A1, may be used as transcription termination (and polyadenylation) regulatory sequence.

In a further particular embodiment, the present invention 30 relates to the use of N-(tetrazol-4-yl)- or N-(triazol-3-yl)arylcarboxamides as defined above or their salts on plants, plant parts, or plant seeds containing a nucleic acid sequence which encodes a transit peptide is employed 5' (upstream) of the nucleic acid sequence encoding the exogenous chimeric gene 35 (s) (I) comprising a DNA sequence encoding hydroxyphenylpyruvate dioxygenase (HPPD) derived from a member of a group of organisms consisting of (a) Avena, preferably Avena sativa, more preferably comprising a DNA sequence identical to SEQ ID No. 1 encoding HPPD defined by SEQ ID 40 No. 2, (b) Pseudomonas, preferably Pseudomonas fluorescens, more preferably comprising a DNA sequence identical to SEQ ID No. 3 encoding HPPD defined by SEQ ID No. 4, (c) Synechococcoideae, preferably Synechococcus sp., more preferably comprising a DNA sequence identical to SEQ ID 45 No. 6, encoding HPPD defined by SEQ ID No. 7, (d) Blepharismidae, preferably Blepharisma japonicum, more preferably comprising a DNA sequence identical to SEQ ID No. 8 encoding HPPD defined by SEQ ID No. 9, (e) Rhodococcus, preferably Rhodococcus sp. (strain RHA1), isolate 50 ro03041 more preferably comprising a DNA sequence identical to SEQ ID No. 10 encoding HPPD defined by SEQ ID No. 11 or *Rhodococcus* sp. (strain RHA1), isolate ro02040, more preferably comprising a DNA sequence identical to SEQ ID No. 12 encoding HPPD defined by SEQ ID No. 13, 55 (f) Picrophilaceae, preferably Picrophilus torridus, more preferably comprising a DNA sequence identical to SEQ ID No. 14 encoding HPPD defined by SEQ ID No. 15, (g) Kordia, preferably Kordia algicida, more preferably comprising a DNA sequence identical to SEQ ID No. 16 encoding HPPD 60 defined by SEQ ID No. 17, or (II) comprising one or more mutated DNA sequences of HPPD encoding genes of the before defined organisms, preferably mutants as described in WO 2010/085705, U.S. Pat. No. 6,245,968, WO 2009/ 144079, PCT/EP2010/070561, PCT/EP2010/070567, PCT/ 65 EP2010/070578, PCT/EP2010/070570, or PCT/EP2010/ 070575 and also containing with this transit peptide sequence

being arranged between the promoter region and the sequence encoding the exogenous HPPD so as to permit expression of a transit peptide-HPPD fusion protein. The transit peptide makes it possible to direct the HPPD into the plastids, more especially the chloroplasts, with the fusion protein being cleaved between the transit peptide and the HPPD protein when the latter enters the plastid. The transit peptide may be a single peptide, such as an EPSPS transit peptide (described in U.S. Pat. No. 5,188,642) or a transit peptide of the plant ribulose bisphosphate carboxylase/oxygenase small subunit (RuBisCO ssu), where appropriate, including a few amino acids of the N-terminal part of the mature RuBisCO ssu (EP 189 707 A1), or else may be a fusion of several transit peptides such as a transit peptide which comprises a first plant transit peptide which is fused to a part of the N-terminal sequence of a mature protein having a plastid location, with this part in turn being fused to a second plant transit peptide as described in patent EP 508 909 A1, and, more especially, the optimized transit peptide which comprises a transit peptide of the sunflower RuBisCO ssu fused to 22 amino acids of the N-terminal end of the maize RuBisCO ssu, in turn fused to the transit peptide of the maize RuBisCO ssu, as described, with its coding sequence, in patent EP 508 909 A1.

The present invention also relates to the transit peptide HPPD fusion protein and a nucleic acid or plant-expressible chimeric gene encoding such fusion protein, wherein the two elements of this fusion protein are as defined above.

In a further particular embodiment, the present invention relates to the use of N-(tetrazol-4-yl)- or N-(triazol-3-yl)arylcarboxamides as defined above or their salts on plants, plant parts, or plant seeds obtained by cloning, transformation with a expression vector, which expression vector contains at least one chimeric gene encoding the hydroxyphenylpyruvate dioxygenase (HPPD) derived from a member of a group of organisms consisting of (a) Avena, preferably Avena sativa, more preferably comprising a DNA sequence identical to SEQ ID No. 1 encoding HPPD defined by SEQ ID No. 2, (b) Pseudomonas, preferably Pseudomonas fluorescens, more preferably comprising a DNA sequence identical to SEQ ID No. 3 encoding HPPD defined by SEQ ID No. 4, (c) Synechococcoideae, preferably Synechococcus sp., more preferably comprising a DNA sequence identical to SEQ ID No. 6, encoding HPPD defined by SEQ ID No. 7, (d) Blepharismidae, preferably Blepharisma japonicum, more preferably comprising a DNA sequence identical to SEQ ID No. 8 encoding HPPD defined by SEQ ID No. 9, (e) Rhodococcus, preferably Rhodococcus sp. (strain RHA1), isolate ro03041 more preferably comprising a DNA sequence identical to SEQ ID No. 10 encoding HPPD defined by SEQ ID No. 11 or Rhodococcus sp. (strain RHA1), isolate ro02040, more preferably comprising a DNA sequence identical to SEQ ID No. 12 encoding HPPD defined by SEQ ID No. 13, (f) Picrophilaceae, preferably *Picrophilus torridus*, more preferably comprising a DNA sequence identical to SEQ ID No. 14 encoding HPPD defined by SEQ ID No. 15, (g) Kordia, preferably Kordia algicida, more preferably comprising a DNA sequence identical to SEQ ID No. 16 encoding HPPD defined by SEQ ID No. 17, or (II) comprising one or more mutated DNA sequences of HPPD encoding genes of the before defined organisms, preferably mutants as described in WO 2010/085705, U.S. Pat. No. 6,245,968, WO 2009/ 144079, PCT/EP2010/070561, PCT/EP2010/070567, PCT/ EP2010/070578, PCT/EP2010/070570, or PCT/EP2010/ 070575. In addition to the above chimeric gene, this vector can contain an origin of replication. This vector can be a plasmid or plasmid portion, a cosmid, or a bacteriophage or a

virus which has been transformed by introducing the chimeric gene according to the invention. Transformation vectors are well known to the skilled person and widely described in the literature. The transformation vector which can be used, in particular, for transforming plant cells or plants may be a virus, which can be employed for transforming plant cells or plants and which additionally contains its own replication and expression elements. The vector for transforming plant cells or plants is preferably a plasmid, such as a disarmed *Agrobacterium* Ti plasmid.

In a further particular embodiment, the present invention relates to the use of N-(tetrazol-4-yl)- or N-(triazol-3-yl)arylcarboxamides as defined above or their salts on plants, plant parts, or plant seeds containing a chimeric gene which comprises a sequence encoding the hydroxyphenylpyruvate 15 dioxygenase (HPPD) derived from a member of a group of organisms, consisting of (a) Avena, preferably Avena sativa, more preferably comprising a DNA sequence identical to SEQ ID No. 1 encoding HPPD defined by SEQ ID No. 2, (b) Pseudomonas, preferably Pseudomonas fluorescens, more 20 preferably comprising a DNA sequence identical to SEQ ID No. 3 encoding HPPD defined by SEQ ID No. 4, (c) Synechococcoideae, preferably Synechococcus sp., more preferably comprising a DNA sequence identical to SEQ ID No. 6, encoding HPPD defined by SEQ ID No. 7, (d) Blepharismi- 25 dae, preferably Blepharisma japonicum, more preferably comprising a DNA sequence identical to SEQ ID No. 8 encoding HPPD defined by SEQ ID No. 9, (e) Rhodococcus, preferably *Rhodococcus* sp. (strain RHA1), isolate ro03041 more preferably comprising a DNA sequence identical to 30 SEQ ID No. 10 encoding HPPD defined by SEQ ID No. 11 or Rhodococcus sp. (strain RHA1), isolate ro02040, more preferably comprising a DNA sequence identical to SEQ ID No. 12 encoding HPPD defined by SEQ ID No. 13, (f) Picrophilaceae, preferably Picrophilus torridus, more preferably 35 comprising a DNA sequence identical to SEQ ID No. 14 encoding HPPD defined by SEQ ID No. 15, (g) Kordia, preferably Kordia algicida, more preferably comprising a DNA sequence identical to SEQ ID No. 16 encoding HPPD defined by SEQ ID No. 17 or (II) comprising one or more 40 mutated DNA sequences of HPPD encoding genes of the before defined organisms, preferably mutants as described in WO 2010/085705, U.S. Pat. No. 6,245,968, WO 2009/ 144079, PCT/EP2010/070561, PCT/EP2010/070567, PCT/ EP2010/070578, PCT/EP2010/070570, or PCT/EP2010/ 45 070575, and the use of the plants or seeds in a field to grow a crop and harvest a plant product, e.g., soya spp, rice, wheat, barley or corn grains or cotton bolls, where in one embodiment said use involves the application of an N-(tetrazol-4-yl)or N-(triazol-3-yl)arylcarboxamides as defined above or their 50 salts to such plants to control weeds.

In another particular embodiment, the present invention relates to the use of N-(tetrazol-4-yl)- or N-(triazol-3-yl)arylcarboxamides as defined above or their salts on plants, plant parts, or plant seeds characterized in that it contains one or 55 more chimeric gene(s) (I) comprising a DNA sequence encoding hydroxyphenylpyruvate dioxygenase (HPPD) derived from a member of a group of organisms consisting of (a) Avena, preferably Avena sativa, more preferably comprising a DNA sequence identical to SEQ ID No. 1 encoding 60 HPPD defined by SEQ ID No. 2, (b) Pseudomonas, preferably Pseudomonas fluorescens, more preferably comprising a DNA sequence identical to SEQ ID No. 3 encoding HPPD defined by SEQ ID No. 4, (c) Synechococcoideae, preferably Synechococcus sp., more preferably comprising a DNA 65 sequence identical to SEQ ID No. 6, encoding HPPD defined by SEQ ID No. 7, (d) Blepharismidae, preferably Blepha66

risma japonicum, more preferably comprising a DNA sequence identical to SEQ ID No. 8 encoding HPPD defined by SEQ ID No. 9, (e) Rhodococcus, preferably Rhodococcus sp. (strain RHA1), isolate ro03041 more preferably comprising a DNA sequence identical to SEQ ID No. 10 encoding HPPD defined by SEQ ID No. 11 or Rhodococcus sp. (strain RHA1), isolate ro02040, more preferably comprising a DNA sequence identical to SEQ ID No. 12 encoding HPPD defined by SEQ ID No. 13, (f) Picrophilaceae, preferably *Picrophilus* torridus, more preferably comprising a DNA sequence identical to SEQ ID No. 14 encoding HPPD defined by SEQ ID No. 15, (g) Kordia, preferably Kordia algicida, more preferably comprising a DNA sequence identical to SEQ ID No. 16 encoding HPPD defined by SEQID No. 17, or (II) comprising one or more mutated DNA sequences of HPPD encoding genes of the before defined organisms, preferably mutants as described in WO 2010/085705, U.S. Pat. No. 6,245,968, WO 2009/144079, PCT/EP2010/070561, PCT/EP2010/070567, PCT/EP2010/070578, PCT/EP2010/070570, or PCT/ EP2010/070575, and in addition further contains a chimeric gene comprising a plant-expressible promoter as described above, operably-linked to a nucleic acid sequence encoding a PDH (prephenate dehydrogenase) enzyme (US 2005/ 0257283) in order to confer tolerance to N-(tetrazol-4-yl)- or N-(triazol-3-yl)arylcarboxamides as defined above or their salts. A plant comprising such two transgenes can be obtained by transforming a plant with one transgene, and then retransforming this transgenic plant with the second transgene, or by transforming a plant with the two transgenes simultaneously (in the same or in 2 different transforming DNAs or vectors), or by crossing a plant comprising the first transgene with a plant comprising the second transgene, as is well known in the art.

One transformation method in order to obtain plants, plant parts or seeds being tolerant to N-(tetrazol-4-yl)- or N-(triazol-3-yl)arylcarboxamides as defined above or their salts by containing one or more chimeric gene(s) (I) comprising a DNA sequence encoding hydroxyphenylpyruvate dioxygenase (HPPD) derived from a member of a group of organisms, consisting of (a) Avena, preferably Avena sativa, more preferably comprising a DNA sequence identical to SEQ ID No. 1 encoding HPPD defined by SEQ ID No. 2, (b) Pseudomonas, preferably Pseudomonas fluorescens, more preferably comprising a DNA sequence identical to SEQ ID No. 3 encoding HPPD defined by SEQ ID No. 4, (c) Synechococcoideae, preferably Synechococcus sp., more preferably comprising a DNA sequence identical to SEO ID No. 6, encoding HPPD defined by SEQ ID No. 7, (d) Blepharismidae, preferably Blepharisma japonicum, more preferably comprising a DNA sequence identical to SEQ ID No. 8 encoding HPPD defined by SEQ ID No. 9, (e) Rhodococcus, preferably Rhodococcus sp. (strain RHA1), isolate ro03041 more preferably comprising a DNA sequence identical to SEQ ID No. 10 encoding HPPD defined by SEQ ID No. 11 or  $\it Rhodococ$ cus sp. (strain RHA1), isolate ro02040, more preferably comprising a DNA sequence identical to SEQ ID No. 12 encoding HPPD defined by SEQ ID No. 13, (f) Picrophilaceae, preferably Picrophilus torridus, more preferably comprising a DNA sequence identical to SEQ ID No. 14 encoding HPPD defined by SEQ ID No. 15, (g) Kordia, preferably Kordia algicida, more preferably comprising a DNA sequence identical to SEQ ID No. 16 encoding HPPD defined by SEQ ID No. 17 or (II) comprising one or more mutated DNA sequences of HPPD encoding genes of the before defined organisms, preferably mutants as described in WO 2010/ 085705, U.S. Pat. No. 6,245,968, WO 2009/144079, PCT/ EP2010/070561, PCT/EP2010/070567, PCT/EP2010/

070578, PCT/EP2010/070570, or PCT/EP2010/070575 comprises bombarding cells, protoplasts or tissues with solid or liquid particles to which DNA is attached, or containing DNA. Another transformation method comprises using, as mean for transfer into the plant, a chimeric gene which is inserted into an Agrobacterium tumefaciens Ti plasmid or an Agrobacterium rhizogenes Ri plasmid. Other methods may be used, such as microinjection or electroporation or otherwise direct gene transfer using PEG. The skilled person can select any appropriate method for transforming the host 10 organism of choice, in particular the plant cell or the plant. As examples, the technology for soybean transformation has been extensively described in the examples 1 to 3 disclosed in EP 1186666 A1, incorporated herein by reference. For rice, Agrobacterium-mediated transformation (Hiei et al., 1994 Plant J 6:271-282, and Hiei et al., 1997 Plant Mol. Biol. 35:205-21, incorporated herein by reference), electroporation (U.S. Pat. Nos. 5,641,664 and 5,679,558, incorporated herein by reference), or bombardment (Christou et al., 1991, Biotechnology 9:957 incorporated herein by reference) could 20 be performed. A suitable technology for transformation of monocotyledonous plants, and particularly rice, is described in WO 92/09696, incorporated herein by reference. For cotton, Agrobacterium-mediated transformation (Gould J. H. and Magallanes-Cedeno M., 1998 Plant Molecular Biology 25 reporter, 16:1-10 and Zapata C., 1999, Theoretical Applied Genetics, 98(2):1432-2242 incorporated herein by reference), polybrene and/or treatment-mediated transformation (Sawahel W. A., 2001, Plant Molecular Biology reporter, 19:377a-377f, incorporated herein by reference) have been 30 described.

Alternatively, N-(tetrazol-4-yl)- or N-(triazol-3-yl)arylcarboxamides as defined above or their salts may be used on plants, plant parts, or plant seeds containing one or more chimeric gene(s) (I) comprising a DNA sequence encoding 35 hydroxyphenylpyruvate dioxygenase (HPPD) derived from a member of a group of organisms consisting of (a) Avena, preferably Avena sativa, more preferably comprising a DNA sequence identical to SEQ ID No. 1 encoding HPPD defined by SEQ ID No. 2, (b) Pseudomonas, preferably Pseudomonas 40 fluorescens, more preferably comprising a DNA sequence identical to SEQ ID No. 3 encoding HPPD defined by SEQ ID No. 4, (c) Synechococcoideae, preferably Synechococcus sp., more preferably comprising a DNA sequence identical to SEQ ID No. 6, encoding HPPD defined by SEQ ID No. 7, (d) 45 070575. Blepharismidae, preferably Blepharisma japonicum, more preferably comprising a DNA sequence identical to SEQ ID No. 8 encoding HPPD defined by SEQ ID No. 9, (e) Rhodococcus, preferably Rhodococcus sp. (strain RHA1), isolate ro03041 more preferably comprising a DNA sequence iden- 50 tical to SEQ ID No. 10 encoding HPPD defined by SEQ ID No. 11 or *Rhodococcus* sp. (strain RHA1), isolate ro02040, more preferably comprising a DNA sequence identical to SEQ ID No. 12 encoding HPPD defined by SEQ ID No. 13, (f) Picrophilaceae, preferably Picrophilus torridus, more 55 preferably comprising a DNA sequence identical to SEQ ID No. 14 encoding HPPD defined by SEQ ID No. 15, (g) Kordia, preferably Kordia algicida, more preferably comprising a DNA sequence identical to SEQ ID No. 16 encoding HPPD defined by SEQ ID No. 17, or (II) comprising one or more 60 mutated DNA sequences of HPPD encoding genes of the before defined organisms, preferably mutants as described in WO 2010/085705, U.S. Pat. No. 6,245,968, WO 2009/ 144079, PCT/EP2010/070561, PCT/EP2010/070567, PCT/ EP2010/070578, PCT/EP2010/070570, or PCT/EP2010/ 65 070575 which HPPD is expressed directly in the plastids, such as the chloroplasts, using transformation of the plastid,

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such as the chloroplast genome. A suitable method comprises the bombardment of plant cells or tissue by solid particles coated with the DNA or liquid particles comprising the DNA, and integration of the introduced gene by homologous recombination. Suitable vectors and selection systems are known to the person skilled in the art. An example of means and methods which can be used for such integration into the chloroplast genome of tobacco plants is given in WO 06/108830, the content of which is hereby incorporated by reference

The present invention also relates to a method for obtaining a plant tolerant to N-(tetrazol-4-yl)- or N-(triazol-3-yl)arylcarboxamides as defined above or their salts, characterized in that the plant is transformed with one or more chimeric gene(s) (I) comprising a DNA sequence encoding hydroxyphenylpyruvate dioxygenase (HPPD) derived from a member of a group of organisms consisting of (a) Avena, preferably Avena sativa, more preferably comprising a DNA sequence identical to SEQ ID No. 1 encoding HPPD defined by SEQID No. 2, (b) Pseudomonas, preferably Pseudomonas fluorescens, more preferably comprising a DNA sequence identical to SEQ ID No. 3 encoding HPPD defined by SEQ ID No. 4, (c) Synechococcoideae, preferably Synechococcus sp., more preferably comprising a DNA sequence identical to SEQ ID No. 6, encoding HPPD defined by SEQ ID No. 7, (d) Blepharismidae, preferably Blepharisma japonicum, more preferably comprising a DNA sequence identical to SEQ ID No. 8 encoding HPPD defined by SEQ ID No. 9, (e) Rhodococcus, preferably Rhodococcus sp. (strain RHA1), isolate ro03041 more preferably comprising a DNA sequence identical to SEQ ID No. 10 encoding HPPD defined by SEQ ID No. 11 or *Rhodococcus* sp. (strain RHA1), isolate ro02040, more preferably comprising a DNA sequence identical to SEQ ID No. 12 encoding HPPD defined by SEQ ID No. 13, (f) Picrophilaceae, preferably Picrophilus torridus, more preferably comprising a DNA sequence identical to SEQ ID No. 14 encoding HPPD defined by SEQ ID No. 15, (g) Kordia, preferably Kordia algicida, more preferably comprising a DNA sequence identical to SEQ ID No. 16 encoding HPPD defined by SEQ ID No. 17, or (II) comprising one or more mutated DNA sequences of HPPD encoding genes of the before defined organisms, preferably mutants as described in WO 2010/085705, U.S. Pat. No. 6,245,968, WO 2009/ 144079, PCT/EP2010/070561, PCT/EP2010/070567, PCT/ EP2010/070578, PCT/EP2010/070570, or PCT/EP2010/

Therefore, the present invention also relates to a method for obtaining a plant tolerant to N-(tetrazol-4-vl)- or N-(triazol-3-yl)arylcarboxamides as defined above or their salts by containing one or more chimeric gene(s) (I) comprising a DNA sequence encoding hydroxyphenylpyruvate dioxygenase (HPPD) derived from a member of a group of organisms consisting of (a) Avena, preferably Avena sativa, more preferably comprising a DNA sequence identical to SEQ ID No. 1 encoding HPPD defined by SEQ ID No. 2, (b) Pseudomonas, preferably Pseudomonas fluorescens, more preferably comprising a DNA sequence identical to SEQ ID No. 3 encoding HPPD defined by SEQ ID No. 4, (c) Synechococcoideae, preferably Synechococcus sp., more preferably comprising a DNA sequence identical to SEQ ID No. 6, encoding HPPD defined by SEQ ID No. 7, (d) Blepharismidae, preferably Blepharisma japonicum, more preferably comprising a DNA sequence identical to SEQ ID No. 8 encoding HPPD defined by SEQ ID No. 9, (e) Rhodococcus, preferably Rhodococcus sp. (strain RHA1), isolate ro03041 more preferably comprising a DNA sequence identical to SEQ ID No. 10 encoding HPPD defined by SEQ ID No. 11 or Rhodococcus sp. (strain RHA1), isolate ro02040, more preferably com-

prising a DNA sequence identical to SEQ ID No. 12 encoding HPPD defined by SEQ ID No. 13, (f) Picrophilaceae, preferably Picrophilus torridus, more preferably comprising a DNA sequence identical to SEQ ID No. 14 encoding HPPD defined by SEQ ID No. 15, (g) Kordia, preferably Kordia 5 algicida, more preferably comprising a DNA sequence identical to SEQ ID No. 16 encoding HPPD defined by SEQ ID No. 17, or (II) comprising one or more mutated DNA sequences of HPPD encoding genes of the before defined organisms, preferably mutants as described in WO 2010/ 085705, U.S. Pat. No. 6,245,968, WO 2009/144079, PCT/ EP2010/070561, PCT/EP2010/070567, PCT/EP2010/ 070578, PCT/EP2010/070570, or PCT/EP2010/070575, characterized in that the plant contains one or more chimeric gene(s) (I) comprising a DNA sequence encoding hydrox- 15 yphenylpyruvate dioxygenase (HPPD) derived from a member of a group of organisms consisting of (a) Avena, preferably Avena sativa, more preferably comprising a DNA sequence identical to SEQ ID No. 1 encoding HPPD defined by SEO ID No. 2. (b) Pseudomonas, preferably Pseudomonas 20 fluorescens, more preferably comprising a DNA sequence identical to SEQ ID No. 3 encoding HPPD defined by SEQ ID No. 4, (c) Synechococcoideae, preferably Synechococcus sp., more preferably comprising a DNA sequence identical to SEQ ID No. 6, encoding HPPD defined by SEQ ID No. 7, (d) 25 Blepharismidae, preferably Blepharisma japonicum, more preferably comprising a DNA sequence identical to SEQ ID No. 8 encoding HPPD defined by SEQ ID No. 9, (e) Rhodococcus, preferably Rhodococcus sp. (strain RHA1), isolate ro03041 more preferably comprising a DNA sequence iden- 30 tical to SEQ ID No. 10 encoding HPPD defined by SEQ ID No. 11 or *Rhodococcus* sp. (strain RHA1), isolate ro02040, more preferably comprising a DNA sequence identical to SEQ ID No. 12 encoding HPPD defined by SEQ ID No. 13, (f) Picrophilaceae, preferably Picrophilus torridus, more 35 preferably comprising a DNA sequence identical to SEQ ID No. 14 encoding HPPD defined by SEQ ID No. 15, (g) Kordia, preferably Kordia algicida, more preferably comprising a DNA sequence identical to SEQ ID No. 16 encoding HPPD defined by SEQ ID No. 17, or (II) comprising one or more 40 mutated DNA sequences of HPPD encoding genes of the before defined organisms, preferably mutants as described in WO 2010/085705, U.S. Pat. No. 6,245,968, WO 2009/ 144079, PCT/EP2010/070561, PCT/EP2010/070567, PCT/ EP2010/070578, PCT/EP2010/070570, or PCT/EP2010/ 45 070575, which comprises a coding sequence as well as a heterologous regulatory element in the 5' and optionally in the 3' positions, which are able to function in a host organism, characterized in that the coding sequence comprises at least a nucleic acid sequence defining a gene encoding an HPPD of 50 the invention as previously described in order to perform a sufficiently high level of tolerance to N-(tetrazol-4-yl)- or N-(triazol-3-yl)arylcarboxamides as defined above or their

In one embodiment of this invention, the HPPD inhibitor in 55 the above method is a N-(tetrazol-4-yl)- or N-(triazol-3-yl) arylcarboxamides as defined above or their salts either alone or in combination with one or more HPPD inhibitor herbicides selected from the group consisting of triketone or pyrazolinate herbicide, preferably tembotrione, mesotrione, bicyclopyrone, tefuryltrione pyrasulfotole, pyrazolate, diketonitrile, benzofenap, or sulcotrione, particularly tembotrione.

salts.

The invention also relates to a method for selectively removing weeds or preventing the germination of weeds in a 65 field to be planted with plants or to be sown with seeds, or in a plant crop, by application of a N-(tetrazol-4-yl)- or N-(tria-

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zol-3-yl)arylcarboxamides as defined above or their salts to such field or plant crop, which method is characterized in that this N-(tetrazol-4-yl)- or N-(triazol-3-yl)arylcarboxamides as defined above or their salts is applied to plants which have been transformed in accordance with one or more chimeric gene(s) (I) comprising a DNA sequence encoding hydroxyphenylpyruvate dioxygenase (HPPD) derived from a member of a group of organisms consisting of (a) Avena, preferably Avena sativa, more preferably comprising a DNA sequence identical to SEQ ID No. 1 encoding HPPD defined by SEQID No. 2, (b) Pseudomonas, preferably Pseudomonas fluorescens, more preferably comprising a DNA sequence identical to SEQ ID No. 3 encoding HPPD defined by SEQ ID No. 4, (c) Synechococcoideae, preferably Synechococcus sp., more preferably comprising a DNA sequence identical to SEQ ID No. 6, encoding HPPD defined by SEQ ID No. 7, (d) Blepharismidae, preferably Blepharisma japonicum, more preferably comprising a DNA sequence identical to SEQ ID No. 8 encoding HPPD defined by SEQ ID No. 9, (e) Rhodococcus, preferably Rhodococcus sp. (strain RHA1), isolate ro03041 more preferably comprising a DNA sequence identical to SEQ ID No. 10 encoding HPPD defined by SEQ ID No. 11 or Rhodococcus sp. (strain RHA1), isolate ro02040, more preferably comprising a DNA sequence identical to SEQ ID No. 12 encoding HPPD defined by SEQ ID No. 13, (f) Picrophilaceae, preferably Picrophilus torridus, more preferably comprising a DNA sequence identical to SEQ ID No. 14 encoding HPPD defined by SEQ ID No. 15, (g) Kordia, preferably Kordia algicida, more preferably comprising a DNA sequence identical to SEQ ID No. 16 encoding HPPD defined by SEQ ID No. 17, or (II) comprising one or more mutated DNA sequences of HPPD encoding genes of the before defined organisms, preferably mutants as described in WO 2010/085705, U.S. Pat. No. 6,245,968, WO 2009/ 144079, PCT/EP2010/070561, PCT/EP2010/070567, PCT/ EP2010/070578, PCT/EP2010/070570, or PCT/EP2010/ 070575, either before sowing the crop (hereinafter named pre-planting application), before emergence of the crop (hereinafter named pre-emergence application), or after emergence of the crop (hereinafter named post-emergence application).

The invention also relates to a method for controlling in an area or a field which contains transformed seeds as previously described in the present invention, which method comprises applying, to the said area of the field, a dose of an N-(tetrazol-4-yl)- or N-(triazol-3-yl)arylcarboxamides as defined above or their salts which is toxic for the said weeds, without significantly affecting the seeds or plants containing one or more chimeric gene(s) (I) comprising a DNA sequence encoding hydroxyphenylpyruvate dioxygenase (HPPD) derived from a member of a group of organisms consisting of (a) Avena, preferably Avena sativa, more preferably comprising a DNA sequence identical to SEQ ID No. 1 encoding HPPD defined by SEQ ID No. 2, (b) Pseudomonas, preferably Pseudomonas fluorescens, more preferably comprising a DNA sequence identical to SEQ ID No. 3 encoding HPPD defined by SEQ ID No. 4, (c) Synechococcoideae, preferably Synechococcus sp., more preferably comprising a DNA sequence identical to SEQ ID No. 6, encoding HPPD defined by SEQ ID No. 7, (d) Blepharismidae, preferably Blepharisma japonicum, more preferably comprising a DNA sequence identical to SEQ ID No. 8 encoding HPPD defined by SEQ ID No. 9, (e) Rhodococcus, preferably Rhodococcus sp. (strain RHA1), isolate ro03041 more preferably comprising a DNA sequence identical to SEQ ID No. 10 encoding HPPD defined by SEQ ID No. 11 or Rhodococcus sp. (strain RHA1), isolate ro02040, more preferably comprising a DNA sequence identical to

SEQ ID No. 12 encoding HPPD defined by SEQ ID No. 13, (f) Picrophilaceae, preferably *Picrophilus torridus*, more preferably comprising a DNA sequence identical to SEQ ID No. 14 encoding HPPD defined by SEQ ID No. 15, (g) *Kordia*, preferably *Kordia algicida*, more preferably comprising a DNA sequence identical to SEQ ID No. 16 encoding HPPD defined by SEQ ID No. 17, or (II) comprising one or more mutated DNA sequences of HPPD encoding genes of the before defined organisms, preferably mutants as described in WO 2010/085705, U.S. Pat. No. 6,245,968, WO 2009/ 144079, PCT/EP2010/070561, PCT/EP2010/070567, PCT/EP2010/070578, PCT/EP2010/070570, or PCT/EP2010/070575

The present invention also relates to a method for cultivating the plants which have been transformed with one or more 15 chimeric gene(s) (I) comprising a DNA sequence encoding hydroxyphenylpyruvate dioxygenase (HPPD) derived from a member of a group of organisms, consisting of (a) Avena, preferably Avena sativa, more preferably comprising a DNA sequence identical to SEQ ID No. 1 encoding HPPD defined 20 by SEQID No. 2, (b) Pseudomonas, preferably Pseudomonas fluorescens, more preferably comprising a DNA sequence identical to SEQ ID No. 3 encoding HPPD defined by SEQ ID No. 4, (c) Synechococcoideae, preferably Synechococcus sp., more preferably comprising a DNA sequence identical to 25 SEQ ID No. 6, encoding HPPD defined by SEQ ID No. 7, (d) Blepharismidae, preferably Blepharisma japonicum, more preferably comprising a DNA sequence identical to SEQ ID No. 8 encoding HPPD defined by SEQ ID No. 9, (e) Rhodococcus, preferably Rhodococcus sp. (strain RHA1), isolate 30 ro03041 more preferably comprising a DNA sequence identical to SEQ ID No. 10 encoding HPPD defined by SEQ ID No. 11 or Rhodococcus sp. (strain RHA1), isolate ro02040, more preferably comprising a DNA sequence identical to SEQ ID No. 12 encoding HPPD defined by SEQ ID No. 13, 35 (f) Picrophilaceae, preferably Picrophilus torridus, more preferably comprising a DNA sequence identical to SEQ ID No. 14 encoding HPPD defined by SEQ ID No. 15, (g) Kordia, preferably Kordia algicida, more preferably comprising a DNA sequence identical to SEQ ID No. 16 encoding HPPD 40 defined by SEQ ID No. 17 or (II) comprising one or more mutated DNA sequences of HPPD encoding genes of the before defined organisms, preferably mutants as described in WO 2010/085705, U.S. Pat. No. 6,245,968, WO 2009/ 144079, PCT/EP2010/070561, PCT/EP2010/070567, PCT/ 45 EP2010/070578, PCT/EP2010/070570, or PCT/EP2010/ 070575, which method comprises planting seeds comprising a chimeric gene of before, in an area of a field which is appropriate for cultivating the said plants, and in applying, if weeds are present, a dose, which is toxic for the weeds, of one 50 or more N-(tetrazol-4-yl)- or N-(triazol-3-yl)arylcarboxamides as defined above or their salts to the said area of the said field, without significantly affecting the said transformed seeds or the said transformed plants, and in then harvesting the cultivated plants or plant parts when they reach the desired 55 stage of maturity and, where appropriate, in separating the seeds from the harvested plants.

In the above methods, the N-(tetrazol-4-yl)- or N-(triazol-3-yl)arylcarboxamides as defined above or their salts can be applied in accordance with the invention, either before sowing the crop, before the crop emerges or after the crop emerges.

Within the meaning of the present invention, "herbicide" is understood as being a herbicidally active substance on its own or such a substance which is combined with an additive which 65 alters its efficacy, such as, for example, an agent which increases its activity (a synergistic agent) or which limits its

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activity (a safener). It is of course to be understood that, for their application in practice, the above herbicides are combined, in a manner which is known per se, with the formulation adjuvants which are customarily employed in agricultural chemistry.

Thus, transgenic plants can be obtained which—in addition to the one or more chimeric gene(s) (I) comprising a DNA sequence encoding hydroxyphenylpyruvate dioxygenase (HPPD) derived from a member of a group of organisms, consisting of (a) Avena, preferably Avena sativa, more preferably comprising a DNA sequence identical to SEQ ID No. 1 encoding HPPD defined by SEQ ID No. 2, (b) Pseudomonas, preferably Pseudomonas fluorescens, more preferably comprising a DNA sequence identical to SEQ ID No. 3 encoding HPPD defined by SEQ ID No. 4, (c) Synechococcoideae, preferably Synechococcus sp., more preferably comprising a DNA sequence identical to SEQ ID No. 6, encoding HPPD defined by SEQ ID No. 7 (d) Blepharismidae, preferably Blepharisma japonicum, more preferably comprising a DNA sequence identical to SEQ ID No. 8 encoding HPPD defined by SEQ ID No. 9, (e) Rhodococcus, preferably Rhodococcus sp. (strain RHA1), isolate ro03041 more preferably comprising a DNA sequence identical to SEQ ID No. 10 encoding HPPD defined by SEQ ID No. 11 or Rhodococcus sp. (strain RHA1), isolate ro02040, more preferably comprising a DNA sequence identical to SEQ ID No. 12 encoding HPPD defined by SEQ ID No. 13, (f) Picrophilaceae, preferably Picrophilus torridus, more preferably comprising a DNA sequence identical to SEQ ID No. 14 encoding HPPD defined by SEQ ID No. 15, (g) Kordia, preferably Kordia algicida, more preferably comprising a DNA sequence identical to SEQ ID No. 16 encoding HPPD defined by SEQ ID No. 17 or (II) comprising one or more mutated DNA sequences of HPPD encoding genes of the before defined organisms, preferably mutants as described in WO 2010/ 085705, U.S. Pat. No. 6,245,968, WO 2009/144079, PCT/ EP2010/070561, PCT/EP2010/070567, PCT/EP2010/ 070578, PCT/EP2010/070570, or PCT/EP2010/070575have modified properties as the result of overexpression, suppression or inhibition of homologous (=natural) genes or gene sequences or expression of heterologous (=foreign) genes or gene sequences.

On the plants, plant cells or seeds containing one or more chimeric gene(s) (I) comprising a DNA sequence encoding hydroxyphenylpyruvate dioxygenase (HPPD) derived from a member of a group of organisms, consisting of (a) Avena, preferably Avena sativa, more preferably comprising a DNA sequence identical to SEQ ID No. 1 encoding HPPD defined by SEQ ID No. 2, (b) Pseudomonas, preferably Pseudomonas fluorescens, more preferably comprising a DNA sequence identical to SEQ ID No. 3 encoding HPPD defined by SEQ ID No. 4, (c) Synechococcoideae, preferably Synechococcus sp., more preferably comprising a DNA sequence identical to SEQ ID No. 6, encoding HPPD defined by SEQ ID No. 7, (d) Blepharismidae, preferably Blepharisma japonicum, more preferably comprising a DNA sequence identical to SEQ ID No. 8 encoding HPPD defined by SEQ ID No. 9, (e) Rhodococcus, preferably Rhodococcus sp. (strain RHA1), isolate ro03041 more preferably comprising a DNA sequence identical to SEQ ID No. 10 encoding HPPD defined by SEQ ID No. 11, or *Rhodococcus* sp. (strain RHA1), isolate ro02040, more preferably comprising a DNA sequence identical to SEQ ID No. 12 encoding HPPD defined by SEQ ID No. 13, (f) Picrophilaceae, preferably Picrophilus torridus, more preferably comprising a DNA sequence identical to SEQ ID No. 14 encoding HPPD defined by SEQ ID No. 15, (g) Kordia, preferably Kordia algicida, more preferably comprising

a DNA sequence identical to SEQ ID No. 16 encoding HPPD defined by SEQ ID No. 17 or (II) comprising one or more mutated DNA sequences of HPPD encoding genes of the before defined organisms, preferably mutants as described in WO 2010/085705, U.S. Pat. No. 6,245,968, WO 2009/ 144079, PCT/EP2010/070561, PCT/EP2010/070567, PCT/ EP2010/070578, PCT/EP2010/070570, or PCT/EP2010/ 070575, it is preferred to employ one or more of the N-(tetrazol-4-yl)- or N-(triazol-3-yl)arylcarboxamides as defined above or their salts in combination with one or more 10 further HPPD inhibitor herbicides belonging to the class of triketones, such as tembotrione, sulcotrione and mesotrione, or of the class of pyrazolinates, such as pyrasulfotole and topramezone, particularly selected from tembotrione, sulcotrione, topramezone, bicyclopyrone, tefuryltrione and mesotrione, more particularly tembotrione in transgenic crops which are also resistant to growth regulators such as, for example, 2,4-D or dicamba, or against herbicides which inhibit essential plant enzymes, for example acetolactate synthases (ALS), EPSP synthases, glutamine synthases (GS), 20 Acetyl-coenzyme A carboxylase (ACCase), or against herbicides from the group of the sulfonylureas, imidazolinones, glyphosate, glufosinate, ACCase inhibitors and analogous active substances.

The invention therefore also relates to the use of herbicides 25 applied to HPPD tolerant plants containing one or more chimeric gene(s) (I) comprising a DNA sequence encoding hydroxyphenylpyruvate dioxygenase (HPPD) derived from a member of a group of organisms consisting of (a) Avena, preferably Avena sativa, more preferably comprising a DNA 30 sequence identical to SEQ ID No. 1 encoding HPPD defined by SEQID No. 2, (b) Pseudomonas, preferably Pseudomonas fluorescens, more preferably comprising a DNA sequence identical to SEQ ID No. 3 encoding HPPD defined by SEQ ID No. 4, (c) Synechococcoideae, preferably Synechococcus sp., 35 more preferably comprising a DNA sequence identical to SEQ ID No. 6, encoding HPPD defined by SEQ ID No. 7, (d) Blepharismidae, preferably Blepharisma japonicum, more preferably comprising a DNA sequence identical to SEQ ID No. 8 encoding HPPD defined by SEQ ID No. 9, (e) Rhodo- 40 coccus, preferably Rhodococcus sp. (strain RHA1), isolate ro03041 more preferably comprising a DNA sequence identical to SEQ ID No. 10 encoding HPPD defined by SEQ ID No. 11 or Rhodococcus sp. (strain RHA1), isolate ro02040, more preferably comprising a DNA sequence identical to 45 SEQ ID No. 12 encoding HPPD defined by SEQ ID No. 13, (f) Picrophilaceae, preferably Picrophilus torridus, more preferably comprising a DNA sequence identical to SEQ ID No. 14 encoding HPPD defined by SEQ ID No. 15, (g) Kordia, preferably Kordia algicida, more preferably comprising 50 a DNA sequence identical to SEQ ID No. 16 encoding HPPD defined by SEQ ID No. 17, or (II) comprising one or more mutated DNA sequences of HPPD encoding genes of the before defined organisms, preferably mutants as described in WO 2010/085705, U.S. Pat. No. 6,245,968, WO 2009/ 55 144079, PCT/EP2010/070561, PCT/EP2010/070567, PCT/ EP2010/070578, PCT/EP2010/070570, or PCT/EP2010/ 070575 for controlling harmful plants (i.e. weeds) which also extends to transgenic crop plants comprising a second or more herbicide resistance(s) beside the resistance against one 60 or more N-(tetrazol-4-yl)- or N-(triazol-3-yl)arylcarboxamides as defined above or their salts.

N-(tetrazol-4-yl)- or N-(triazol-3-yl)arylcarboxamides as defined above or their salts can be formulated in various ways, depending on the prevailing biological and/or physico-65 chemical parameters. Examples of possible formulations are: wettable powders (WP), water-soluble powders (SP), water-

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soluble concentrates, emulsifiable concentrates (EC), emulsions (EW), such as oil-in-water and water-in-oil emulsions, sprayable solutions, suspension concentrates (SC), oil- or water-based dispersions, oil-miscible solutions, capsule suspensions (CS), dusts (DP), seed-dressing products, granules for application by broadcasting and on the soil, granules (GR) in the form of microgranules, spray granules, coated granules and adsorption granules, water-dispersible granules (WG), water-soluble granules (SG), ULV formulations, microcapsules and waxes.

These individual types of formulation are known in principle and are described, for example, in: Winnacker-Kuchler, "Chemische Technologie" [Chemical technology], volume 7, C. Hanser Verlag Munich, 4th Ed. 1986; Wade van Valkenburg, "Pesticide Formulations", Marcel Dekker, N.Y., 1973; K. Martens, "Spray Drying" Handbook, 3rd Ed. 1979, G. Goodwin Ltd. London.

The formulation auxiliaries required, such as inert materials, surfactants, solvents and further additives, are also known and are described, for example, in: Watkins, "Handbook of Insecticide Dust Diluents and Carriers", 2nd Ed., Darland Books, Caldwell N.J., H. v. Olphen, "Introduction to Clay Colloid Chemistry"; 2nd Ed., J. Wiley & Sons, N.Y.; C. Marsden, "Solvents Guide"; 2nd Ed., Interscience, N.Y. 1963; McCutcheon's "Detergents and Emulsifiers Annual", MC Publ. Corp., Ridgewood N.J.; Sisley and Wood, "Encyclopedia of Surface Active Agents", Chem. Publ. Co. Inc., N.Y. 1964; Schönfeldt, "Grenzflächenaktive Äthylenoxidaddukte" [Interface-active ethylene oxide adducts], Wiss. Verlagsgesell., Stuttgart 1976; Winnacker-Küchler, "Chemische Technologie" [Chemical technology], volume 7, C. Hanser Verlag Munich, 4th Ed. 1986.

Based on these formulations, it is also possible to prepare combinations with other pesticidally active substances such as, for example, insecticides, acaricides, herbicides, fungicides, and with safeners, fertilizers and/or growth regulators, for example in the form of a ready mix or a tank mix.

Wettable powders are preparations which are uniformly dispersible in water and which, besides the active substance, also comprise ionic and/or nonionic surfactants (wetters, dispersers), for example polyoxyethylated alkylphenols, polyoxyethylated fatty alcohols, polyoxyethylated fatty amines, fatty alcohol polyglycol ether sulfates, alkanesulfonates, alkylbenzenesulfonates, sodium lignosulfonate, sodium 2,2'-dinaphthylmethane-6,6'-disulfonate, sodium dibutylnaphthalenesulfonate or else sodium oleoylmethyltaurinate, besides a diluent or inert substance. To prepare the wettable powders, the herbicidally active substances are ground finely, for example in customary apparatuses such as hammer mills, blower mills and air-jet mills, and mixed with the formulation auxiliaries, either simultaneously or subsequently.

Emulsifiable concentrates are prepared by dissolving the active substance in an organic solvent, for example butanol, cyclohexanone, dimethylformamide, xylene or else higherboiling aromatics or hydrocarbons or mixtures of the organic solvents with addition of one or more ionic and/or nonionic surfactants (emulsifiers). Examples of emulsifiers which may be used are: calcium alkylarylsulfonates such as calcium dodecylbenzenesulfonate, or nonionic emulsifiers such as fatty acid polyglycol esters, alkylarylpolyglycol ethers, fatty alcohol polyglycol ethers, propylene oxide/ethylene oxide condensates, alkyl polyethers, sorbitan esters such as, for example, sorbitan fatty acid esters or polyoxyethylene sorbitan fatty acid esters.

Dusts are obtained by grinding the active substance with finely divided solid materials such as, for example, talcum, natural clays such as kaolin, bentonite and pyrophyllite, or diatomaceous earth.

Suspension concentrates can be water- or oil-based. They can be prepared for example by wet-grinding by means of commercially available bead mills, if appropriate with addition of surfactants as already listed above for example in the case of the other formulation types.

Emulsions, for example oil-in-water emulsions (EW), can be prepared for example by means of stirrers, colloid mills and/or static mixers using aqueous organic solvents and, if appropriate, surfactants, as have already been mentioned for example above for the other formulation types.

Granules can be prepared either by spraying the active substance onto adsorptive, granulated inert material, or by applying active substance concentrates to the surface of carriers such as sand, kaolinites or granulated inert material with the aid of stickers, for example polyvinyl alcohol, sodium 20 b) A wettable powder which is readily dispersible in water is polyacrylate or else mineral oils. Suitable active substances can also be granulated in the manner which is customary for the production of fertilizer granules, if desired as a mixture with fertilizers.

Water-dispersible granules are generally prepared by cus- 25 tomary methods such as spray drying, fluidized-bed granulation, disk granulation, mixing with high-speed stirrers, and extrusion without solid inert material.

To prepare disk granules, fluidized-bed granules, extruder granules and spray granules, see, for example, methods in 30 "Spray-Drying Handbook" 3rd ed. 1979, G. Goodwin Ltd., London; J. E. Browning, "Agglomeration", Chemical and Engineering 1967, pages 147 et seq.; "Perry's Chemical Engineers Handbook", 5th Ed., McGraw-Hill, New York 1973, p. 8-57.

For further details of the formulation of crop protection products see, for example, G. C. Klingman, "Weed Control as a Science", John Wiley and Sons, Inc., New York, 1961, pages 81-96 and J. D. Freyer, S. A. Evans, "Weed Control Handbook", 5th Ed., Blackwell Scientific Publications, Oxford, 40 e) Water-dispersible granules are obtained by mixing 1968, pages 101-103.

As a rule, the agrochemical preparations comprise from 0.1 to 99% by weight, in particular from 0.1 to 95% by weight, of compounds according to the invention. In wettable powders, the active substance concentration is, for example, approxi-45 mately 10 to 90% by weight, the remainder to 100% by weight being composed of customary formulation constituents. In the case of emulsifiable concentrates, the active substance concentration can amount to approximately 1 to 90, preferably 5 to 80% by weight. Formulations in the form of 50 f) Water-dispersible granules are also obtained by homogdusts comprise from 1 to 30% by weight of active substance, preferably in most cases from 5 to 20% by weight of active substance, and sprayable solutions comprise approximately from 0.05 to 80, preferably from 2 to 50% by weight of active substance. In the case of water-dispersible granules, the 55 active substance content depends partly on whether the active compound is in liquid or solid form, and on the granulation auxiliaries, fillers and the like which are being used. In the case of the water-dispersible granules, for example, the active substance content is between 1 and 95% by weight, prefer- 60 ably between 10 and 80% by weight.

In addition, the active substance formulations mentioned comprise, if appropriate, the auxiliaries which are conventional in each case, such as stickers, wetters, dispersants, emulsifiers, penetrations, preservatives, antifreeze agents, 65 solvents, fillers, carriers, colorants, antifoams, evaporation inhibitors, and pH and viscosity regulators.

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Based on these formulations, it is also possible to prepare combinations of an HPPD inhibitor herbicide of the class of triketones, such as tembotrione, sulcotrione and mesotrione, or of the class of pyrazolinates, such as pyrasulfotole and topramezone, particularly selected from tembotrione, sulcotrione, topramezone, bicyclopyrone, tefuryltrione and mesotrione, more particularly tembotrione with other pesticidally active substances such as, for example, insecticides, acaricides, herbicides, fungicides, and with safeners, fertilizers and/or growth regulators, for example in the form of a ready mix or a tank mix to be applied to HPPD tolerant plants according to the invention.

#### FORMULATION EXAMPLES

- a) A dust is obtained by mixing 10 parts by weight of a compound of the formula (I) and/or a salt thereof and 90 parts by weight of talc as inert substance and comminuting the mixture in a hammer mill.
- obtained by mixing 25 parts by weight of a compound of the formula (I) and/or a salt thereof, 64 parts by weight of kaolin-containing quartz as inert substance, 10 parts by weight of potassium lignosulfonate and 1 part by weight of sodium oleoylmethyltaurinate as wetting agent and dispersant, and grinding the mixture in a pinned-disk mill.
- c) A readily water-dispersible dispersion concentrate is obtained by mixing 20 parts by weight of a compound of the formula (I) and/or a salt thereof with 6 parts by weight of alkylphenol polyglycol ether (®Triton X 207), 3 parts by weight of isotridecanol polyglycol ether (8 EO) and 71 parts by weight of paraffinic mineral oil (boiling range for example about 255 to above 277° C.) and grinding the mixture in a ball mill to a fineness of below 5 microns.
- 35 d) An emulsifiable concentrate is obtained from 15 parts by weight of a compound of the formula (I) and/or a salt thereof, 75 parts by weight of cyclohexanone as solvent and 10 parts by weight of oxethylated nonylphenol as
- - 75 parts by weight of a compound of the formula (I) and/or a salt thereof,
  - 10 parts by weight of calcium lignosulfonate,
  - 5 parts by weight of sodium lauryl sulfate,
  - 3 parts by weight of polyvinyl alcohol and
  - 7 parts by weight of kaolin,
  - grinding the mixture in a pinned-disk mill, and granulating the powder in a fluidized bed by spraying on water as granulating liquid.
  - enizing and precomminuting, in a colloid mill,
    - 25 parts by weight of a compound of the formula (I) and/or a salt thereof,
    - 5 parts by weight of sodium 2,2'-dinaphthylmethane-6,6'disulfonate,
    - 2 parts by weight of sodium oleoylmethyltaurinate,
    - 1 part by weight of polyvinyl alcohol,
    - 17 parts by weight of calcium carbonate and
    - 50 parts by weight of water,
    - subsequently grinding the mixture in a bead mill and atomizing and drying the resulting suspension in a spray tower by means of a single-substance nozzle.

A further aspect of present invention is the use of one or more N-(tetrazol-4-yl)- or N-(triazol-3-yl)arylcarboxamides as defined above or their salts to HPPD tolerant plants containing one or more chimeric gene(s) (I) comprising a DNA sequence encoding hydroxyphenylpyruvate dioxygenase

(HPPD) derived from a member of a group of organisms, consisting of (a) Avena, preferably Avena sativa, more preferably comprising a DNA sequence identical to SEQ ID No. 1 encoding HPPD defined by SEQ ID No. 2, (b) Pseudomonas, preferably Pseudomonas fluorescens, more preferably 5 comprising a DNA sequence identical to SEO ID No. 3 encoding HPPD defined by SEO ID No. 4, (c) Synechococcoideae, preferably Synechococcus sp., more preferably comprising a DNA sequence identical to SEQ ID No. 6, encoding HPPD defined by SEQ ID No. 7, (d) Blepharismidae, preferably Blepharisma japonicum, more preferably comprising a DNA sequence identical to SEQ ID No. 8 encoding HPPD defined by SEQ ID No. 9, (e) Rhodococcus, preferably Rhodococcus sp. (strain RHA1), isolate ro03041 more preferably comprising a DNA sequence identical to SEQ ID No. 10 encoding HPPD defined by SEQ ID No. 11 or Rhodococcus sp. (strain RHA1), isolate ro02040, more preferably comprising a DNA sequence identical to SEQ ID No. 12 encoding HPPD defined by SEQ ID No. 13, (f) Picrophilaceae, prefer- 20 ably Picrophilus torridus, more preferably comprising a DNA sequence identical to SEQ ID No. 14 encoding HPPD defined by SEQ ID No. 15, (g) Kordia, preferably Kordia algicida, more preferably comprising a DNA sequence identical to SEQ ID No. 16 encoding HPPD defined by SEQ ID 25 No. 17 or (II) comprising one or more mutated DNA sequences of HPPD encoding genes of the before defined organisms, preferably mutants as described in WO 2010/ 085705, U.S. Pat. No. 6,245,968, WO 2009/144079, PCT/ EP2010/070561, PCT/EP2010/070567, PCT/EP2010/ 070578, PCT/EP2010/070570, or PCT/EP2010/070575 in combination with further HPPD inhibitor herbicide belonging to the class of triketones, such as tembotrione, sulcotrione and mesotrione, or belonging to the class of pyrazolinates, such as pyrasulfotole and topramezone, particularly selected from tembotrione, sulcotrione, topramezone, bicyclopyrone, tefuryltrione and mesotrione, more particularly tembotrione in mixed formulations or in the tank mix, and/or with further known active substances which are based on the inhibition of. 40 for example, acetolactate synthase, acetyl-CoA carboxylase, cellulose synthase, enolpyruvylshikimate-3-phosphate synthase, glutamine synthetase, p-hydroxyphenylpyruvate dioxygenase, phytoene desaturase, photosystem I, photosystem II, protoporphyrinogen oxidase, as are described in, for 45 example, Weed Research 26 (1986) 441-445 or "The Pesticide Manual", 14th edition, The British Crop Protection Council and the Royal Soc. of Chemistry, 2003 and the literature cited therein. Known herbicides or plant growth regulators which can be combined with the compounds according 50 to the invention are, for example, the following active substances (the compounds are either designated by the common name according to the International Organization for Standardization (ISO) or by a chemical name, if appropriate together with the code number) and always comprise all use 55 forms such as acids, salts, esters and isomers such as stereoisomers and optical isomers. In this context, one and in some cases also several use forms are mentioned by way of

acetochlor, acibenzolar, acibenzolar-5-methyl, acifluorfen, 60 acifluorfen-sodium, aclonifen, alachlor, allidochlor, alloxydim, alloxydim-sodium, ametryne, amicarbazone, amidochlor, amidosulfuron, aminocyclopyrachlor, aminopyralid, amitrole, ammonium sulfamate, ancymidol, anilofos, asulam, atrazine, azafenidin, azimsulfuron, aziprotryne, BAH-65 043, BAS-140H, BAS-693H, BAS-714H, BAS-762H, BAS-776H, BAS-800H, beflubutamid, benazolin, benazolin-ethyl,

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bencarbazone, benfluralin, benfuresate, bensulide, bensulfuron-methyl, bentazone, benzfendizone, benzobicyclon, benzofenap, benzofluor, benzoylprop, bifenox, bilanafos, bilanafos-sodium, bispyribac, bispyribac-sodium, bromacil, bromobutide, bromofenoxim, bromoxynil, bromuron, buminafos, busoxinone, butachlor, butafenacil, butamifos, butenachlor, butralin, butroxydim, butylate, cafenstrole, carbetamide, carfentrazone, carfentrazone-ethyl, chlomethoxyfen, chlorazifop, chlorazifop-butyl, chlorbromuron, chlorbufam, chlorfenac, chlorfenac-sodium, chlorfenprop, chlorflurenol, chlorflurenol-methyl, chloridazon, chlorimuron, chlorimuron-ethyl, chlormequat-chloride, chlornitrofen, chlorophthalim, chlorthal-dimethyl, chlorotoluron, chlorsulfuron, cinidon, cinidon-ethyl, cinmethylin, cinosulfuron, clethodim, clodinafop clodinafop-propargyl, clofencet, clomazone, clomeprop, cloprop, clopyralid, cloransulam, cloransulam-methyl, cumyluron, cyanamide, cyanazine, cyclanilide, cycloate, cyclosulfamuron, cycloxydim, cycluron, cyhalofop, cyhalofop-butyl, cyperquat, cyprazine, cyprazole, 2.4-D, 2.4-DB, daimuron/dymron, dalapon, daminozide, dazomet, n-decanol, desmedipham, desmetryn, detosyl-pyrazolate (DTP), di-allate, dicamba, dichlobenil, dichlorprop, dichlorprop-P, diclofop, diclofop-methyl, diclofop-P-methyl, diclosulam, diethatyl, diethatyl-ethyl, difenoxuron, difenzoquat, diflufenican, diflufenzopyr, diflufenzopyr-sodium, dimefuron, dikegulac-sodium, dimefuron, dimepiperate, dimethachlor, dimethametryn, dimethenamid, dimethenamid-P, dimethipin, dimetrasulfuron, dinitramine, dinoseb, dinoterb, diphenamid, dipropetryn, diquat, diquat-dibromide, dithiopyr, diuron, DNOC, eglinazineethyl, endothal, EPTC, esprocarb, ethalfluralin, ethametsulfuron-methyl, ethephon, ethidimuron, ethiozin, ethofumesate, ethoxyfen, ethoxyfen-ethyl, ethoxysulfuron, etobenzanid, F-5331, i.e. N-[2-chloro-4-fluoro-5-[4-(3fluoro-propyl)-4,5-dihydro-5-oxo-1H-tetrazol-1-yl]-phenyl] ethanesulfonamide, fenoprop, fenoxaprop, fenoxaprop-P, fenoxaprop-ethyl, fenoxaprop-P-ethyl, fentrazamide, fenuron, flamprop, flamprop-M-isopropyl, flamprop-M-methyl, flazasulfuron, florasulam, fluazifop, fluazifop-P, fluazifopbutyl, fluazifop-P-butyl, fluazolate, flucarbazone, flucarbazone-sodium, flucetosulfuron, fluchloralin, flufenacet (thiafluamide), flufenpyr, flufenpyr-ethyl, flumetralin, flumetsulam, flumiclorac, flumiclorac-pentyl, flumioxazin, flumipropyn, fluometuron, fluorodifen, fluoroglycofen, fluoroglycofen-ethyl, flupoxam, flupropacil, flupropanate, flupyrsulfuron, flupyrsulfuron-methyl-sodium, flurenol, flurenol-butyl, fluridone, fluorochloridone, fluoroxypyr, fluoroxypyr-meptyl, flurprimidol, flurtamone, fluthiacet, fluthiacet-methyl, fluthiamide, fomesafen, foramsulfuron, forchlorfenuron, fosamine, furyloxyfen, gibberellic glufosinate, L-glufosinate, L-glufosinate-ammonium, glufosinate-ammonium, glyphosate, glyphosate-isopropylammonium, H-9201, halosafen, halosulfuron, halosulfuron-methyl, haloxyfop, haloxyfop-P, haloxyfop-ethoxyethyl, haloxyfop-P-ethoxyethyl, haloxyfop-methyl, haloxyfop-Pmethyl, hexazinone, HNPC-9908, HOK-201, HW-02, imazamethabenz, imazamethabenz-methyl, imazapic, imazapyr, imazaquin, imazethapyr, imazosulfuron, inabenfide, indanofan, indoleacetic acid (IAA), 4-indol-3ylbutyric acid (IBA), iodosulfuron, iodosulfuron-methyl-sodium, ioxynil, isocarbamid, isopropalin, isoproturon, isouron, isoxaben, isoxachlortole, isoxaflutole, isoxapyrifop, KUH-043, KUH-071, karbutilate, ketospiradox, lactofen, lenacil, linuron, maleic hydrazide, MCPA, MCPB, MCPBmethyl, -ethyl and -sodium, mecoprop, mecoprop-sodium, mecoprop-butotyl, mecoprop-P-butotyl, mecoprop-P-dimethylammonium, mecoprop-P-2-ethylhexyl, mecoprop-P-

potassium, mefenacet, mefluidide, mepiquat-chloride, mesomesosulfuron-methyl, methabenzthiazuron. metam, metamifop, metamitron, metazachlor, methazole, methoxyphenone, methyldymron, 1-methylcyclopropene, methyl isothiocyanate, metobenzuron, metobenzuron, metobromuron, metolachlor, S-metolachlor, metosulam, metoxuron, metribuzin, metsulfuron, metsulfuron-methyl, molinate, monalide, monocarbamide, monocarbamide dihydrogen sulfate, monolinuron, monosulfuron, monuron, MT 128, MT-5950, i.e. N-[3-chloro-4-(1-methylethyl)-phenyl]-2-methylpentanamide, NGGC-011, naproanilide, napropamide, naptalam, NC-310, i.e. 4-(2,4-dichlorobenzoyl)-1-methyl-5benzyloxypyrazole, neburon, nicosulfuron, nipyraclofen, nitralin, nitrofen, nitrophenolat-sodium (isomer mixture), 15 nitrofluorfen, nonanoic acid, norflurazon, orbencarb, orthosulfamuron, oryzalin, oxadiargyl, oxadiazon, oxasulfuron, oxaziclomefone, oxyfluorfen, paclobutrazole, paraquat, paraquat dichloride, pelargonic acid (nonanoic acid), pendimethalin, pendralin, penoxsulam, pentanochlor, pentox- 20 azone, perfluidone, pethoxamid, phenisopham, phenmedipham, phenmedipham-ethyl, picloram, picolinafen, pinoxaden, piperophos, pirifenop, pirifenop-butyl, pretilachlor, primisulfuron, primisulfuron-methyl, probenazole, profluazol, procyazine, prodiamine, prifluraline, profoxydim, 25 prohexadione, prohexadione-calcium, prohydrojasmone, prometon, prometryn, propachlor, propanil, propaquizafop, propazine, propham, propisochlor, propoxycarbazone, propoxycarbazone-sodium, propyzamide, prosulfalin, prosulfocarb, prosulfuron, prynachlor, pyraclonil, pyraflufen, pyraflufen-ethyl, pyrazolynate (pyrazolate), pyrazosulfuronethyl, pyrazoxyfen, pyribambenz, pyribambenz-isopropyl, pyribenzoxim, pyributicarb, pyridafol, pyridate, pyriftalid, pyriminobac, pyriminobac-methyl, pyrimisulfan, pyrithiobac, pyrithiobac-sodium, pyroxasulfone, pyroxsulam, quinclorac, quinmerac, quinoclamine, quizalofop, quizalofopethyl, quizalofop-P, quizalofop-P-ethyl, quizalofop-Ptefuryl, rimsulfuron, saflufenacil, secbumeton, sethoxydim, siduron, simazine, simetryn, SN-106279, sulf-allate (CDEC), 40 sulfentrazone, sulfometuron, sulfometuron-methyl, sulfosate (glyphosate-trimesium), sulfosulfuron, SYN-523, SYP-249, SYP-298, SYP-300, tebutam, tebuthiuron, tecnazene, tepraloxydim, terbacil, terbucarb, terbuchlor, terbumeton, terbuthylazine, terbutryne, TH-547, thenylchlor, thiafluamide, 45 thiazafluoron, thiazopyr, thidiazimin, thidiazuron, thiencarbazone, thiencarbazone-methyl, thifensulfuron, thifensulfuron-methyl, thiobencarb, tiocarbazil, tralkoxydim, tri-allate, triasulfuron, triaziflam, triazofenamide, tribenuron, tribenuron-methyl, trichloroacetic acid (TCA), triclopyr, tridiphane, trietazine, trifloxysulfuron, trifloxysulfuron-sodium, trifluralin, triflusulfuron, triflusulfuron-methyl, trimeturon, trinexapac, trinexapac-ethyl, tritosulfuron, tsitodef, uniconazole, uniconazole-P, vernolate, ZJ-0166, ZJ-0270, ZJ-0543, 55 ZJ-0862 and the following compounds

The application rate required of an N-(tetrazol-4-yl)- or N-(triazol-3-yl)arylcarboxamides as defined above or their salts to be applied to areas where HPPD tolerant plants containing one or more chimeric gene(s) (I) comprising a DNA sequence encoding hydroxyphenylpyruvate dioxygenase (HPPD) derived from a member of a group of organisms consisting of (a) Avena, preferably Avena sativa, more preferably comprising a DNA sequence identical to SEQ ID No. 1 encoding HPPD defined by SEQ ID No. 2, (b) Pseudomonas, preferably Pseudomonas fluorescens, more preferably comprising a DNA sequence identical to SEQ ID No. 3 encoding HPPD defined by SEQ ID No. 4, (c) Synechococcoideae, preferably Synechococcus sp., more preferably comprising a DNA sequence identical to SEQ ID No. 6, encoding HPPD defined by SEQ ID No. 7, (d) Blepharismidae, preferably Blepharisma japonicum, more preferably comprising a DNA sequence identical to SEQ ID No. 8 encoding HPPD defined by SEQ ID No. 9, (e) Rhodococcus, preferably Rhodococcus sp. (strain RHA1), isolate ro03041 more preferably comprising a DNA sequence identical to SEQ ID No. 10 encoding HPPD defined by SEQ ID No. 11 or Rhodococcus sp. (strain RHA1), isolate ro02040, more preferably comprising a DNA sequence identical to SEQ ID No. 12 encoding HPPD defined by SEQ ID No. 13, (f) Picrophilaceae, preferably Picrophilus torridus, more preferably comprising a DNA sequence identical to SEQ ID No. 14 encoding HPPD defined by SEQ ID No. 15, (g) Kordia, preferably Kordia algicida, more preferably comprising a DNA sequence identical to SEQ ID No. 16 encoding HPPD defined by SEQ ID No. 17, or (II) comprising one or more mutated DNA sequences of HPPD encoding genes of the before defined organisms, preferably mutants as described in WO 2010/ 085705, U.S. Pat. No. 6,245,968, WO 2009/144079, PCT/

EP2010/070561, PCT/EP2010/070567, PCT/EP2010/070578, PCT/EP2010/070570, or PCT/EP2010/070575 are growing varies as a function of the external conditions such as temperature, humidity, the nature of the herbicide used and the like. It can vary within wide limits, for example between 0.001 and 1.0 kg/ha and more of active substance, but it is preferably between 0.005 and 750 g/ha.

In case of combined applications of N-(tetrazol-4-yl)- or N-(triazol-3-yl)arylcarboxamides as defined above or their salts herbicides that differ from N-(tetrazol-4-yl)- or N-(triazol-3-yl)arylcarboxamides as defined above or their salts to the HPPD tolerant plants containing one or more chimeric gene(s) (I) comprising a DNA sequence encoding hydroxvphenylpyruvate dioxygenase (HPPD) derived from a member of a group of organisms, consisting of (a) Avena, preferably Avena sativa, more preferably comprising a DNA sequence identical to SEQ ID No. 1 encoding HPPD defined by SEQID No. 2, (b) Pseudomonas, preferably Pseudomonas fluorescens, more preferably comprising a DNA sequence 20 identical to SEQ ID No. 3 encoding HPPD defined by SEQ ID No. 4, (c) Synechococcoideae, preferably Synechococcus sp., more preferably comprising a DNA sequence identical to SEQ ID No. 6, encoding HPPD defined by SEQ ID No. 7, (d) Blepharismidae, preferably Blepharisma japonicum, more 25 preferably comprising a DNA sequence identical to SEQ ID No. 8 encoding HPPD defined by SEQ ID No. 9, (e) Rhodococcus, preferably Rhodococcus sp. (strain RHA1), isolate ro03041 more preferably comprising a DNA sequence identical to SEQ ID No. 10 encoding HPPD defined by SEQ ID 30 No. 11 or Rhodococcus sp. (strain RHA1), isolate ro02040, more preferably comprising a DNA sequence identical to SEQ ID No. 12 encoding HPPD defined by SEQ ID No. 13, (f) Picrophilaceae, preferably Picrophilus torridus, more preferably comprising a DNA sequence identical to SEQ ID 35 No. 14 encoding HPPD defined by SEQ ID No. 15, (g) Kordia, preferably Kordia algicida, more preferably comprising a DNA sequence identical to SEQ ID No. 16 encoding HPPD defined by SEQ ID No. 17 or (II) comprising one or more mutated DNA sequences of HPPD encoding genes of the 40 before defined organisms, preferably mutants as described in WO 2010/085705, U.S. Pat. No. 6,245,968, WO 2009/ 144079, PCT/EP2010/070561, PCT/EP2010/070567, PCT/ EP2010/070578, PCT/EP2010/070570, or PCT/EP2010/ 070575, these mixtures may cause crop injury, based on the 45 presence herbicides different to N-(tetrazol-4-yl)- or N-(triazol-3-yl)arylcarboxamides as defined above or their salts. In order to reduce/eliminate such crop injuries, appropriate safeners may be added. These safeners, which are employed in antidotically active amounts, reduce the phytotoxic side 50 effects of herbicides/pesticides used, for example in economically important crops, such as cereals (wheat, barley, rye, corn, rice, millet), alfalfa, sugar beet, sugarcane, oilseed rape, cotton and soya spp., preferably corn, cotton, sugarbeet, or soya spp.

The safeners are preferably selected from the group consisting of:

A) compounds of the formula (S-I)

where the symbols and indices have the following meanings:

 $\mathbf{n}_{\mathcal{A}}$  is a natural number from 0 to 5, preferably from 0 to 3;  $\mathbf{R}_{\mathcal{A}}^{-1}$  is halogen, (C<sub>1</sub>-C<sub>4</sub>)-alkyl, (C<sub>1</sub>-C<sub>4</sub>)-alkoxy, nitro or (C<sub>1</sub>-C<sub>4</sub>)-haloalkyl;

 $W_A$  is an unsubstituted or substituted divalent heterocyclic radical from the group consisting of partially unsaturated or aromatic five-membered heterocycles having 1 to 3 hetero ring atoms of the type N or O, where at least one nitrogen atom and at most one oxygen atom is present in the ring, preferably a radical from the group consisting of  $(W_A^{-1})$  to  $(W_A^{-4})$ ,

$$(W_A^{-1})$$

$$R_A^{-5} R_A^{-6}$$

$$(W_A^2)$$

$$N = N$$

$$R_A^{7}$$

$$N = N$$

$$R_A^{7}$$

 $m_A$  is 0 or 1;

R<sub>A</sub><sup>2</sup> is OR<sub>A</sub><sup>3</sup>, SR<sub>A</sub><sup>3</sup> or NR<sub>A</sub><sup>3</sup>R<sub>A</sub><sup>4</sup> or a saturated or unsaturated 3- to 7-membered heterocycle having at least one nitrogen atom and up to 3 heteroatoms, preferably from the group consisting of 0 and S, which is attached via the nitrogen atom to the carbonyl group in (S-I) and which is unsubstituted or substituted by radicals from the group consisting of (C<sub>1</sub>-C<sub>4</sub>)-alkyl, (C<sub>1</sub>-C<sub>4</sub>)-alkoxy and optionally substituted phenyl, preferably a radical of the formula OR<sub>A</sub><sup>3</sup>, NHR<sub>A</sub><sup>4</sup> or N(CH<sub>3</sub>)<sub>2</sub>, in particular of the formula OR<sub>A</sub><sup>3</sup>;

R<sub>A</sub><sup>3</sup> is hydrogen or an unsubstituted or substituted aliphatic hydrocarbon radical having preferably a total of 1 to 18 carbon atoms;

R<sub>A</sub><sup>4</sup> is hydrogen, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>1</sub>-C<sub>6</sub>)-alkoxy or substituted or unsubstituted phenyl;

the distributed phenyl,  $R_A^5$  is H,  $(C_1-C_8)$ -alkyl,  $(C_1-C_8)$ -haloalkyl),  $(C_1-C_4)$ -alkoxy- $(C_1-C_8)$ -alkyl, cyano or  $COOR_A^9$  where  $R_A^9$  is hydrogen,  $(C_1-C_8)$ -alkyl,  $(C_1-C_8)$ -haloalkyl,  $(C_1-C_4)$ -alkoxy- $(C_1-C_4)$ -alkyl,  $(C_1-C_6)$ -hydroxyalkyl,  $(C_3-C_{12})$ -cycloalkyl or tri- $(C_1-C_1)$ -alkylsilyl.

tri- $(C_1$ - $C_4$ )-alkylsilyl;  $R_A^{\ 6}$ ,  $R_A^{\ 7}$ ,  $R_A^{\ 8}$  are identical or different and are hydrogen,  $(C_1$ - $C_8$ )-alkyl,  $(C_1$ - $C_8$ )-haloalkyl,  $(C_3$ - $C_{12}$ )-cycloalkyl or substituted or unsubstituted phenyl;

preferably:

a) compounds of the type of the dichlorophenylpyrazoline-3-carboxylic acid, preferably compounds such as ethyl 1-(2, 4-dichlorophenyl)-5-(ethoxycarbonyl)-5-methyl-2-pyrazoline-3-carboxylate (S1-1) ("mefenpyr-diethyl", see Pestic. Man.), and related compounds, as described in WO 91/07874;

b) derivatives of dichlorophenylpyrazolecarboxylic acid, preferably compounds such as ethyl 1-(2,4-dichlorophe-

nyl)-5-methylpyrazole-3-carboxylate (S1-2), ethyl 1-(2,4-dichlorophenyl)-5-isopropylpyrazole-3-carboxylate (S1-3), ethyl 1-(2,4-dichlorophenyl)-5-(1,1-dimethylethyl) pyrazole-3-carboxylate (S1-4), ethyl 1-(2,4-dichlorophenyl)-5-phenylpyrazole-3-carboxylate (S1-5) and related compounds, as described in EP-A-333 131 and EP-A-269 806:

- c) compounds of the type of the triazolecarboxylic acids, preferably compounds such as fenchlorazole(-ethyl ester), i.e. ethyl 1-(2,4-dichlorophenyl)-5-trichloro-methyl-(1H)-1,2,4-triazole-3-carboxylate (S1-6), and related compounds, as described in EP-A-174 562 and EP-A-346 620;
- d) compounds of the type of the 5-benzyl- or 5-phenyl-2-isoxazoline-3-carboxylic acid or the 5,5-diphenyl-2-isoxazoline-3-carboxylic acid, preferably compounds such as ethyl 5-(2,4-dichlorobenzyl)-2-isoxazoline-3-carboxylate (S1-7) or ethyl 5-phenyl-2-isoxazoline-3-carboxylate (S1-8) and related compounds, as described in WO 91/08202, or ethyl 5,5-diphenyl-2-isoxazolinecarboxylate (S1-9) ("isoxadifen-ethyl") or n-propyl 5,5-diphenyl-2-isoxazolinecarboxylate (S1-10) or ethyl 5-(4-fluorophenyl)-5-phenyl-2-isoxazoline-3-carboxylate (S1-11), as described in the patent application WO-A-95/07897.
- B) Quinoline derivatives of the formula (S-II)

$$(S-II)$$

$$(S-II)$$

$$(S-II)$$

$$(R_B^1)_{nB}$$

$$(R_B^2)$$

where the symbols and indices have the following meanings:  $R_B^3$  is halogen, (C<sub>1</sub>-C<sub>4</sub>)-alkyl, (C<sub>1</sub>-C<sub>4</sub>)-alkoxy, nitro or (C<sub>1</sub>-C<sub>4</sub>)-haloalkyl;

 $n_B$  is a natural number from 0 to 5, preferably from 0 to 3;  $R_B^2 O R_B^3$ ,  $S R_B^3$  or  $N R_B^3 R_B^4$  or a saturated

or unsaturated 3- to 7-membered heterocycle having at least one nitrogen atom and up to 3 heteroatoms, preferably from the group consisting of O and S, which is attached via the nitrogen atom to the carbonyl group in (S-II) and is unsubstituted or substituted by radicals from the group 45 consisting of (C<sub>1</sub>-C<sub>4</sub>)-alkyl, (C<sub>1</sub>-C<sub>4</sub>)-alkoxy or optionally substituted phenyl, preferably a radical of the formula OR<sub>B</sub><sup>3</sup>, NHR<sub>B</sub><sup>4</sup> or N(CH<sub>3</sub>)<sub>2</sub>, in particular of the formula OR<sub>\*</sub><sup>3</sup>:

R<sub>B</sub><sup>3</sup> is hydrogen or an unsubstituted or substituted aliphatic 50 hydrocarbon radical having preferably a total of 1 to 18 carbon atoms;

 $R_B^4$  is hydrogen, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>1</sub>-C<sub>6</sub>)-alkoxy or substituted or unsubstituted phenyl;

 $T_B$  is a  $(C_1$ —or  $C_2$ )-alkanediyl chain which is unsubstituted 55 or substituted by one or two  $(C_1$ - $C_4$ )-alkyl radicals or by  $[(C_1$ - $C_3)$ -alkoxy]carbonyl; preferably:

a) compounds of the type of the 8-quinolinoxyacetic acid (S2), preferably 1-methylhexyl (5-chloro-8-quinolinoxy) 60 acetate (common name "cloquintocet-mexyl" (S2-1) (see Pestic. Man.), 1,3-dimethylbut-1-yl (5-chloro-8-quinolinoxy)acetate (S2-2), 4-allyloxybutyl (5-chloro-8-quinolinoxy)acetate (S2-3), 1-allyloxyprop-2-yl (5-chloro-8quinolinoxy)acetate (S2-4),ethyl (5-chloro-8-(S2-5),(5-chloro-8quinolinoxy)acetate methyl quinolinoxy)acetate (S2-6),allyl (5-chloro-8quinolinoxy)acetate (S2-7), 2-(2-propylideneiminoxy)-1-ethyl (5-chloro-8-quinolinoxy)acetate (S2-8), 2-oxoprop-1-yl (5-chloro-8-quinolinoxy)acetate (S2-9) and related compounds, as described in EP-A-86 750, EP-A-94 349 and EP-A-191 736 or EP-A-0 492 366, and also their hydrates and salts, as described in WO-A-2002/034048.

b) Compounds of the type of the (5-chloro-8-quinolinoxy) malonic acid, preferably compounds such as diethyl (5-chloro-8-quinolinoxy)malonate, diallyl (5-chloro-8-quinolinoxy)malonate, methyl ethyl (5-chloro-8-quinolinoxy)malonate and related compounds, as described in EP-A-0 582 198.

C) Compounds of the formula (S-III)

(S-III)
$$R_{C}^{1} \xrightarrow{N} R_{C}^{2}$$

$$R_{C}^{3}$$

where the symbols and indices have the following meanings:  $R_C^{-1}$  is  $(C_1-C_4)$ -alkyl,  $(C_1-C_4)$ -haloalkyl,  $(C_2-C_4)$ -haloalkenyl,  $(C_3-C_7)$ -cycloalkyl, preferably dichloromethyl;

 $R_{C}^{\ 2},R_{C}^{\ 3}$  are identical or different and are hydrogen,  $(C_1-C_4)$ -alkyl,  $(C_2-C_4)$ -alkenyl,  $(C_2-C_4)$ -alkynyl,  $(C_1-C_4)$ -haloalkyl,  $(C_2-C_4)$ -haloalkenyl,  $(C_1-C_4)$ -alkylcarbamoyl- $(C_1-C_4)$ -alkyl,  $(C_2-C_4)$ -alkenylcarbamoyl- $(C_1-C_4)$ -alkyl,  $(C_1-C_4)$ -alkyl, dioxolanyl- $(C_1-C_4)$ -alkyl, thiazolyl, furyl, furylalkyl, thienyl, piperidyl, substituted or unsubstituted phenyl, or  $R_{C}^{\ 2}$  and  $R_{C}^{\ 3}$  together form a substituted or unsubstituted heterocyclic ring,

preferably an oxazolidine, thiazolidine, piperidine, morpholine, hexahydropyrimidine or benzoxazine ring;

Active compounds of the type of the dichloroacetamides which are frequently used as pre-emergence safener (soil-acting safeners), such as, for example, "dichlormid" (see Pestic.Man.) (=N,N-diallyl-2,2-dichloroacetamide), "R-29148" (=3-dichloroacetyl-2,2,5-trimethyl-1,3-oxazolidine from Stauffer), "R-28725" (=3-dichloroacetyl-2,2,-dimethyl-1,3-oxazolidine from Stauffer), "benoxacor" (see Pestic. Man.) (=4-dichloroacetyl-3,4-dihydro-3-methyl-2H-1,4-benzoxazine)

"PPG-1292" (=N-allyl-N-[(1,3-dioxolan-2-Amethyl]dichloroacetamide from PPG Industries),

"DKA-24" (=N-allyl-N-[(allylaminocarbonyl)methyl] dichloroacetamide from Sagro-Chem),

"AD-67" or "MON 4660" (=3-dichloroacetyl-1-oxa-3-aza-spiro[4,5]decane from Nitrokemia or Monsanto),

"TI-35" (=1-dichloroacetylazepane from TRI-Chemical RT) "diclonon" (dicyclonone) or "BAS145138" or "LAB145138" (=3-dichloroacetyl-2,5,5-trimethyl-1,3-diazabicyclo[4.3.0]nonane from BASF) and

"furilazole" or "MON 13900" (see Pestic. Man.) (=(RS)-3-dichloroacetyl-5-(2-furyl)-2,2-dimethyloxazolidine).

D) N-Acylsulfonamides of the formula (I-IV) and their salts

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in which

 $X_D$  is CH or N;

 $R_D^{-1}$  is CO— $NR_D^{-5}R_D^{-6}$  or NHCO— $R_D^{-7}$ ;

 $R_D^{-2}$  is halogen,  $(C_1-C_4)$ -haloalkyl,  $(C_1-C_4)$ -haloalkoxy, nitro,  $(C_1-C_4)$ -alkyl,  $(C_1-C_4)$ -alkoxy,  $(C_1-C_4)$ -alkylsulfonyl,  $(C_1-C_4)$ -alkoxycarbonyl or  $(C_1-C_4)$ -alkylcarbonyl;

 $R_D^{-3}$  is hydrogen,  $(C_1-C_4)$ -alkyl,  $(C_2-C_4)$ -alkenyl or  $(C_2-C_4)$ -

 ${\rm R}_D^{-4}$ is halogen, nitro, (C\_1-C\_4)-alkyl, (C\_1-C\_4)-haloalkyl, (C\_1-C<sub>4</sub>)-haloalkoxy, (C<sub>3</sub>-C<sub>6</sub>)-cycloalkyl, phenyl, (C<sub>1</sub>-C<sub>4</sub>)alkoxy, cyano, (C1-C4)-alkylthio, (C1-C4)-alkylsulfinyl,  $(C_1-C_4)$ -alkylsulfonyl,  $(C_1-C_4)$ -alkoxycarbonyl or  $(C_1$ -C<sub>4</sub>)-alkylcarbonyl;

 $R_D^{5}$  is hydrogen,  $(C_1-C_6)$ -alkyl,  $(C_3-C_6)$ -cycloalkyl,  $(C_2-C_6)$ alkenyl, (C<sub>2</sub>-C<sub>6</sub>)-alkynyl, (C<sub>5</sub>-C<sub>6</sub>)-cycloalkenyl, phenyl or 3- to 6-membered heterocyclyl containing V<sub>D</sub> heteroatoms from the group consisting of nitrogen, oxygen and sulfur, where the seven last-mentioned radicals are substituted by  $v_D$  substituents from the group consisting of halogen, ( $C_1$ - 20  $C_6$ )-alkoxy,  $(C_1-C_6)$ -haloalkoxy,  $(C_1-C_2)$ -alkylsulfinyl,  $(C_1-C_2)$ -alkylsulfonyl,  $(C_3-C_6)$ -cycloalkyl,  $(C_1-C_4)$ alkoxycarbonyl, (C<sub>1</sub>-C<sub>4</sub>)-alkylcarbonyl and phenyl and, in the case of cyclic radicals, also (C<sub>1</sub>-C<sub>4</sub>)-alkyl and (C<sub>1</sub>-C<sub>4</sub>)haloalkyl;

 $R_D^6$  is hydrogen,  $(C_1-C_6)$ -alkyl,  $(C_2-C_6)$ -alkenyl or  $(C_2-C_6)$ alkynyl, where the three last-mentioned radicals are substituted by v<sub>D</sub> radicals from the group consisting of halogen, hydroxy,  $(C_1-C_4)$ -alkyl,  $(C_1-C_4)$ -alkoxy and  $(C_1-C_4)$ alkylthio, or

 $R_D^{5}$  and  $R_D^{6}$  together with the nitrogen atom carrying them form a pyrrolidinyl or piperidinyl radical;

 $R_D$  is hydrogen,  $(C_1-C_4)$ -alkylamino, di- $(C_1-C_4)$ -alkylamino, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>3</sub>-C<sub>6</sub>)-cycloalkyl, where the 2 last-mentioned radicals are substituted by  $v_D$  substituents 35  $R_D^4$  is halogen,  $(C_1-C_4)$ -alkyl,  $(C_1-C_4)$ -alkoxy,  $CF_3$ from the group consisting of halogen, (C1-C4)-alkoxy, halogen-(C<sub>1</sub>-C<sub>6</sub>)-alkoxy and (C<sub>1</sub>-C<sub>4</sub>)-alkylthio and, in the case of cyclic radicals, also (C<sub>1</sub>-C<sub>4</sub>)-alkyl and (C<sub>1</sub>-C<sub>4</sub>)haloalkyl;

 $n_D$  is 0, 1 or 2;

 $m_D$  is 1 or 2;

 $v_D$  is 0, 1, 2 or 3;

from among these, preference is given to compounds of the type of the N-acylsulfonamides, for example of the formula 97/45016

(S-V)

in which

 $R_D^7$  is  $(C_1-C_6)$ -alkyl,  $(C_3-C_6)$ -cycloalkyl, where the 2 lastmentioned radicals are substituted by  $v_D$  substituents from the group consisting of halogen, (C<sub>1</sub>-C<sub>4</sub>)-alkoxy, halogen-(C1-C6)-alkoxy and (C1-C4)-alkylthio and, in the case of 60 cyclic radicals, also (C<sub>1</sub>-C<sub>4</sub>)-alkyl and (C<sub>1</sub>-C<sub>4</sub>)-haloalkyl;  $R_D^4$  is halogen,  $(C_1-C_4)$ -alkyl,  $(C_1-C_4)$ -alkoxy,  $CF_3$ ,

 $m_D$  is 1 or 2;

 $v_D$  is 0, 1, 2 or 3;

and also

acylsulfamoylbenzamides, for example of the formula (S-VI) below, which are known, for example, from WO 99/16744,

for example those in which

 $R_D^5$ =cyclopropyl and  $(R_D^4)$ =2-OMe ("cyprosulfamide", S3-1),

 $R_D^5$ =cyclopropyl and  $(R_D^4)$ =5-Cl-2-OMe (S3-2),

 $R_D^5$ =ethyl and  $(R_D^4)$ =2-OMe (S3-3),  $R_D^5$ =isopropyl and  $(R_D^4)$ =5-Cl-2-OMe (S3-4) and  $R_D^5$ =isopropyl and  $(R_D^4)$ =2-OMe (S3-5);

and also

compounds of the type of the N-acylsulfamoylphenylureas of the formula (S-VII), which are known, for example, from EP-A-365484

(S-VII)

(S-VI)

in which

 $R_D^{8}$  and  $R_D^{9}$  independently of one another are hydrogen,  $(C_1-C_8)$ -alkyl,  $(C_3-C_8)$ -cycloalkyl,  $(C_3-C_6)$ -alkenyl,  $(C_3-C_8)$ -alkenyl,  $(C_3-C$ C<sub>6</sub>)-alkynyl,

 $m_D$  is 1 or 2;

from among these in particular

1-[4-(N-2-methoxybenzoylsulfamoyl)phenyl]-3-methylurea.

40 1-[4-(N-2-methoxybenzoylsulfamoyl)phenyl]-3,3-dimethylurea.

1-[4-(N-4,5-dimethylbenzoylsulfamoyl)phenyl]-3-methylurea,

1-[4-(N-naphthoylsulfamoyl)phenyl]-3,3-dimethylurea,

(S-V) below, which are known, for example, from WO 45 G) active compounds from the class of the hydroxyaromatics and aromatic-aliphatic carboxylic acid derivatives, for example ethyl 3,4,5-triacetoxybenzoate, 3,5-dimethoxy-4hydroxybenzoic acid, 3,5-dihydroxybenzoic acid, 4-hydroxysalicylic acid, 4-fluorosalicyclic acid, 1,2-dihydro-2oxo-6-trifluoromethylpyridine-3-carboxamide,

2-hydroxycinnamic acid, 2,4-dichlorocinnamic acid, as described in WO 2004084631, WO 2005015994, WO 2006007981, WO 2005016001;

H) active compounds from the class of the 1,2-dihydroquinoxalin-2-ones, for example 1-methyl-3-(2-thienyl)-1,2dihydroquinoxalin-2-one, 1-methyl-3-(2-thienyl)-1,2-dihydroquinoxaline-2-thione, 1-(2-aminoethyl)-3-(2thienyl)-1,2-dihydroquinoxalin-2-one hydrochloride, 1-(2-methylsulfonylaminoethyl)-3-(2-thienyl)-1,2-dihydroquinoxalin-2-one, as described in WO 2005112630,

I) active compounds which, in addition to a herbicidal action against harmful plants, also have safener action on crop plants such as rice, such as, for example,

"dimepiperate" or "MY-93" (see Pestic. Man.) (=S-1-methyl-1-phenylethyl piperidine-1-thiocarboxylate), which is known as safener for rice against damage by the herbicide molinate,

"daimuron" or "SK 23" (see Pestic. Man.) (=1-(1-methyl-1phenylethyl)-3-p-tolyl-urea), which is known as safener for rice against damage by the herbicide imazosulfuron,

"cumyluron"="JC-940" (=3-(2-chlorophenylmethyl)-1-(1methyl-1-phenyl-ethyl)urea, see JP-A-60087254), which 5 is known as safener for rice against damage by a number of herbicides.

"methoxyphenone" or "NK 049" (=3,3'-dimethyl-4-methoxybenzophenone), which is known as safener for rice against damage by a number of herbicides,

"CSB" (=1-bromo-4-(chloromethylsulfonyl)benzene) (CAS Reg. No. 54091-06-4 from Kumiai), which is known as safener against damage by a number of herbicides in rice, K) compounds of the formula (S-IX),

as described in WO-A-1998/38856

in which the symbols and indices have the following mean-

 $R_K^{-1}$ ,  $R_K^{-2}$  independently of one another are halogen,  $(C_1-C_4)$ alkyl,  $(C_1-C_4)$ -alkoxy,  $(C_1-C_4)$ -haloalkyl,  $(C_1-C_4)$ -alkylamino, di-(C<sub>1</sub>-C<sub>4</sub>)-alkylamino, nitro;

 $A_K$  is  $COOR_K^3$  or  $COOR_K^4$ 

 $R_K^{3}$ ,  $R_K^{4}$  independently of one another are hydrogen, ( $C_{1}$ - 35  $C_4$ )-alkyl,  $(C_2-C_6)$ -alkenyl,  $(C_2-C_4)$ -alkynyl, cyanoalkyl, (C<sub>1</sub>-C<sub>4</sub>)-haloalkyl, phenyl, nitrophenyl, benzyl, halobenzyl, pyridinylalkyl or alkylammonium,

 ${\bf n}_K^{-1}$  is 0 or 1,  ${\bf n}_K^{-2}$ ,  ${\bf n}_K^{-3}$  independently of one another are 0, 1 or 2 preferably: methyl (diphenylmethoxy)acetate (CAS Reg. No.: 41858-19-9),

L) compounds of the formula (S-X), as described in WO A-98/27049

in which the symbols and indices have the following mean- 55 ings:

 $X_{I}$  is CH or N,

 $n_L$  is, in the case that X=N, an integer from 0 to 4 and, in the case that X=CH, an integer from 0 to 5,

 $R_L^1$  is halogen,  $(C_1-C_4)$ -alkyl,  $(C_1-C_4)$ -haloalkyl,  $(C_1-C_4)$ - 60 alkoxy,  $(C_1-C_4)$ -haloalkoxy, nitro,  $(C_1-C_4)$ -alkylthio,  $(C_1-C_4)$ -alkylthio,  $(C_1-C_4)$ -alkylthio,  $C_4$ )-alkylsulfonyl,  $(C_1-C_4)$ -alkoxycarbonyl, optionally substituted phenyl, optionally substituted phenoxy,

 $R_L^2$  is hydrogen or  $(C_1-C_4)$ -alkyl,

 $R_L^{23}$  is hydrogen,  $(C_1-C_8)$ -alkyl,  $(C_2-C_4)$ -alkenyl,  $(C_2-C_4)$ - 65 alkynyl or aryl, where each of the carbon-containing radicals mentioned above is unsubstituted or substituted by one

or more, preferably by up to three, identical or different radicals from the group consisting of halogen and alkoxy; or salts thereof.

M) active compounds from the class of the 3-(5-tetrazolylcarbonyl)-2-quinolones, for example 1,2-dihydro-4-hydroxy-1-ethyl-3-(5-tetrazolylcarbonyl)-2-quinolone (CAS Reg. No.: 219479-18-2), 1,2-dihydro-4-hydroxy-1methyl-3-(5-tetrazolylcarbonyl)-2-quinolone (CAS Reg. No.: 95855-00-8), as described in WO-A-1999000020,

N) compounds of the formula (S-XI) or (S-XII), as described in WO-A-2007023719 and WO-A-2007023764

> (S-XI) (S-XII)

in which

 ${\rm R}_N^{-1}$  is halogen, (C1-C4)-alkyl, methoxy, nitro, cyano, CF3, OCF<sub>3</sub>

Y, Z independently of one another are O or S,

 $n_N$  is an integer from 0 to 4,

 $R_N^2$  is  $(C_1-C_{16})$ -alkyl,  $(C_2-C_6)$ -alkenyl,  $(C_3-C_6)$ -cycloalkyl, aryl, benzyl, halobenzyl,

 $R_N^3$  is hydrogen,  $(C_1-C_6)$ alkyl,

40 O) one or more compounds from the group consisting of: 1,8-naphthalic anhydride,

O,O-diethyl S-2-ethylthioethyl phosphorodithioate (disulfoton),

4-chlorophenyl methylcarbamate (mephenate),

45 O,O-diethyl O-phenyl phosphorothioate (dietholate),

4-carboxy-3,4-dihydro-2H-1-benzopyran-4-acetic acid (CL-304415, CAS Reg. No.: 31541-57-8),

2-propenyl 1-oxa-4-azaspiro[4.5]decane-4-carbodithioate (MG-838, CAS Reg. No.: 133993-74-5),

50 methyl [(3-oxo-1H-2-benzothiopyran-4(3H)-ylidene)methoxy]acetate (from WO-A-98/13361; CAS Reg. No.: 205121-04-6),

cyanomethoxyimino(phenyl)acetonitrile (cyometrinil),

,3-dioxolan-2-ylmethoxyimino(phenyl)acetonitrile betrinil).

4'-chloro-2,2,2-trifluoroacetophenone O-1,3-dioxolan-2-ylmethyloxime (fluxofenim),

4,6-dichloro-2-phenylpyrimidine (fenclorim),

benzyl 2-chloro-4-trifluoromethyl-1,3-thiazole-5-carboxylate (flurazole).

2-dichloromethyl-2-methyl-1,3-dioxolane (MG-191), including the stereoisomers, and the salts customary in agri-

culture. A mixture N-(tetrazol-4-yl)- or N-(triazol-3-yl)arylcarboxamides as defined above or their salts to be applied in connection with other known active compounds, such as fungicides, insecticides, acaricides, nematicides, bird repellents,

plant nutrients and soil structure improvers to transgenic plants containing one or more chimeric gene(s) (I) comprising a DNA sequence encoding hydroxyphenylpyruvate dioxygenase (HPPD) derived from a member of a group of organisms, consisting of (a) Avena, preferably Avena sativa, 5 more preferably comprising a DNA sequence identical to SEQ ID No. 1 encoding HPPD defined by SEQ ID No. 2, (b) Pseudomonas, preferably Pseudomonas fluorescens, more preferably comprising a DNA sequence identical to SEQ ID No. 3 encoding HPPD defined by SEQ ID No. 4, (c) Syn- 10 echococcoideae, preferably Synechococcus sp., more preferably comprising a DNA sequence identical to SEQ ID No. 6, encoding HPPD defined by SEQ ID No. 7, (d) Blepharismidae, preferably Blepharisma japonicum, more preferably comprising a DNA sequence identical to SEQ ID No. 8 15 encoding HPPD defined by SEQ ID No. 9, (e) Rhodococcus, preferably Rhodococcus sp. (strain RHA1), isolate ro03041 more preferably comprising a DNA sequence identical to SEQ ID No. 10 encoding HPPD defined by SEQ ID No. 11 or Rhodococcus sp. (strain RHA1), isolate ro02040, more pref- 20 erably comprising a DNA sequence identical to SEQ ID No. 12 encoding HPPD defined by SEQ ID No. 13, (f) Picrophilaceae, preferably Picrophilus torridus, more preferably comprising a DNA sequence identical to SEQ ID No. 14 encoding HPPD defined by SEQ ID No. 15, (g) Kordia, 25 SEQ ID No. 2: Protein encoded by SEQ ID No. 1 preferably Kordia algicida, more preferably comprising a DNA sequence identical to SEQ ID No. 16 encoding HPPD defined by SEQ ID No. 17 or (II) comprising one or more mutated DNA sequences of HPPD encoding genes of the before defined organisms, preferably mutants as described in 30 WO 2010/085705, U.S. Pat. No. 6,245,968, WO 2009/ 144079, PCT/EP2010/070561, PCT/EP2010/070567, PCT/ EP2010/070578, PCT/EP2010/070570, or PCT/EP2010/ 070575 is likewise possible.

Some of the safeners are already known as herbicides and 35 accordingly, in addition to the herbicidal action against harmful plants, also act by protecting the crop plants. The weight ratios of herbicide (mixture) to safener generally depend on the herbicide application rate and the effectiveness of the safener in question and may vary within wide limits, for 40 example in the range from 200:1 to 1:200, preferably from 100:1 to 1:100, in particular from 20:1 to 1:20. The safeners may be formulated analogously to the compounds of the formula (I) or their mixtures with other herbicides/pesticides and be provided and used as a finished formulation or as a tank 45 mix with the herbicides.

The required application rate of the N-(tetrazol-4-vl)- or N-(triazol-3-yl)arylcarboxamides as defined above to areas where such transgenic plants containing one or more chimeric gene(s) (I) comprising a DNA sequence encoding 50 hydroxyphenylpyruvate dioxygenase (HPPD) derived from a member of a group of organisms, consisting of (a) Avena, preferably Avena sativa, more preferably comprising a DNA sequence identical to SEQ ID No. 1 encoding HPPD defined by SEQ ID No. 2, (b) Pseudomonas, preferably Pseudomonas 55 fluorescens, more preferably comprising a DNA sequence identical to SEQ ID No. 3 encoding HPPD defined by SEQ ID No. 4, (c) Synechococcoideae, preferably Synechococcus sp., more preferably comprising a DNA sequence identical to SEQ ID No. 6, encoding HPPD defined by SEQ ID No. 7, (d) 60 Blepharismidae, preferably Blepharisma japonicum, more preferably comprising a DNA sequence identical to SEQ ID No. 8 encoding HPPD defined by SEQ ID No. 9, (e) Rhodococcus, preferably Rhodococcus sp. (strain RHA1), isolate ro03041 more preferably comprising a DNA sequence identical to SEQ ID No. 10 encoding HPPD defined by SEQ ID No. 11 or Rhodococcus sp. (strain RHA1), isolate ro02040,

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more preferably comprising a DNA sequence identical to SEQ ID No. 12 encoding HPPD defined by SEQ ID No. 13, (f) Picrophilaceae, preferably Picrophilus torridus, more preferably comprising a DNA sequence identical to SEQ ID No. 14 encoding HPPD defined by SEQ ID No. 15, (g) Kordia, preferably Kordia algicida, more preferably comprising a DNA sequence identical to SEO ID No. 16 encoding HPPD defined by SEQ ID No. 17 or (II) comprising one or more mutated DNA sequences of HPPD encoding genes of the before defined organisms, preferably mutants as described in WO 2010/085705, U.S. Pat. No. 6,245,968, WO 2009/ 144079, PCT/EP2010/070561, PCT/EP2010/070567, PCT/ EP2010/070578, PCT/EP2010/070570, or PCT/EP2010/ 070575 varies depending, inter alia, on external conditions such as temperature, humidity and the type of herbicide used. It can vary within wide limits, for example between 0.001 and 10 000 g/ha or more of active substance; however, it is preferably between 0.5 and 5000 g/ha, particularly preferably between 0.5 and 1000 g/ha and very particularly preferably between 0.5 and 500 g/ha.

Sequences Listing

SEQ ID No. 1: Nucleic acid sequence encoding Avena sativa HPPD optimized for the expression in E. coli cells

SEQ ID No. 3: Nucleic acid sequence encoding Pseudomonas fluorescens HPPD mutated at position 336; mutation Gly=>Trp

SEQ ID No. 4: Protein encoded by SEQ ID No. 3

SEQ ID No. 5: Nucleic acid sequence encoding Pseudomonas fluorescens HPPD mutated at position 336; mutation Gly=>Trp; optimized for the expression in soybean and cotton

SEQ ID No. 6: Nucleic acid sequence encoding Synechococcus sp. HPPD

SEQ ID No. 7: Protein encoded by SEQ ID No. 6

SEQ ID No. 8: Nucleic acid sequence encoding Blepharisma iaponicum HPPD

SEO ID No. 9: Protein encoded by SEO ID No. 8

SEO ID No. 10: Nucleic acid sequence encoding *Rhodococ*cus sp. (strain RHA1), isolate ro03041 HPPD

SEQ ID No. 11: Protein encoded by SEQ ID No. 10

SEQ ID No. 12: Nucleic acid sequence encoding Rhodococcus sp. (strain RHA1), isolate ro02040 HPPD

SEQ ID No. 13: Protein encoded by SEQ ID No. 12

SEQ ID No. 14: Nucleic acid sequence encoding *Picrophilus* torridus HPPD

SEQ ID No. 15: Protein encoded by SEQ ID No. 14

SEQ ID No. 16: Nucleic acid sequence encoding Kordia algicida HPPD

SEQ ID No. 17: Protein encoded by SEQ ID No. 16

SEQ ID No. 18: Nucleic acid sequence encoding Synechococcus sp. HPPD optimized for the expression in soybean

SEQ ID No. 19: Nucleic acid sequence encoding Blepharisma japonicum HPPD optimized for the expression in soybean and cotton

SEQ ID No. 20: Nucleic acid sequence encoding Rhodococcus sp. (strain RHA1), isolate ro0341 HPPD optimized for the expression in soybean and cotton

SEQ ID No. 21: Nucleic acid sequence encoding Rhodococcus sp. (strain RHA1), isolate ro0240 HPPD optimized for the expression in soybean and cotton

SEQ ID No. 22: Nucleic acid sequence encoding Picropphilus torridus HPPD optimized for the expression in soybean and cotton

SEQ ID No. 23: Nucleic acid sequence encoding *Kordia* algicida HPPD optimized for the expression in soybean and cotton

I. Cloning of Specific Genes Coding for HPPDs from Various Organisms

A. Cloning of Avena HPPD (According WO02/46387)

A1—Cloning for Expression in E. coli Cells

cDNA coding for *Avena sativa* HPPD (AvHPPD; SEQ ID No. 1) was ordered at GeneArt (Regensburg, Germany) using the codon usage optimized for the expression of the gene in *Escherichia coli* cells. Upstream to the start codon ATG, was added the sequence corresponding to the recognition site of the restriction enzyme BamHI, and downstream to the stop codon was added the sequence stretch corresponding to the recognition site of the enzyme HindIII. The synthesized fragment was cloned using the restriction enzymes BamHI and HindIII in the previously opened vector pET32a (Novagen, Darmstadt, Germany), in order to obtain a fusion with the HisTag present in the vector at the N-Terminal extremity from the AvHPPD protein (SEQ ID No. 2). The resulting vector was named pET32a-AvHPPDe.

The protein was produced in *E. coli* and isolated following the standard protocol (as described for example in WO2009/144097).

A2—Cloning of the AvHPPD Gene in the pBin19 Binary Vector for Expression in Tobacco Plants

The cDNA corresponding to the gene coding for AvHPPD protein was cut out from the plasmid pET32a-AvHPPDe using the restriction enzymes NcoI and NotI. The overhang sequence resulting from the NotI restriction was filled up, and the consequent fragment was then cloned in the vector pRT100-OTPc (see for example Töpfer (1987), Nucleic Acids Res. 15: 5890, and PCT/EP2010/070561) previously restricted with the enzymes NcoI and SmaI. In this vector, the sequence coding for the AvHPPD was located downstream to the sequence corresponding to an optimized transit peptide responsible for the translocation of the protein to the chloroplast, itself downstream of the sequence corresponding to the 40 CaMV 35S promoter (see for example WO2009/144097). The nucleotide sequence corresponding to the expression cassette CaMV35S-OTPc-AvHPPDe-35S was restricted using the enzyme Sbf I and further cloned into the previously opened vector pBin19 with the same enzyme. The resulting 45 plasmid was named pBin19-CaMV35S-OTPc-AvHPPDe-355, and was used to transform Agrobacterium tumefaciens strain ATHV (see for example PCT/EP2010/070561). B Cloning of PfHPPD-G336W

B1—Cloning of PfHPPD-G336W for the Expression in E. 50

The gene coding for the mutant HPPD G336W (SEQ ID No. 3) (U.S. Pat. No. 6,245,968) from *Pseudomonas fluorescens* in the plasmid pKK233-2 (Clontech) (U.S. Pat. No. 6,245,968) was used as template for a PCR to add to the 55 sequence at it 5' extremity the sequence corresponding to the recognition site of the enzyme NcoI and at its 3' extremity the sequence corresponding to the recognition site of the enzyme XbaI. (see WO 2009/144079). The cloning was made in order to obtain a His tag fusion protein at the N-terminal extremity of the *Pseudomonas* HPPD G336W (SEQ ID No. 4) named "pSE420(RI)NX-PfG336W".

B2—Cloning of PfHPPD-G336W for the Expression in Tobacco Plants pFC0117

A binary vector for tobacco or soybean transformation is, 65 for example, constructed with the CaMV35 promoter driving the expression of the gene PfHPPD-G336W (SEQ ID No 5),

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with a codon usage optimized for the expression in dicotyle-doneous plants and at its 5' extremity was added a sequence coding for an OTP, and further upstream a sequence TEV (Tobacco etch virus) to improve the stability of the mRNA in plants followed by the CaMV35S terminator. Additionally, the transformation vector also contains a PAT gene cassette in which the gene is driven by a CaMV35S promoter and followed by a CaMV35S terminator for glufosinate based selection during the transformation process and a 2mEPSPS gene cassette in which the gene is driven by an histone promoter from *Arabidopsis* to confer tolerance to the herbicide glyphosate to the transformed plants. The binary vector was called pFCO117.

C.—Cloning of HPPD Obtained from *Blepharisma* and *Kordia* for Expression in *E. coli* or in Tobacco Plants

These clonings were done as described in PCT/EP2010/070567 (*Blepharisma japonicum*, FMP37, Example 1, named "pSE420(RI)NX-FMP37") and PCT/EP2010/070575 (*Kordia algicida*, FMP27, Example 1, named "pSE420(RI) NX-FMP27").

D—Production of HPPD Protein in *E. coli*, Purification Via His-Tag

The *Arabidopsis thaliana* AtHPPD coding sequence (1335 bp; Genebank AF047834; WO 96/38567) was initially cloned into the expression vector pQE-30 (QIAGEN, Hilden, Germany) in between the restriction sites of BamHI and HindIII. The obtained vector was called "pQE30-AtHPPD" (see WO 2009/144079).

The plasmid possesses the trp-lac (trc) promoter and the lacI<sup>g</sup> gene that provides the lac repressor in every E. coli host strain. The lac repressor binds to the lac operator (lacO) and restricts expression of the target gene; this inhibition can be alleviated by induction with Isopropyl  $\beta$ -D-1-thiogalactopyranoside (IPTG).

All above defined *E. coli* expression vectors were used to transform *Escherichia coli* BL21 cells (Merck, Darmstadt, Germany).

For the AtHPPD (*Arabidopsis thaliana* HPPD) that was used as reference see WO 2009/144079.

Expression of HPPD was carried out in *E. coli* K-12 BL21 containing pQE30-AtHPPD, pET32a-AvHPPDe, pSE420 (RI)NX-PfG336W, pSE420(RI)NX-FMP27 or pSE420(RI) NX-FMP37. Cells were allowed to grow until OD reached 0.5, then expression was initiated from the trp-lac (trc) promoter by induction with 1 mM IPTG which binds to the lac repressor and causes its dissociation from the lac operon. Expression was carried out over 15 h at 28° C.

To prepare the pre-starter culture, 2 mL of TB medium (100  $\mu g^*mL^{-1}$  carbenicillin) were inoculated with 50  $\mu L$  of an  $\it E.$   $\it coli$  K-12 BL21 glycerol stock. The pre-starter culture was incubated at 37° C. with shaking at 140 rpm for 15 h. 200  $\mu l$  of the pre-starter culture was used to initiate the starter culture (5 mL TB supplement with 100  $\mu g^*L^{-1}$ ), which was incubated 3 h at 37° C.

To prepare the main culture, 400 mL of TB medium (100  $\mu g^*mL^{-1}$  carbenicillin) were inoculated with 4 mL of the starter culture. This starter culture was incubated at 37° C. with shaking at 140 rpm until OD $_{600}$  0.5 was reached. Then recombinant protein expression was induced with 400  $\mu l$  of 1M IPTG solution. The cells were allowed to grow for an additional hour under these conditions, then the temperature was lowered to 28° C. and the culture was shaken at 140 rpm for 15 h. Cells were harvested by centrifugation at 6000×g for 15 min at 4° C. Then cell pellets were stored at  $-80^{\circ}$  C.

Isolation and Purification of  ${\rm His_6}$ -AtHPPD,  ${\rm His_6}$ -AvHPPD,  ${\rm His_6}$ -FMP27 and  ${\rm His_6}$ -FMP37 in Native Form

Lysis of Cells

Cells were lysed using Lysozyme, an enzyme that cleaves the 1,4-β-linkages between N-acetylmuramic acid and N-acetyl-D-glucosamine residues in peptidoglycan which forms the bacterial cell wall. Cell membranes were then disrupted by the internal pressure of the bacterial cell. In addition, the lysis buffer contained Benzonase® Nuclease, an endonuclease that hydrolyzes all forms of DNA and RNA without damaging proteins and thereby largely reduces viscosity of the cell lysate. Lysis under native conditions was carried out on ice.

For purification of His<sub>6</sub>-tagged proteins the QIAexpress® Ni-NTA Fast Start Kit was used following the user manual instruction

Purification of His<sub>6</sub>-tagged Proteins by Immobilized Metal 20 Ion Affinity Chromatography (IMAC)

The cleared cell lysate (10 mL) obtained after centrifugation of the lysis reaction was loaded onto a Ni-NTA Fast Start Column from the QIAexpress® Ni-NTA Fast Start Kit (Qiagen, Hilden, Germany) and purification was carried out  $^{25}$  according to the instruction manual. The  $\mathrm{His}_{6}\text{-tagged}$  protein was eluted with 2.5 mL of elution buffer.

Desalting of HPPD Solutions by Gel Filtration

HPPD solutions eluted from a Ni-NTA Fast Start Column 30 with 2.5 mL of elution buffer were applied to a Sephadex G-25 PD-10 column (GE Healthcare, Freiburg, Germany) following the user manual instruction. After the whole sample had entered the gel bed, elution was performed with 3.5 mL of storage buffer. 35

The HPPD solutions eluted from the desalting column were frozen at  $-80^{\circ}$  C. in 1 mL aliquots.

Determination of HPPD Protein Concentration Using the Bradford Protein Assay

Protein concentration was determined using the standard Bradford assay (Bradford, (1976), Anal Biochem 72: 248-254).

Determination of Purity of HPPD Solutions Using SDS-PAGE

The integrity of the eluted protein was checked by SDS-PAGE protein gel electrophoresis using the gel NuPAGE® Novex 4-12% Bis-Tris Gels (Invitrogen, Karlsruhe, Germany), approximately 10 µg of protein were loaded. 10 µL of Laemmli Sample Buffer was added to 1-10 µL of protein solution and the mixture was incubated at 90° C. for 10 min. After short centrifugation step, the whole mixture was loaded into a slot of an SDS gel previously fixed in a XCell Sure-Lock™ Novex Mini-Cell gel chamber filled with NuPAGE® MOPS SDS Running Buffer (diluted from the 20×-solution with ddH<sub>2</sub>O). A voltage of 150 was then applied to the gel chamber for 1 h. For staining of protein bands, the gel was immersed in Coomassie Brilliant Blue R-250 Staining Solution. For destaining of the polyacrylamide gel, it was immersed in Coomassie Brilliant Blue R-250 Destaining Solution until protein bands appear blue on a white gel.

Evaluation of Tolerance to HPPD Inhibitors of HPPD Enzymes

The HPPD activity was checked by the standard spectro- 65 photmetric assay (method extensively described in WO 2009/ 144079)

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E—Evaluation of Tolerance to HPPD Inhibitor Herbicide Determination of HPPD Activity in Presence of Several HPPD Inhibitors

Level of tolerance of HPPD proteins obtained from different organisms was determined according to the procedure as described in PCT/EP2010/070575.

On the below Table E1, it can be clearly seen, that the HPPDs obtained from *Kordia algicida* (FMP27), *Blepharisma japonicum* (FMP37), *Avena sativa* (AvHPPD), and from the mutated HPPD-G336W from *Pseudomonas fluorescens* showed superior level of tolerance to all tested HPPD inhibitors than the *Arabidopsis thaliana* HPPD (AtHPPD) at all tested HPPD inhibitor concentrations under identical experimental conditions.

Table E1: Determination of Percentage of Inhibition in Presence of 5.0×10<sup>-6</sup>M of Compound "4-137" Compared to the Activity Measured in Absence of Compound No. "4-137" With HPPD Originated from *Arabidopsis thaliana* (AtH-PPD), Mutated *Pseudomonas fluorescens* PfHPPD-G336W, *Avena sativa* (AvHPPD), FMP27 (Derived from *Kordia algicida*) and FMP37 (Derived from *Blepharisma japonicum*).

TABLE E1

5 _	Compound "4-137"									
	Proteins	Inhibition %								
	AtHPPD	100								
	PfHPPD-G336W	92								
)	AvHPPD	93								
	FMP27	90								
	FMP37	82								

These data show that the HPPD derived from *Kordia algi-* cida, *Blepharisma japonicum*, from *Avena sativa*, and the mutant HPPD-G336W of *Pseudomonas fluorescens* are less sensitive to N-(tetrazol-4-yl)- or N-(triazol-3-yl)arylcarboxamides compared to the inhibition observed with the HPPD derived from *Arabidopsis thaliana*, as shown for Compound "4-137"

F—Evaluation of Tolerance to HPPD Inhibitors of Tobacco Plants Expressing Tolerant HPPD Enzymes

Genes coding for the selected HPPD were obtained from a member of the group of organisms consisting of Avena sativa, 45 Pseudomonas fluorescens mutant G336W, Blepharisma japonicum and Kordia algicida and cloned into the binary vector pBin19 allowing the integration of DNA into the tobacco genome, under the control of the CaMV35S promoter. For the cloning procedures, see A2 above for Avena sativa, see B2 above for Pseudomonas fluorescens, mutant G336W, see PCT/EP2010/070567 (published as WO 2011/ 076882, Example 5; for Blepharisma japonicum (FMP37) and see PCT/EP2010/070575, Example 5 for Kordia algicida (FMP27). Between the sequence corresponding to the promoter and the sequence coding for the HPPD a DNA sequence coding for a transit peptide to the chloroplast was inserted, in order to add at the N-terminal extremity of the protein a target signal to allow the localization of the HPPD protein into the plant chloroplast.

Seeds harvested from TO transformants will be put on standard soil for germination. Three weeks later plantlets (T1) will be transferred to single pots and grown under standard cultivation conditions (PCT/EP2010/070575, published as WO 2011/076889). Two weeks later, plants were sprayed with several N-(tetrazol-4-yl)- or N-(triazol-3-yl)arylcar-boxamides as defined above. For example, one week after application of compounds "5-148", "4-137", "4-253",

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"4-278", and "4-25" the symptoms due to the application of the herbicides were evaluated and the transgenic plants showed good tolerance as demonstrated in below Tables F1 to F5, respectively.

Tables F1 to F5: Evaluation of the Symptoms Observed Due to the Application of the Herbicides on Transgenic Tobacco Plants, Expressing the Mutant *Pseudomonas fluorescens* HPPD G336W, the *Avena* HPPD (AvHPPD), the HPPD from *Kordia algicida* FMP27 or the HPPD from *Blepharisma japonicum* (FMP37), Compared to Non-Tranformed Tobacco Plants ("Wt").

The herbicides (with "g Al/ha" meaning "g active ingredient/ha") were applied on 8 to 10 plants originated from 1 to 3 independent transgenic events per transgene.

The symptoms were evaluated and classified as following:

- 3=Very strong damage
- 2=Strong damage
- 1=Light and transient damage
- 0=No damage

TABLE F1

The compound "5-148"; (WP20 formulation) was mixed with 2 l/ha oilseed rape methyl ester and 1 kg/ha ammonium sulfate, then applied on the transgenic plants using a standard herbicide sprayer at a rate of 25 g Al/ha.

			Dam	age	
HPPD	Line	0	1	2	3
Wt		0	0	0	10
PfHPPD-G336W	646	0	3	2	4
AvHPPD	656	2	1	3	4
	659	3	1	0	6
	699	1	1	1	7
FMP27	733	3	1	4	2
	734	4	2	0	4
	735	0	4	4	2
FMP37	749	2	3	2	3
	754	2	1	5	2
	795	1	0	6	3

# TABLE F2

The compound "4-137"; 25 g/ha (WP20 formulation) was mixed with 2 l/ha oilseed rape methyl ester and 1 kg/ha ammonium sulfate, then applied on the transgenic plants using a standard herbicide sprayer at a rate of 25 g Al/ha.

			Damage							
HPPD	Line	0	1	2	3					
Wt		0	0	0	10					
PfHPPD-G336W	646	5	2	0	3					
AvHPPD	656	3	1	1	5					
	659	3	3	0	4					
	699	1	2	0	7					
FMP27	733	4	0	1	5					
	734	5	2	0	3					
	735	3	0	4	3					
FMP37	749	8	2	0	(					
	754	0	1	1	8					
	795	2	0	2	(					

TABLE F3

The compound "4-253"; 50 g/ha (WP20 formulation) was mixed with 2 l/ha oilseed rape methyl ester and 1 kg/ha ammonium sulfate, then applied on the transgenic plants using a standard herbicide sprayer at a rates of 50 g Al/ha.

				Dama	ige	
	HPPD	Line	0	1	2	3
, –	Wt		0	0	0	10
	PfHPPD-G336W	646	9	0	0	1
	AvHPPD	659	3	0	0	7
	FMP27	733	4	4	2	0
		734	6	1	2	1
		735	2	5	0	3
	FMP37	749	7	2	0	1
		754	6	2	1	1
		795	3	4	0	3

## TABLE F4

The compound "4-278"; 50 g/ha (WP20 formulation) was mixed with 2 l/ha oilseed rape methyl ester and 1 kg/ha ammnium sulfate, then applied on the transgenic plants using a standard herbicides sprayer at a rate of 50 g Al/ha.

			Dam	age	
HPPD	Line	0	1	2	3
Wt		0	0	0	10
PfHPPD-G336W	646	6	3	0	
AvHPPD	659	9	0	0	
FMP27	733	6	4	0	
	734	6	3	0	
	735	6	2	0	:
FMP37	749	5	4	0	
	754	5	4	0	
	795	4	3	0	

## TABLE F5

The compound "4-25"; 50 g/ha (WP20 formulation) was mixed with 2 l/ha oilseed rape methyl ester and 1 kg/ha ammnium sulfate, then applied on the transgenic plants using a standard herbicides sprayer at a rate of 50 g Al/ha

		Dama	age	
Line	0	1	2	3
	0	0	0	10
646	10	0	0	0
659	6	1	0	3
733	9	1	0	0
734	6	3	0	1
735	5	3	0	0
749	8	0	0	2
754	3	5	1	1
795	7	0	1	2
	646 659 733 734 735 749 754	0 646 10 659 6 733 9 734 6 735 5 749 8 754 3	Line 0 1  0 0 646 10 0 659 6 1 733 9 1 734 6 3 735 5 3 749 8 0 754 3 5	0 0 0 646 10 0 0 659 6 1 0 733 9 1 0 734 6 3 0 735 5 3 0 749 8 0 0 754 3 5 1

These data show that tobacco plants of all the tested independent lines expressing the HPPD derived from *Kordia algicida, Blepharisma japonicum*, from *Avena sativa* and the mutant "G336W" of *Pseudomonas fluorescens* HPPD are less sensitive at agronomically relevant dose to N-(tetrazol-4-yl)- or N-(triazol-3-yl)arylcarboxamides than wild type (wt) plants as shown for Compounds "5-148", "4-137", "4-253", "4-278", and "4-25".

65 G—Evaluation of Tolerance to HPPD Inhibitors of Soybean Plants Expressing Tolerant HPPD Enzymes, *Pseudomonas fluorescens* "G336W" Mutant, FMP 27, and FMP 37

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Genes coding for the selected HPPD were obtained from a member of the group of organisms consisting of *Blepharisma japonicum* and *Kordia algicida* and cloned into an appropriate binary vector allowing the integration of DNA into the soybean genome, under the control of the CaMV35S promoter. For the respective cloning procedures, see WO2011076882 (PCT/EP2010/070567), Example 9; for *Blepharisma japonicum* (FMP37) and WO2011076889 (PCT/EP2010/070575), Example 9 for *Kordia algicida* (FMP27).

Between the sequence corresponding to the promoter and the sequence coding for the HPPD a DNA sequence coding for a transit peptide to the chloroplast was inserted, in order to add at the N-terminal extremity of the protein a target signal to allow the localization of the HPPD protein into the plant chloroplast. By using the vectors "pFCO112" (Blepharisma japonicum, WO2011076882), pFCO116 (Korida algicida, WO2011076889), and pFCO117" (see Example B2, above), soybean transformation was achieved as described in Example 10 of WO2011076882 (PCT/EP2010/070567) for Blepharisma japonicum (FMP37) and WO2011076889 (PCT/EP2010/070575) for Kordia algicida (FMP27). Seeds from TO events showing tolerance to tembotrione were harvested.

T1 Soybean seeds were transferred to single pots and <sup>25</sup> grown under standard cultivation conditions, see WO2011076882.

Two weeks later, plants will be sprayed with several N-(tet-razol-4-yl)- or N-(triazol-3-yl)arylcarboxamides as defined above. For example, one week after application of compounds "5-148", "4-137", "4-253", "4-278", and "4-25" the symptoms due to the application of the herbicides will be evaluated and the transgenic plants will show superior tolerance compared to the wild-type soybean plants.

H—Evaluation of Tolerance to HPPD Inhibitors of Cotton Plants Expressing Tolerant HPPD Enzymes FMP 27 and FMP 37

Genes coding for the selected HPPD were obtained from a member of the group of organisms consisting of *Blepharisma japonicum* and *Kordia algicida* and cloned into an appropriate binary vector allowing the integration of DNA into the cotton genome, under the control of the CaMV35S promoter. For the respective cloning procedures, see WO2011076882 (PCT/EP2010/070567), Example 11; for *Blepharisma japonicum* (FMP37) and WO2011076889 (PCT/EP2010/070575), Example 11 for *Kordia algicida* (FMP27).

Between the sequence corresponding to the promoter and the sequence coding for the HPPD a DNA sequence coding for a transit peptide to the chloroplast was inserted, in order to add at the N-terminal extremity of the protein a target signal to allow the localization of the HPPD protein into the plant chloroplast. Cotton transformation was achieved as described in Example 12 of WO2011076882 (PCT/EP2010/070567) for *Blepharisma japonicum* (FMP37) and WO2011076889 (PCT/EP2010/070575) for *Kordia algicida* (FMP27). Seeds from T0 events showing tolerance to tembotrione were harvested.

T1 Cotton seeds were transferred to single pots and grown under standard cultivation conditions, see WO2011076882 (PCT/EP2010/070567) for *Blepharisma japonicum* (FMP37) and WO2011076889 (PCT/EP2010/070575) for *Kordia algicida* (FMP27).

At least 4 weeks later, plants will be sprayed with several N-(tetrazol-4-yl)- or N-(triazol-3-yl)arylcarboxamides as defined above. For example, one week after application of compounds "5-148", "4-137", "4-253", "4-278", and "4-25" the symptoms due to the application of the herbicides will be evaluated and the transgenic plants will show superior tolerance compared to the wild-type cotton plants.

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Lys	Arg	Leu	Leu	Leu	Gln 310	Ile	Phe	Ser	Glu	Thr 315	Leu	Met	Gly	Pro	Val 320	
Phe	Phe	Glu	Phe	Ile	Gln	Arg	Lys	Gly	Asp	Asp	Gly	Phe	Gly	Glu	Trp	

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acct	gtg	eeg o	cttco	ccag	at to	cagga	agttt	ttç	gcato	gece	atg	gegge	ecc (	gggca	attcag	660
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gcg	etecç	gtt (	etge	cctt	gg g	caggo	ccato	e te	ctgg	caag	acct	ggt	gga 🤅	gcago	cagatc	840
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65	_				70			_		75			_		80	
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Val 145	Leu	Asn	Val	Glu	Gln 150	Gly	Ser	Leu	Gln	Ala 155	Ala	Ala	Asp	Trp	Tyr 160	
	Arg	Val	Leu	_	Trp	Arg	Arg	Leu	Tyr 170	Arg	Tyr	Ser	Ile	Gly		
		_	au-	165	au-	_						_		175		
Ala	Thr	Ser	Gly 180	Leu	Glu	Ser	Val	Val 185	Val	Gly	Asp	Pro	Glu 190	Ala	Gly	
Ile	Gln	Trp 195	Ala	Ile	Asn	Glu	Pro 200	Thr	Cys	Ala	Ala	Ser 205	Gln	Ile	Gln	
Glu	Phe 210	Leu	His	Ala	His	Gly 215	Gly	Pro	Gly	Ile	Gln 220	His	Ala	Ala	Leu	
His 225	Ser	Ser	Asp	Ile	Val 230	Ala	Ser	Leu	Arg	Arg 235	Leu	Arg	Gln	Gly	Gly 240	

Val Asp Phe Leu Gln Val Ala Pro Gln Tyr Tyr Thr Ser Leu Glu Arg

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Asn His Val Val Ile Ala Phe Thr Ser Ala Leu Thr Pro Glu Asp Asn
Glu Val Asn Arg His Val Gly Lys His Ser Asp Gly Val Gln Asp Ile
Ala Phe Ser Val Ser Asp Ala Arg Gly Met Tyr Glu Lys Ala Ile Ala
Lys Gly Cys Lys Ser Phe Arg Glu Pro Gln Val Leu Gln Asp Gln Phe
Gly Ser Val Ile Ile Ala Ser Leu Gln Thr Tyr Gly Asp Thr Val His
Thr Leu Val Gln Asn Val Asp Tyr Thr Gly Pro Phe Leu Pro Gly Phe
Arg Ala Ile Thr Lys Asp Asp Pro Leu Asn Ser Ala Phe Pro Gln Val
Asn Tyr Asp Ile Ile Asp His Val Val Gly Asn Gln Pro Gly Gly Asp
                       185
Met Thr Pro Thr Val Glu Trp Tyr Glu Lys Tyr Leu Glu Phe His Arg
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Tyr Trp Ser Ala Asp Glu Ser Val Ile His Thr Asp Tyr Ser Ala Leu
Arg Ser Val Val Val Ala Asp Trp Asp Glu Val Ile Lys Met Pro Ile
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Asn Glu Pro Ala Asp Gly Leu Arg Lys Ser Gln Ile Gln Glu Tyr Val
Glu Tyr Tyr Gly Gly Ala Gly Val Gln His Ile Ala Leu Lys Val Asn
Asp Ile Ile Ser Val Ile Ser Thr Leu Arg Ala Arg Gly Val Glu Phe
Leu Glu Val Pro Pro Lys Tyr Tyr Asp Ser Leu Arg Lys Arg Leu Ala
His Ser Ala Val Gln Ile Glu Glu Asp Leu Lys Arg Ile Glu Asp Leu
His Ile Leu Val Asp Phe Asp Asp Asp Gly Tyr Leu Leu Gln Ile Phe $325$
Thr Lys Pro Val Glu Asp Arg Pro Thr Leu Phe Tyr Glu Ile Ile Gln
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Val Gly Asn Ala Thr Gln Thr Ala His Tyr Phe Gln Ser Ala Phe Gly 50 55 60	
Met Thr Leu Val Ala Tyr Ser Gly Pro Thr Thr Gly Asn Arg Asp His 65 70 75 80	

Ala Val Asn Pro Asp Ser Pro Leu Ile Asp His His Arg Thr His Gly 105

Asp Gly Val Val Asp Ile Ala Leu Ala Val Pro Asp Val Asp Lys Cys 115 120 125

Ile Ala His Ala Arg Ala Gln Gly Ala Thr Val Leu Asp Glu Pro His

 $\hbox{Asp Val Thr Asp Asp His Gly Thr Val Arg Leu Ala Ala Ile Ala Thr } \\$ 150 155

Tyr Gly Asp Thr Arg His Thr Leu Val Asp Arg Ser His Tyr Thr Gly

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Asp	Gly	Ala 195	Pro	Lys	Arg	Leu	Phe 200	Gln	Ala	Leu	Asp	His 205	Val	Val	Gly	
Asn	Val 210	Glu	Leu	Gly	Lys	Met 215	Asp	His	Trp	Val	Asp 220	Phe	Tyr	Asn	Arg	
Val 225	Met	Gly	Phe	Thr	Asn 230	Met	Ala	Glu	Phe	Val 235	Gly	Glu	Asp	Ile	Ala 240	
Thr	Asp	Tyr	Ser	Ala 245	Leu	Met	Ser	Lys	Val 250	Val	Ser	Asn	Gly	Asn 255	His	
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Ala 305	Glu	Gly	Val	Glu	Phe	Leu	Ala	Thr	Pro	Asp 315	Ser	Tyr	Tyr	Glu	Asp 320	
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Arg Val Met Gly Phe Thr Asn Met Ala Glu Phe Val Gly Asp Asp Ile 225 230 235 240	
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Asp 225	Asp	Ile	Ser	Thr	Asp 230	Phe	Thr	Ala	Leu	Met 235	Ser	Lys	Val	Met	Ser 240	
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Glu	Glu	Leu	Lys	Lys 325	His	Gly	Ile	Leu	Ile 330	Asp	Arg	Asp	Glu	Glu 335	Gly	
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aaactttttg	atgaggctga	ggatttcctt	ccacttctcg	gaactgatta	cgttgagctt	120			
tatgtgggaa	acgcaaagca	atctgctcac	ttctacaaga	ctgctttcgg	atttcaatct	180			
gaggettaeg	ctggacttga	aactggactt	actgataggg	tttcctacgt	gcttaagcag	240			
gataagatta	ggcttgtgct	cactactcca	cttggaaagg	gtggagagat	taacgagcac	300			

#### -continued

attgatcttc	atggtgatgg	tgttaaggtt	gtggctcttt	gggttgaaga	tgctactaag	360	 	
gctttcgaag	agactactaa	gagaggtgca	aagccttata	tggaacctac	aaaagaagag	420		
gacgagaacg	gatacgtgat	tagatccgga	atctacactt	acggtgagac	tgttcacgtt	480		
ttcgtggaga	ggaagaacta	caacggagtc	tttcttcctg	gataccaacg	atgggagtct	540		
cattacaatc	cagagccagt	gggacttaag	ttcatcgatc	acatggtggg	taatgttgga	600		
tggggagaga	tgaaggaatg	gtgcgagttt	tacgctaagg	ttatgggatt	cgctcagatc	660		
atttccttca	ctgatgatga	tatctccact	gatttcactg	ctcttatgtc	caaggtgatg	720		
tctaatggaa	acggaaggat	caagttccct	attaacgaac	cagctgaggg	aaagaagaag	780		
teccagateg	aagagtacct	cgatttctac	aacggatctg	gtgttcagca	tattgctgtg	840		
gcaactgata	acatcatcga	tactgtgtct	caaatgagag	aaaggggagt	ggagtttctt	900		
tacgtcccag	atacttacta	cgatgatctc	cttgagagag	tgggagatat	tgacgaggat	960		
gtggaggaac	ttaagaagca	cggaatcctc	attgatagag	atgaagaggg	ataccttctc	1020		
cagcttttca	ctaagactat	cgtggatagg	ccaactatgt	tcttcgaagt	gatccaaaga	1080		
aagggtgctc	aatctttcgg	agtgggaaac	ttcaaggctc	ttttcgaggc	tattgagaga	1140		
gaacaagctg	ctagaggaac	tctttga				1167		

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The invention claimed is:

1. An N-(tetrazol-4-yl)arylcarboxamide of formula (I) and/  $_{\rm 30}$  or a salt thereof

capable of being used for controlling an unwanted plant in an area of a transgenic crop plant being tolerant to a HPPD inhibitor herbicide by containing at least one chimeric gene comprising:

(I) a DNA sequence encoding hydroxyphenylpyruvate dioxygenase (HPPD) derived from a member of a group of organisms selected from (a) Avena, (b) Pseudomonas,
 (c) Synechococcoideae, (d) Blepharismidae, (e) Rhodococcus, (f) Picrophilaceae, and (g) Kordia, or

(II) at least one mutated DNA sequence of HPPD encoding genes of the before defined group of organisms

in which

A is N or CY,

B is N,

X is nitro, halogen, cyano, formyl, thiocyanato, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, halo-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>2</sub>-C<sub>6</sub>)-alkenyl, halo-(C<sub>2</sub>-C<sub>6</sub>)-alkenyl, (C<sub>3</sub>-C<sub>6</sub>)-alkynyl, halo-(C<sub>3</sub>-C<sub>6</sub>)-alkynyl, (C<sub>3</sub>-C<sub>6</sub>)-cycloalkyl, halo-(C<sub>3</sub>-C<sub>6</sub>)-cycloalkyl-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, halo-(C<sub>3</sub>-C<sub>6</sub>)-cycloalkyl-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, halo-(C<sub>3</sub>-C<sub>6</sub>)-cycloalkyl-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, COR<sup>1</sup>, OCOOR<sup>1</sup>, NR<sup>1</sup>COOR<sup>1</sup>, C(O)N(R<sup>1</sup>)<sub>2</sub>, NR<sup>1</sup>C(O)N(R<sup>1</sup>)<sub>2</sub>, OC(O)N(R<sup>1</sup>)<sub>2</sub>, C(O)NR<sup>1</sup>OR<sup>1</sup>, OCOR<sup>1</sup>, OSO<sub>2</sub>R<sup>2</sup>, SO<sub>2</sub>OR<sup>1</sup>, SO<sub>2</sub>N(R<sup>1</sup>)<sub>2</sub>, NR<sup>1</sup>SO<sub>2</sub>R<sup>2</sup>, NR<sup>1</sup>COR<sup>1</sup>, (C<sub>1</sub>-C<sub>6</sub>)-alkyl-SO()<sub>m</sub>R<sup>2</sup>, (C<sub>1</sub>-C<sub>6</sub>)-alkyl-OCOR<sup>1</sup>, (C<sub>1</sub>-C<sub>6</sub>)-alkyl-OCOR<sup>1</sup>, (C<sub>1</sub>-C<sub>6</sub>)-alkyl-SO<sub>2</sub>OR<sup>1</sup>, (C<sub>1</sub>-C<sub>6</sub>)-alkyl-SO<sub>2</sub>OR<sup>1</sup>, (C<sub>1</sub>-C<sub>6</sub>)-alkyl-CO<sub>2</sub>R<sup>1</sup>, (C<sub>1</sub>-C<sub>6</sub>)-alkyl-SO<sub>2</sub>OR<sup>1</sup>, (C<sub>1</sub>-C<sub>6</sub>)-alkyl-CON(R<sup>1</sup>)<sub>2</sub>, (C<sub>1</sub>-C<sub>6</sub>)-alkyl-SO<sub>2</sub>OR<sup>1</sup>, (C<sub>1</sub>-C<sub>6</sub>)-alkyl-CON(R<sup>1</sup>)<sub>2</sub>, (C<sub>1</sub>-C<sub>6</sub>)-alkyl-SO<sub>2</sub>OR<sup>1</sup>, (C<sub>1</sub>-C<sub>6</sub>)-alkyl-CON(R<sup>1</sup>)<sub>2</sub>, (C<sub>1</sub>-C<sub>6</sub>)-alkyl-SO<sub>2</sub>OR<sup>1</sup>, (C<sub>1</sub>-C<sub>6</sub>)-alkyl-CON(R<sup>1</sup>)<sub>2</sub>, (C<sub>1</sub>-C<sub>6</sub>)-alkyl-SO<sub>2</sub>OR<sup>1</sup>, (C<sub>1</sub>-C<sub>6</sub>)-alkyl-CON(R<sup>1</sup>)<sub>2</sub>, (C<sub>1</sub>-C<sub>6</sub>)-alkyl-SO<sub>2</sub>OR<sup>1</sup>, (C<sub>1</sub>-C<sub>6</sub>

 $SO_2N(R^1)_2$ ,  $(C_1-C_6)$ -alkyl- $NR^1COR^1$ ,  $(C_1-C_6)$ -alkyl- $NR^1SO_2R^2$ ,  $NR^1NR^2$ ,  $P(O)(OR^5)_2$ ,  $CH_2P(O)(OR^5)_2$ ,  $(C_1-C_6)$ -alkyl-heteroaryl, or  $(C_1-C_6)$ -alkyl-heterocyclyl, the two last-mentioned radicals being substituted in each case by s halogen,  $(C_1-C_6)$ -alkyl, halo- $(C_1-C_6)$ -alkyl,  $S(O)_n$ — $(C_1-C_6)$ -alkyl,  $(C_1-C_6)$ -alkoxy and/or halo- $(C_1-C_6)$ -alkoxy radicals, and where heterocyclyl carries from 0 to 2 oxo groups,

Y is hydrogen, nitro, halogen, cyano, thiocyanato,  $(C_1 - C_6)$ alkyl, halo-(C1-C6)-alkyl, (C2-C6)-alkenyl, halo-(C2- $C_6$ )-alkenyl,  $(C_3-C_6)$ -alkynyl, halo- $(C_3-C_6)$ -alkynyl, (C<sub>3</sub>-C<sub>6</sub>)-cycloalkyl, (C<sub>3</sub>-C<sub>6</sub>)-cycloalkenyl, halo-(C<sub>3</sub>- $\begin{array}{lll} C_6)\text{-cycloalkyl}, & (C_3\text{-}C_6)\text{-cycloalkyl-}(C_1\text{-}C_6)\text{-alkyl}, \\ \text{halo-}(C_3\text{-}C_6)\text{-cycloalkyl-}(C_1\text{-}C_6)\text{-alkyl}, & \text{COR}^1, \\ \end{array}$ COOR<sup>1</sup>, OCOOR<sup>1</sup>, NR<sup>1</sup>COOŘ<sup>1</sup>, C(O)N(R<sup>1</sup>)<sub>2</sub>, NR<sup>1</sup>C  $\begin{array}{l} (O)N(R^1)_2,\,OC(O)N(R^1)_2,\,CO(NOR^1)R^1,\,NR^1SO_2R^2,\\ NR^1COR^1,\,OR^1,\,OSO_2R^2,\,S(O)_nR^2,\,SO_2OR^1,\,SO_2N \end{array}$  $(R^1)_2$ ,  $(C_1-C_6)$ -alkyl- $S(O)_nR^2$ ,  $(C_1-C_6)$ -alkyl- $OR^1$ ,  $(C_1-C_6)$ -alkyl- $OR^2$ ,  $(C_1-C_6)$ - $OR^2$  $C_6$ )-alkyl-OCOR<sup>1</sup>,  $(C_1-C_6)$ -alkyl-OSO<sub>2</sub>R<sup>2</sup>,  $(C_1-C_6)$ alkyl-CO<sub>2</sub>R<sup>1</sup>,  $(C_1-C_6)$ -alkyl-CN,  $(C_1-C_6)$ -alkyl- $\begin{array}{l} \text{SO}_2\text{OR}^1, \quad (C_1 - C_6) \text{-alkyl-CON}(R^1)_2, \quad (C_1 - C_6) \text{-alkyl-SO}_2\text{N}(R^1)_2, \quad (C_1 - C_6) \text{-alkyl-NR}^1\text{COR}^1, \quad (C_1 - C_6) \text{-alkyl-NR}^1\text{SO}_2\text{R}^2, \text{N}(R^1)_2, \text{P}(\text{O})(\text{OR}^5)_2, \text{CH}_2\text{P}(\text{O})(\text{OR}^5)_2, \text{C}_1 - \text{C}_1 - \text{C}_2 - \text{C}_2) \text{-alkyl-NR}^1\text{SO}_2\text{R}^2, \text{N}(R^1)_2, \text{P}(\text{O})(\text{OR}^5)_2, \text{CH}_2\text{P}(\text{O})(\text{OR}^5)_2, \text{C}_1 - \text{C}_2 - \text{C}_2 - \text{C}_2 - \text{C}_2 - \text{C}_2) \text{-alkyl-NR}^1\text{SO}_2\text{R}^2, \text{N}(R^1)_2, \text{P}(\text{O})(\text{OR}^5)_2, \text{C}_1 - \text{C}_2 - \text{C$  $C_6$ )-alkyl-phenyl,  $(C_1-C_6)$ -alkyl-heteroaryl,  $(C_1-C_6)$ alkyl-heterocyclyl, phenyl, heteroaryl or heterocyclyl, the last 6 radicals being substituted in each case by s radical selected from the group consisting of halogen, nitro, cyano, (C1-C6)-alkyl, halo-(C1-C6)-alkyl, (C3- $C_6$ )-cycloalkyl,  $S(O)_n$ — $(C_1$ - $C_6$ )-alkyl,  $(C_1$ - $C_6$ )-alkoxy,  $(C_1-C_6)$ -alkoxy- $(C_1-C_6)$ -alkyl halo- $(C_1-C_6)$ -alkoxy, and cyanomethyl, and where heterocyclyl carries from 0 to 2 oxo groups,

Z is cyano, thiocyanato, halo-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>2</sub>-C<sub>6</sub>)-alkenyl, halo-(C<sub>2</sub>-C<sub>6</sub>)-alkenyl, (C<sub>3</sub>-C<sub>6</sub>)-alkynyl, halo-(C<sub>3</sub>-C<sub>6</sub>)-alkynyl, (C<sub>3</sub>-C<sub>6</sub>)-cycloalkyl, halo-(C<sub>3</sub>-C<sub>6</sub>)-cycloalkyl, (C<sub>3</sub>-C<sub>6</sub>)-cycloalkyl-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, halo-(C<sub>3</sub>-C<sub>6</sub>)-cycloalkyl-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, COR<sup>1</sup>, COOR<sup>1</sup>, OCOOR<sup>1</sup>, NR<sup>1</sup>COOR<sup>1</sup>, C(O)N(R<sup>1</sup>)<sub>2</sub>, NR<sup>1</sup>C(O)N(R<sup>1</sup>)<sub>2</sub>, OC(O)N(R<sup>1</sup>)<sub>2</sub>, C(O)NR<sup>1</sup>OR<sup>1</sup>, OSO<sub>2</sub>R<sup>2</sup>, S(O)<sub>n</sub>R<sup>2</sup>,

 $SO_2OR^1, SO_2N(R^1)_2, NR^1SO_2R^2, NR^1COR^1, (C_1-C_6)-alkyl-S(O)_nR^2, (C_1-C_6)-alkyl-OR^1, (C_1-C_6)-alkyl-OCOR^1, (C_1-C_6)-alkyl-OSO_2R^2, (C_1-C_6)-alkyl-OSO_2R^1, (C_1-C_6)-alkyl-SO_2OR^1, (C_1-C_6)-alkyl-SO_2OR^1, (C_1-C_6)-alkyl-SO_2OR^1, (C_1-C_6)-alkyl-SO_2OR^1, (C_1-C_6)-alkyl-SO_2OR^2, N(R^1)_2, (C_1-C_6)-alkyl-SO_2OR^2, N(R^1)_2, P(O) (OR^5)_2, heteroaryl, heterocyclyl or phenyl, the last three radicals being substituted in each case by s radicals selected from the group consisting of halogen, nitro, cyano, (C_1-C_6)-alkyl, halo-(C_1-C_6)-alkyl, (C_3-C_6)-cycloalkyl, S(O)_n-(C_1-C_6)-alkyl, (C_1-C_6)-alkoxy or halo-(C_1-C_6)-alkoxy, and where heterocyclyl carries from 0 to 2 oxo groups, or$ 

Z is optionally hydrogen,  $(C_1-C_6)$ -alkyl or  $(C_1-C_6)$ -alkoxy if Y is the radical  $S(O)_nR^2$ ,

R is  $(C_1\text{-}C_6)$ -alkyl,  $(C_3\text{-}C_7)$ -cycloalkyl, halo- $(C_1\text{-}C_6)$ -alkyl,  $(C_2\text{-}C_6)$ -alkenyl, halo- $(C_2\text{-}C_6)$ -alkenyl,  $(C_3\text{-}C_6)$ -alkynyl, halo- $(C_3\text{-}C_6)$ -alkynyl,  $CH_2R^6$ , heteroaryl, heterocyclyl or phenyl, the last three radicals being substituted in each case by s radicals selected from the 20 group consisting of halogen, nitro, cyano,  $(C_1\text{-}C_6)$ -alkyl, halo- $(C_1\text{-}C_6)$ -alkyl,  $(C_3\text{-}C_6)$ -cycloalkyl,  $S(O)_n$ — $(C_1\text{-}C_6)$ -alkyl,  $(C_1\text{-}C_6)$ -alkoxy, halo- $(C_1\text{-}C_6)$ -alkoxy and  $(C_1\text{-}C_6)$ -alkoxy- $(C_1\text{-}C_4)$ -alkyl,

 $R^1$  is hydrogen,  $(C_1-C_6)$ -alkyl,  $(C_1-C_6)$ -haloalkyl,  $(C_2-C_6)$ - 25 alkenyl, (C<sub>2</sub>-C<sub>6</sub>)-haloalkenyl, (C<sub>3</sub>-C<sub>6</sub>)-alkynyl, (C<sub>3</sub>-C<sub>6</sub>)-haloalkynyl, (C<sub>3</sub>-C<sub>6</sub>)-cycloalkyl, (C<sub>3</sub>-C<sub>6</sub>)-cycloalkenyl, (C<sub>3</sub>-C<sub>6</sub>)-halocycloalkyl, (C<sub>1</sub>-C<sub>6</sub>)-alkyl-O—(C<sub>1</sub>- $C_6$ )-alkyl,  $(C_3-C_6)$ -cycloalkyl- $(C_1-C_6)$ -alkyl, phenyl, phenyl- $(C_1-C_6)$ -alkyl, heteroaryl,  $(C_1-C_6)$ -alkyl-het- 30 eroaryl, heterocyclyl,  $(C_1-C_6)$ -alkyl-heterocyclyl,  $(C_1$ - $C_6$ )-alkyl-O-heteroaryl,  $(C_1-C_6)$ -alkyl-O-heterocyclyl,  $(C_1-C_6)$ -alkyl-NR<sup>3</sup>-heteroaryl, or  $(C_1-C_6)$ -alkyl-NR<sup>3</sup>heterocyclyl, the 21 last-mentioned radicals being substituted in each case by s radicals selected from the group 35 consisting of cyano, halogen, nitro, thiocyanato, OR<sup>3</sup>  $S(O)_n R^4$ ,  $N(R^3)_2$ ,  $NR^3 OR^3$ ,  $COR^3$ ,  $OCOR^3$ ,  $SCOR^4$ ,  $NR^3COR^3$ ,  $NR^3SO_2R^4$ ,  $CO_2R^3$ ,  $COSR^4$ ,  $CON(R^3)_2$  and (C1-C4)-alkoxy-(C2-C6)-alkoxycarbonyl, and where heterocyclyl carries from 0 to 2 oxo groups,

 $R^2$  is  $(C_1\text{-}C_6)$ -alkyl,  $C_1\text{-}C_6)$ -haloalkyl,  $(C_2\text{-}C_6)$ -alkenyl,  $(C_2\text{-}C_6)$ -haloalkenyl,  $(C_2\text{-}C_6)$ -haloalkenyl,  $(C_2\text{-}C_6)$ -alkynyl,  $(C_2\text{-}C_6)$ -haloalkynyl,  $(C_3\text{-}C_6)$ -cycloalkyl,  $(C_3\text{-}C_6)$ -cycloalkenyl,  $(C_3\text{-}C_6)$ -halocycloalkyl,  $(C_1\text{-}C_6)$ -alkyl-O— $(C_1\text{-}C_6)$ -alkyl,  $(C_3\text{-}C_6)$ -cycloalkyl- $(C_1\text{-}C_6)$ -alkyl-phenyl, phenyl, phenyl-  $(C_1\text{-}C_6)$ -alkyl-heteroaryl, heterocyclyl,  $(C_1\text{-}C_6)$ -alkyl-heterocyclyl,  $(C_1\text{-}C_6)$ -alkyl-O-heterocyclyl,  $(C_1\text{-}C_6)$ -alkyl-NR³-heteroaryl, or  $(C_1\text{-}C_6)$ -alkyl-NR³-heterocyclyl, the 21 last-mentioned radicals being substituted by s radicals selected from the group consisting of cyano, halogen, nitro, thiocyanato, OR³, S(O), R^4, N(R³)\_2, NR³OR³, COR³, OCOR³, SCOR⁴, NR³COR³, NR³SO\_2R⁴, CO\_2R³, COSR⁴, CON(R³)\_2 and  $(C_1\text{-}C_4)$ -alkoxy- $(C_2\text{-}C_6)$ -alkoxycarbonyl, and where 55 heterocyclyl carries from 0 to 2 oxo groups,

R<sup>3</sup> is hydrogen, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>2</sub>-C<sub>6</sub>)-alkenyl, (C<sub>2</sub>-C<sub>6</sub>)-alkynyl, (C<sub>3</sub>-C<sub>6</sub>)-cycloalkyl or (C<sub>3</sub>-C<sub>6</sub>)-cycloalkyl-(C<sub>1</sub>-C<sub>6</sub>)-alkyl,

 $R^4$  is  $(C_1-C_6)$ -alkyl,  $(C_2-C_6)$ -alkenyl or  $(C_2-C_6)$ -alkynyl,  $R^5$  is methyl or ethyl,

R<sup>6</sup> is acetoxy, acetamido, N-methylacetamido, benzoyloxy, benzamido, N-methylbenzamido, methoxycarbonyl, ethoxycarbonyl, benzoyl, methylcarbonyl, piperidinylcarbonyl, morpholinylcarbonyl, trifluoromethylcarbonyl, aminocarbonyl, methylaminocarbonyl, dimethylaminocarbonyl, (C<sub>1</sub>-C<sub>6</sub>)-alkoxy or

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 $(C_3-C_6)$ -cycloalkyl or is heteroaryl, or phenyl substituted in each case by s radicals selected from the group consisting of methyl, ethyl, methoxy, trifluoromethyl, and halogen,

n is 0, 1 or 2, and s is 0, 1, 2 or 3.

2. A N-(tetrazol-4-yl)arylcarboxamide of formula (I) and/ or a salt thereof according to claim 1, where, in formula (I) A is N or CY,

B is N,

X is nitro, halogen, cyano, formyl, thiocyanato,  $(C_1-C_6)$ -alkyl, halo- $(C_1-C_6)$ -alkyl,  $(C_2-C_6)$ -alkenyl, halo- $(C_2-C_6)$ -alkenyl,  $(C_3-C_6)$ -alkynyl, halo- $(C_3-C_6)$ -alkynyl,  $(C_3-C_6)$ -cycloalkyl, halo- $(C_3-C_6)$ -cycloalkyl,  $(C_1-C_6)$ -alkyl-O— $(C_1-C_6)$ -alkyl,  $(C_3-C_6)$ -cycloalkyl,  $(C_1-C_6)$ -alkyl, halo- $(C_3-C_6)$ -cycloalkyl- $(C_1-C_6)$ -alkyl, COR¹, OSO2R², SO2OR¹, SO2N(R¹)2, NR¹SO2R², NR¹COR¹,  $(C_1-C_6)$ -alkyl-S(O)<sub>n</sub>R²,  $(C_1-C_6)$ -alkyl-OR¹,  $(C_1-C_6)$ -alkyl-OSO2R²,  $(C_1-C_6)$ -alkyl-OSO2R²,  $(C_1-C_6)$ -alkyl-OSO2R¹,  $(C_1-C_6)$ -alkyl-SO2N(R¹)2,  $(C_1-C_6)$ -alkyl-SO2N(R¹)2,  $(C_1-C_6)$ -alkyl-NR¹COR¹,  $(C_1-C_6)$ -alkyl-SO2N(R¹)2,  $(C_1-C_6)$ -alkyl-NR¹COR¹,  $(C_1-C_6)$ -alkyl-NR¹SO2R²,  $(C_1-C_6)$ -alkyl-heteroaryl, or  $(C_1-C_6)$ -alkyl-heterocyclyl, the two last-mentioned radicals being substituted in each case by s halogen,  $(C_1-C_6)$ -alkyl, halo- $(C_1-C_6)$ -alkyl,  $S(O)_m$ — $(C_1-C_6)$ -alkyl,  $(C_1-C_6)$ -alkoxy and/or halo- $(C_1-C_6)$ -alkoxy radicals, and where heterocyclyl carries from 0 to 2 oxo groups,

Y is hydrogen, nitro, halogen, cyano, thiocyanato, (C<sub>1</sub>-C<sub>6</sub>)alkyl, halo-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>2</sub>-C<sub>6</sub>)-alkenyl, halo-(C<sub>2</sub>- $C_6$ )-alkenyl,  $(C_3-C_6)$ -alkynyl, halo- $(C_3-C_6)$ -alkynyl, (C<sub>3</sub>-C<sub>6</sub>)-cycloalkyl, (C<sub>3</sub>-C<sub>6</sub>)-cycloalkenyl, halo-(C<sub>3</sub>- $R^2$ ,  $(C_1-C_6)$ -alkyl- $OR^1$ ,  $(C_1-C_6)$ -alkyl- $OCOR^1$ ,  $(C_1-C_6)$ -alkyl- $OCOR^2$  $C_6$ )-alkyl- $OSO_2R^2$ ,  $(C_1-C_6)$ -alkyl- $CO_2R^1$ ,  $(C_1-C_6)$ alkyl-SO<sub>2</sub>OR<sup>1</sup>,  $(C_1-C_6)$ -alkyl-CON(R<sup>1</sup>)<sub>2</sub>,  $(C_1-C_6)$ -alkyl-SO<sub>2</sub>N(R<sup>1</sup>)<sub>2</sub>,  $(C_1-C_6)$ -alkyl-NR<sup>1</sup>COR<sup>1</sup>,  $(C_1-C_6)$ -alkyl-NR<sup>1</sup>SO<sub>2</sub>R<sup>2</sup>,  $(C_1-C_6)$ -alkyl-phenyl,  $(C_1-C_6)$ -alkylheteroaryl,  $(C_1-C_6)$ -alkyl-heterocyclyl, heteroaryl or heterocyclyl, the last 6 radicals being substituted in each case by s radicals selected from the group consisting of halogen, nitro, cyano, (C1-C6)-alkyl, halo- $(C_1-C_6)$ -alkyl,  $(C_3-C_6)$ -cycloalkyl,  $S(O)_n$ — $(C_1-C_6)$ alkyl,  $(C_1-C_6)$ -alkoxy, halo- $(C_1-C_6)$ -alkoxy,  $(C_1-C_6)$ alkoxy-(C<sub>1</sub>-C<sub>4</sub>)-alkyl and cyanomethyl, and where heterocyclyl carries from 0 to 2 oxo groups,

Z is cyano, thiocyanato, halo-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>2</sub>-C<sub>6</sub>)-alkenyl, halo-(C<sub>2</sub>-C<sub>6</sub>)-alkenyl, (C<sub>3</sub>-C<sub>6</sub>)-alkynyl, halo-(C<sub>3</sub>-C<sub>6</sub>)-alkynyl, (C<sub>3</sub>-C<sub>6</sub>)-cycloalkyl, halo-(C<sub>3</sub>-C<sub>6</sub>)-cycloalkyl, (C<sub>3</sub>-C<sub>6</sub>)-cycloalkyl-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, halo-(C<sub>3</sub>-C<sub>6</sub>)-cycloalkyl-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, COR<sup>1</sup>, COOR<sup>1</sup>, C(O)N (R<sup>1</sup>)<sub>2</sub>, C(O)NR<sup>1</sup>OR<sup>1</sup>, OSO<sub>2</sub>R<sup>2</sup>, S(O)<sub>n</sub>R<sup>2</sup>, SO<sub>2</sub>OR<sup>1</sup>, SO<sub>2</sub>N(R<sup>1</sup>)<sub>2</sub>, NR<sup>1</sup>SO<sub>2</sub>R<sup>2</sup>, NR<sup>1</sup>COR<sup>1</sup>, (C<sub>1</sub>-C<sub>6</sub>)-alkyl-S (O)<sub>n</sub>R<sup>2</sup>, (C<sub>1</sub>-C<sub>6</sub>)-alkyl-ORO<sup>1</sup>, (C<sub>1</sub>-C<sub>6</sub>)-alkyl-OCOR<sup>1</sup>, (C<sub>1</sub>-C<sub>6</sub>)-alkyl-SO<sub>2</sub>R<sup>2</sup>, (C<sub>1</sub>-C<sub>6</sub>)-alkyl-CON(R<sup>1</sup>)<sub>2</sub>, (C<sub>1</sub>-C<sub>6</sub>)-alkyl-SO<sub>2</sub>N(R<sup>1</sup>)<sub>2</sub>, (C<sub>1</sub>-C<sub>6</sub>)-alkyl-CON(R<sup>1</sup>)<sub>2</sub>, (C<sub>1</sub>-C<sub>6</sub>)-alkyl-SO<sub>2</sub>N(R<sup>1</sup>)<sub>2</sub>, (C<sub>1</sub>-C<sub>6</sub>)-alkyl-NR<sup>1</sup>COR<sup>1</sup>, (C<sub>1</sub>-C<sub>6</sub>)-alkyl-NR<sup>1</sup>SO<sub>2</sub>R<sup>2</sup> or 1,2,4-triazol-1-yl, or

Z is optionally hydrogen,  $(C_1-C_6)$ -alkyl or  $(C_1-C_6)$ -alkoxy if Y is the radical  $S(O)_nR^2$ ,

R is  $(C_1-C_6)$ -alkyl,  $(C_3-C_7)$ -cycloalkyl, halo- $(C_1-C_6)$ -alkyl,  $(C_3-C_7)$ -cycloalkylmethyl, methoxycarbonylmethyl, ethoxycarbonylmethyl, acetylmethyl, methoxymethyl, or phenyl or benzyl each substituted by s radicals

selected from the group consisting of methyl, methoxy, trifluoromethyl and halogen,

R¹ is hydrogen, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>2</sub>-C<sub>6</sub>)-alkenyl, ((C<sub>3</sub>-C<sub>6</sub>)-alkynyl, (C<sub>3</sub>-C<sub>6</sub>)-cycloalkyl, (C<sub>3</sub>-C<sub>6</sub>)-cycloalkyl-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>1</sub>-C<sub>6</sub>)-alkyl-O—(C<sub>1</sub>-5 C<sub>6</sub>)-alkyl, phenyl, phenyl-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, heteroaryl, (C<sub>1</sub>-C<sub>6</sub>)-alkyl-heteroaryl, heterocyclyl, (C<sub>1</sub>-C<sub>6</sub>)-alkyl-heterocyclyl, (C<sub>1</sub>-C<sub>6</sub>)-alkyl-O-heteroaryl, or (C<sub>1</sub>-C<sub>6</sub>)-alkyl-NR³-heterocyclyl, the 16 last-mentioned 10 radicals being substituted in each case by s radicals selected from the group consisting of cyano, halogen, nitro, OR³, S(O)<sub>n</sub>R⁴, N(R³)<sub>2</sub>, NR³OR³, COR³, OCOR³, NR³COR³, NR³SO<sub>2</sub>R⁴, CO<sub>2</sub>R³, CON(R³)<sub>2</sub> and (C<sub>1</sub>-C<sub>4</sub>)-alkoxy-(C<sub>2</sub>-C<sub>6</sub>)-alkoxycarbonyl, and where heterocyclyl carries from 0 to 2 oxo groups,

 $R^2$  is  $(C_1\text{-}C_6)\text{-alkyl},\ (C_2\text{-}C_6)\text{-alkenyl},\ (C_2\text{-}C_6)\text{-alkynyl},\ (C_3\text{-}C_6)\text{-cycloalkyl},\ (C_3\text{-}C_6)\text{-cycloalkenyl},\ (C_3\text{-}C_6)\text{-cycloalkyl},\ (C_3\text{-}C_6)\text{-cycloalkyl},\ (C_1\text{-}C_6)\text{-alkyl},\ (C_1\text{-}C_6)\text{-alkyl},\ (C_1\text{-}C_6)\text{-alkyl},\ (C_1\text{-}C_6)\text{-alkyl-heteroaryl},\ (C_1\text{-}C_6)\text{-alkyl-heteroaryl},\ (C_1\text{-}C_6)\text{-alkyl-NR}^3\text{-heteroaryl},\ (C_1\text{-}C_6)\text{-alkyl-NR}^3\text{-heteroaryl} \text{ or }\ (C_1\text{-}C_6)\text{-alkyl-NR}^3\text{-heteroaryl} \text{ or }\ (C_1\text{-}C_6)\text{-alkyl-NR}^3\text{-heteroaryl} \text{ or }\ (C_1\text{-}C_6)\text{-alkyl-NR}^3\text{-heterocyclyl},\ (hese radicals being substituted by s radicals selected from the group consisting of cyano, halogen, nitro, <math display="inline">OR^3$ ,  $S(O)_nR^4$ ,  $N(R^3)_2$ ,  $NR^3OR^3$ ,  $NR^3SO_2R^4$ ,  $COR^3$ ,  $OCOR^3$ ,  $NR^3COR^3$ ,  $CO_2R^3$ ,  $CON(R^3)_2$  and  $(C_1\text{-}C_4)\text{-alkoxy-}(C_2\text{-}C_6)\text{-alkoxy-arbonyl}$ , and where heterocyclyl carries from 0 to 2 oxo groups,

 $R^3$  is hydrogen,  $(C_1\text{-}C_6)\text{-alkyl},\,(C_2\text{-}C_6)\text{-alkenyl},\,(C_2\text{-}C_6)\text{-alkynyl},\,(C_3\text{-}C_6)\text{-cycloalkyl}\,\text{or}\,(C_3\text{-}C_6)\text{-cycloalkyl-}(C_1\text{-}C_6)\text{-alkyl},$ 

 $R^4$  is  $(C_1$ - $C_6)$ -alkyl,  $(C_2$ - $C_6)$ -alkenyl or  $(C_2$ - $C_6)$ -alkynyl, n is 0, 1 or 2, and

s is 0, 1, 2 or 3.

3. A N-(tetrazol-4-yl)arylcarboxamide of formula (I) and/ or a salt thereof according to claim 1, where, in formula (I) A is N or CY,

B is N,

X is nitro, halogen, cyano,  $(C_1-C_6)$ -alkyl, halo- $(C_1-C_6)$ -alkyl,  $(C_3-C_6)$ -cycloalkyl,  $(C_1-C_6)$ -alkyl-S(O)<sub>n</sub>R²,  $(C_1-C_6)$ -alkyl-OSO<sub>2</sub>R²,  $(C_1-C_6)$ -alkyl-OR¹,  $(C_1-C_6)$ -alkyl-SO<sub>2</sub>N(R¹)<sub>2</sub>,  $(C_1-C_6)$ -alkyl-NR¹COR¹,  $(C_1-C_6)$ -alkyl-heteroaryl, or  $(C_1-C_6)$ -alkyl-heterocyclyl, the two lastmentioned radicals being substituted in each case by shalogen,  $(C_1-C_6)$ -alkyl, halo- $(C_1-C_6)$ -alkyl, S(O)<sub>n</sub>— $(C_1-C_6)$ -alkyl,  $(C_1-C_6)$ -alkoxy and/or halo- $(C_1-C_6)$ -alkoxy radicals, and where heterocyclyl carries from 0 to 2 oxo groups,

Y is hydrogen, nitro, halogen, cyano,  $(C_1-C_6)$ -alkyl, halo- $(C_1-C_6)$ -alkyl,  $OR^1$ ,  $S(O)_nR^2$ ,  $SO_2N(R^1)_2$ ,  $N(R^1)_2$ ,  $NR^1SO_2R^2$ ,  $NR^1COR^1$ ,  $(C_1-C_6)$ -alkyl- $S(O)_nR^2$ ,  $(C_1-C_6)$ -alkyl-heterocyclyl, phenyl, heteroaryl or heterocyclyl, the last 6 radicals being substituted in each case by s radicals selected from the group consisting of halogen, nitro, cyano,  $(C_1-C_6)$ -alkyl, halo- $(C_1-C_6)$ -alkyl,  $(C_3-C_6)$ -cycloalkyl,  $S(O)_n$ — $(C_1-C_6)$ -alkyl,  $(C_3-C_6)$ -cycloalkyl,  $S(O)_n$ — $(C_1-C_6)$ -alkyl,  $(C_1-C_6)$ -alkoxy, halo- $(C_1-C_6)$ -alkoxy,  $(C_1-C_6)$ -alkyl and cyanomethyl, and where heterocyclyl carries from 0 to 2 oxo groups,

Z is cyano, halo- $(C_1$ - $C_6)$ -alkyl,  $(C_3$ - $C_6)$ -cycloalkyl,  $S(O)_n$  65  $R^2$  or 1,2,4-triazol-1-yl, or Z is optionally hydrogen, methyl, methoxy or ethoxy if Y is the radical  $S(O)_n R^2$ ,

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R is  $(C_1-C_6)$ -alkyl,  $(C_3-C_7)$ -cycloalkyl, halo- $(C_1-C_6)$ -alkyl,  $(C_3-C_7)$ -cycloalkylmethyl, methoxycarbonylmethyl, ethoxycarbonylmethyl, acetylmethyl or methoxymethyl, or is phenyl substituted by s radicals selected from the group consisting of methyl, methoxy, trifluoromethyl, and halogen,

R¹ is hydrogen, (C₁-C₀)-alkyl, (C₁-C₀)-alkenyl, ((C₃-C₀)-alkynyl, (C₃-C₀)-cycloalkyl, (C₃-C₀)-cycloalkyl-(C₁-C₀)-alkyl, (C₁-C₀)-alkyl-O—(C₁-C₀)-alkyl, phenyl, phenyl-(C₁-C₀)-alkyl, heteroaryl, (C₁-C₀)-alkyl-heteroaryl, heterocyclyl, (C₁-C₀)-alkyl-O-heterocyclyl, (C₁-C₀)-alkyl-O-heterocyclyl, (C₁-C₀)-alkyl-NR³-heterocyclyl, or (C₁-C₀)-alkyl-NR³-heterocyclyl, the 16 last-mentioned radicals being substituted in each case by s radicals selected from the group consisting of cyano, halogen, nitro, OR³, S(O),,R⁴, N(R³)₂, NR³OR³, COR³, OCOR³, NR³COR³, NR³SO₂R⁴, CO₂R³, CON(R³)₂ and (C₁-C₄)-alkoxy-(C₂-C₀)-alkoxy-carbonyl, and where heterocyclyl carries from 0 to 2 oxo groups,

R<sup>2</sup> is (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>3</sub>-C<sub>6</sub>)-cycloalkyl or (C<sub>3</sub>-C<sub>6</sub>)-cycloalkyl-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, these three aforementioned radicals being substituted in each case by s radicals selected from the group consisting of halogen and OR<sup>3</sup>,

 $R^3$  is hydrogen or  $(C_1 - C_6)$ -alkyl,

 $R^4$  is  $(C_1-C_6)$ -alkyl,

n is 0, 1 or 2, and

s is 0, 1, 2 or 3.

4. A method for controlling an unwanted plant comprising applying at least one N-(tetrazol-4-yl)arylcarboxamide according to claim 1 in an area of a transgenic crop plant being tolerant to a HPPD inhibitor herbicide by containing at least one chimeric gene comprising

(I) a DNA sequence encoding hydroxyphenylpyruvate dioxygenase (HPPD) derived from a member of a group of organisms selected from (a) Avena, (b) Pseudomonas,
 (c) Synechococcoideae, (d) Blepharismidae, (e) Rhodococcus, (f) Picrophilaceae, and (g) Kordia, or

(II) at least one mutated DNA sequence of a HPPD encoding gene of the before defined group of organisms,

in which said applying is performed to (a) an unwanted plant, (b) to a seed of an unwanted plant, and/or (c) to an area on which a plant grows.

- 5. A method according to claim 4, in which the transgenic crop plant belongs to at least one of a group of dicotyledonous crops selected from Arachis, Beta, Brassica, Cucumis, Cucurbita, Helianthus, Daucus, Glycine, Gossypium, Ipomoea, Lactuca, Linum, Lycopersicon, Nicotiana, Phaseolus, Pisum, Solanum, and Vicia, and/or to a group of monocotyledonous crops selected from the group Allium, Ananas, Asparagus, Avena, Hordeum, Oryza, Panicum, Saccharum, Secale, Sorghum, Triticale, Triticum, and Zea.
- 6. A method according to claim 4, in which at least one N-(tetrazol-4-yl)arylcarboxamide according to claim 1 is applied in combination with at least one HPPD inhibitor herbicide comprising triketone and/or pyrazolinate herbicide in mixed formulation and/or in a tank mix, and/or with any further known active substance which is based on inhibition of acetolactate synthase, acetyl-CoA carboxylase, cellulose synthase, enolpyruvylshikimate-3-phosphate synthase, glutamine synthetase, p-hydroxyphenylpyruvate dioxygenase, phytoene desaturase, photosystem I, photosystem II, protoporphyrinogen oxidase, and/or acts as a growth regulator.
- 7. A method according to claim 6, in which at least one N-(tetrazol-4-yl)arylcarboxamide according to claim 1 is applied in combination with at least one HPPD inhibitor

herbicide selected from the group consisting of tembotrione, mesotrione, bicyclopyrone, tefuryltrione, pyrasulfotole, pyrazolate, diketonitrile, benzofenap, and sulcotrione.

8. A method according to claim 5, in which at least one N-(tetrazol-4-yl)arylcarboxamide according to claim 1 is applied in combination with at least one HPPD inhibitor herbicide comprising triketone and/or pyrazolinate herbicide in mixed formulation and/or in tank mix, and/or with any further known active substance which is based on inhibition of acetolactate synthase, acetyl-CoA carboxylase, cellulose 10 enolpyruvylshikimate-3-phosphate synthase, glutamine synthetase, p-hydroxyphenylpyruvate dioxygenase, phytoene desaturase, photosystem I, photosystem II, protoporphyrinogen oxidase, and/or acts as a growth regula-

9. An N-(tetrazol-4-yl)arylcarboxamide of formula (I) and/ or a salt thereof according to claim 1, where in formula (I)

A is CY,

B is N,

X is halogen or  $(C_1-C_6)$ -alkyl, Y is hydrogen,  $OR^1$ ,  $(C_1-C_6)$ -alkyl- $OR^1$ , or  $SO_2CH_3$ ,

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Z is halo-(C<sub>1</sub>-C<sub>6</sub>)-alkyl or SO<sub>2</sub>CH<sub>3</sub>,

R is (C<sub>1</sub>-C<sub>6</sub>)-alkyl, and

 $R^1$  is  $(C_1-C_6)$ -alkyl.

10. An N-(tetrazol-4-yl)arylcarboxamide of formula (I) and/or a salt thereof according to claim 1, where in formula (I)

A is CY.

B is N.

X is chlorine or methyl,

Y is hydrogen, ethoxy, methoxymethyl, or SO<sub>2</sub>CH<sub>3</sub>,

Z is trifluoromethyl or SO<sub>2</sub>CH<sub>3</sub>, and

R is methyl or ethyl.

11. An N-(tetrazol-4-yl)arylcarboxamide of formula (I) and/or a salt thereof according to claim 1, where in formula (I)

A is CY,

B is N,

X is methyl,

Y is SO<sub>2</sub>CH<sub>3</sub>,

Z is trifluoromethyl, and

R is methyl.